Access DB# 76402

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: 77011 Date: 9/24/02
Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 09/669,398
Mail Box and Bldg/Room Location: 4E01 Results Format Preferred (circle): PAPER DISK E-MAIL

If mor than on search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

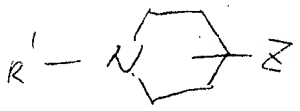
Title of Invention: Hy Na/proton exchange inhibs

Inventors (please provide full names): Ahmad, S Wu, S O'Neil, S Ngu, K
Atwal K Weinstein D

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Barb please



Point of Contact:
Barb O'Bryen
Technical Information Specialist
STIC CM1 6A05 308-4291

****

STAFF USE ONLY

Searcher: BOB
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: 9-25-02
Searcher Prep & Review Time: 50
Clerical Prep Time: _____
Online Time: 44

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 8
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN 399
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems IG 9/25/02
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

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=> fil reg; d stat que l25; fil capl; d que nos l26; fil uspatf; d que nos l27
FILE 'REGISTRY' ENTERED AT 12:34:07 ON 25 SEP 2002
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 SEP 2002  HIGHEST RN 454421-17-1
DICTIONARY FILE UPDATES:  23 SEP 2002  HIGHEST RN 454421-17-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

L8                STR
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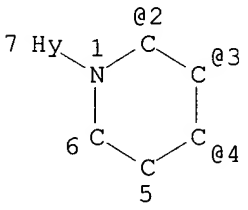

full file ~~seach~~ search done on this structure

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS   8

STEREO ATTRIBUTES: NONE
L12       391526 SEA FILE=REGISTRY ABB=ON  46.156.1/RID AND NR>2
L15         2879 SEA FILE=REGISTRY SUB=L12 SSS FUL L8
L16              STR
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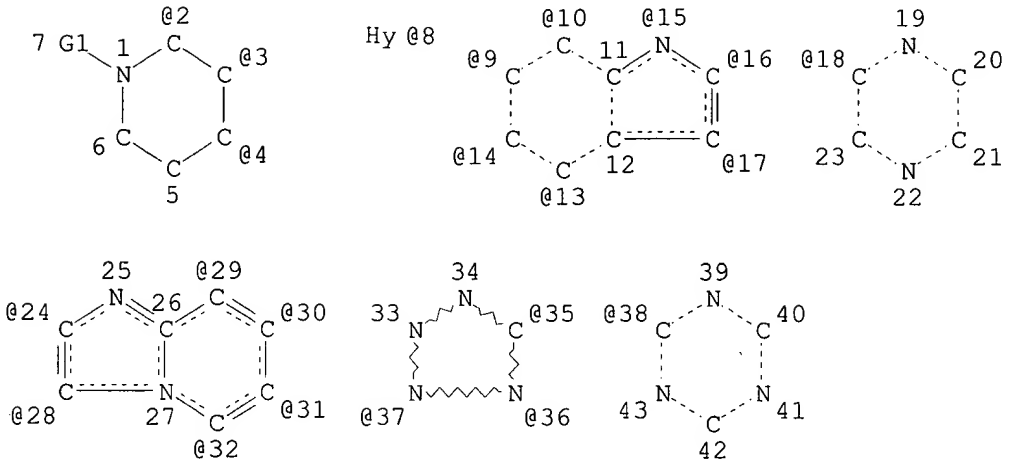
subset search done looking for any of the following 86 structures



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DEFAULT ECLEVEL IS LIMITED

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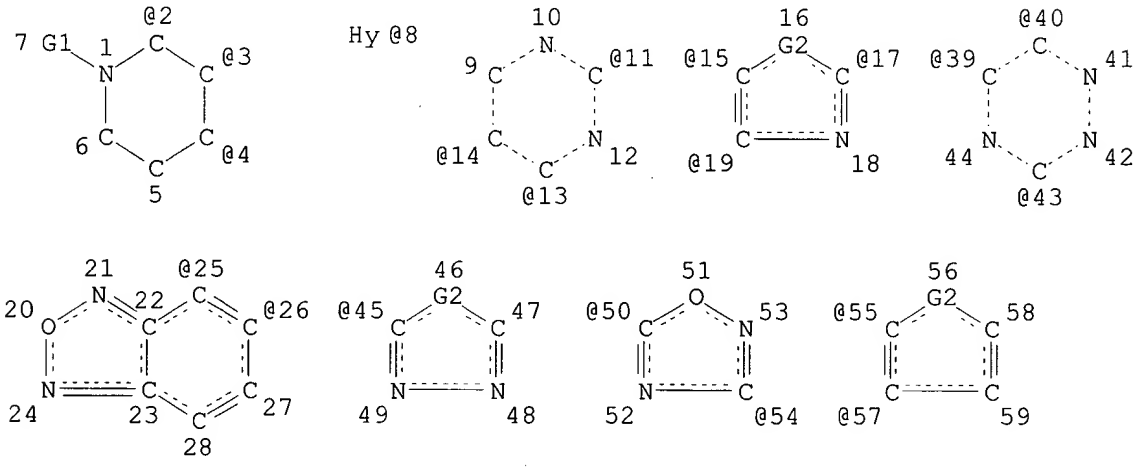

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NUMBER OF NODES IS 49

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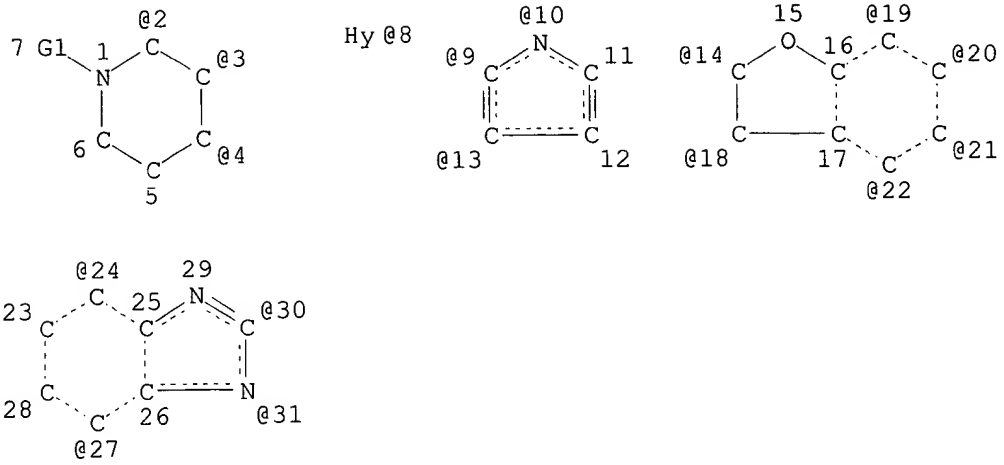

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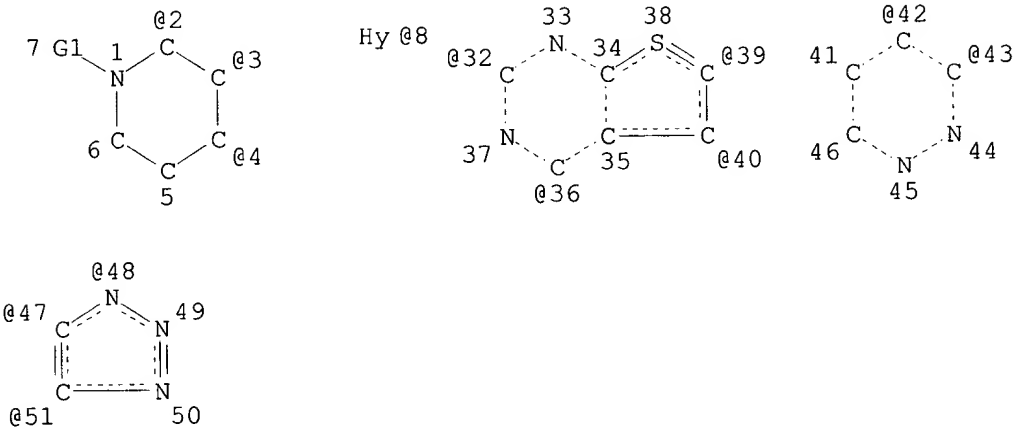

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
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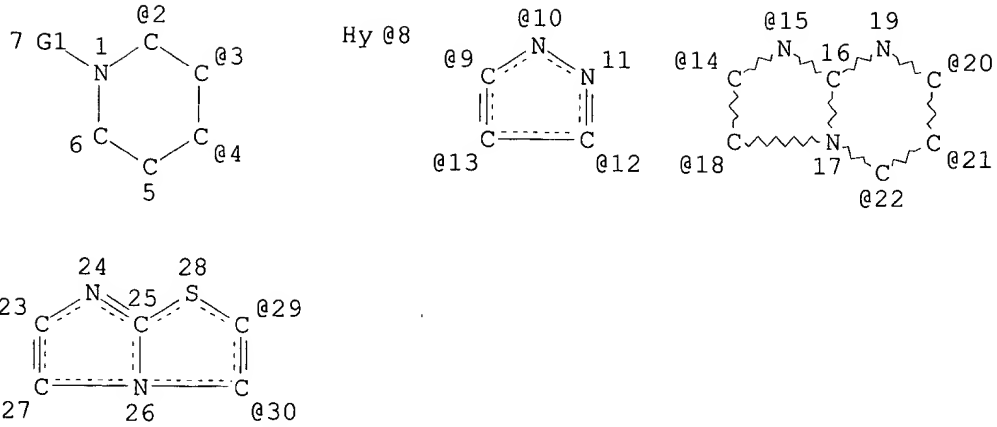

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
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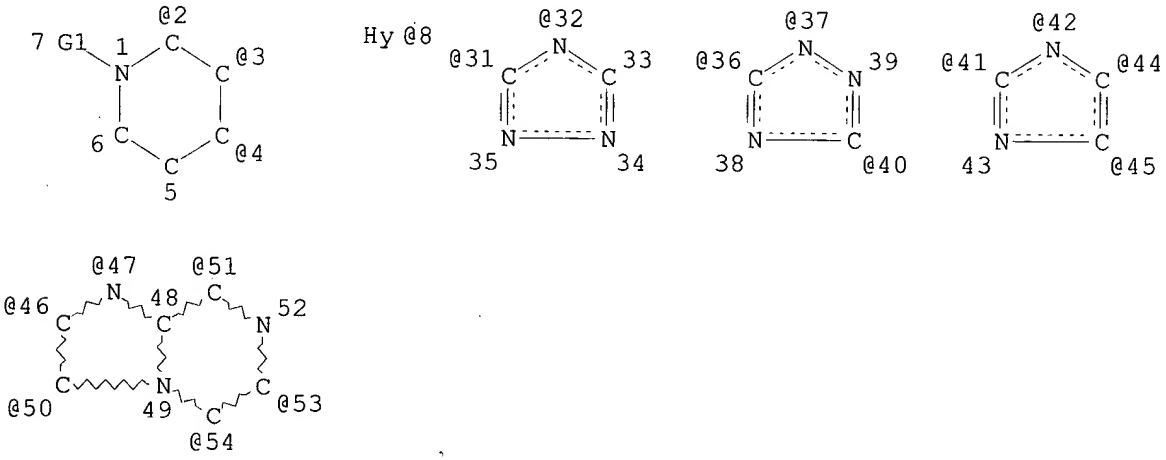

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
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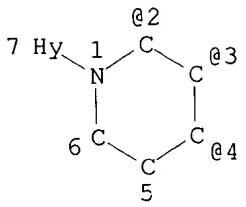
STEREO ATTRIBUTES: NONE
L23 STR



"AND"-ed in this structure

VPA 8-2/3/4 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8 - heterocycle at node 8 is unsaturated
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L25 368 SEA FILE=REGISTRY SUB=L15 SSS FUL (((L16 OR L17 OR L18 OR L19 OR L20 OR L21)) AND L23)

100.0% PROCESSED 2877 ITERATIONS 368 ANSWERS
SEARCH TIME: 00.00.10

FILE 'CAPLUS' ENTERED AT 12:34:08 ON 25 SEP 2002
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FILE COVERS 1907 - 25 Sep 2002 VOL 137 ISS 13
FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

L8 STR
L12 391526 SEA FILE=REGISTRY ABB=ON 46.156.1/RID AND NR>2
L15 2879 SEA FILE=REGISTRY SUB=L12 SSS FUL L8
L16 STR
L17 STR

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L19             STR
L20             STR
L21             STR
L23             STR
L25         368 SEA FILE=REGISTRY SUB=L15 SSS FUL (((L16 OR L17 OR L18 OR L19
                OR L20 OR L21)) AND L23)
L26          25 SEA FILE=CAPLUS ABB=ON  L25


FILE 'USPATFULL' ENTERED AT 12:34:08 ON 25 SEP 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 24 Sep 2002 (20020924/PD)
FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)
HIGHEST GRANTED PATENT NUMBER: US6457178
HIGHEST APPLICATION PUBLICATION NUMBER: US2002133863
CA INDEXING IS CURRENT THROUGH 24 Sep 2002 (20020924/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Sep 2002 (20020924/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2002

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
>>>  original, i.e., the earliest published granted patents or         <<<
>>>  applications.  USPAT2 contains full text of the latest US         <<<
>>>  publications, starting in 2001, for the inventions covered in     <<<
>>>  USPATFULL.  A USPATFULL record contains not only the original     <<<
>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

>>>  USPATFULL and USPAT2 can be accessed and searched together        <<<
>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>>  enter this cluster.                                               <<<
>>>                                                                    <<<
>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L8              STR
L12         391526 SEA FILE=REGISTRY ABB=ON  46.156.1/RID AND NR>2
L15           2879 SEA FILE=REGISTRY SUB=L12 SSS FUL L8
L16             STR
L17             STR
L18             STR
L19             STR
L20             STR
L21             STR
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                OR L20 OR L21)) AND L23)
L27          11 SEA FILE=USPATFULL ABB=ON  L25

=> dup rem l26,l27
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FILE 'CAPLUS' ENTERED AT 12:34:13 ON 25 SEP 2002
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FILE 'USPATFULL' ENTERED AT 12:34:13 ON 25 SEP 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L26
PROCESSING COMPLETED FOR L27
L29 36 DUP REM L26 L27 (0 DUPLICATES REMOVED)
ANSWERS '1-25' FROM FILE CAPLUS
ANSWERS '26-36' FROM FILE USPATFULL

=> d ibib abs hitstr l29 1-36; fil cao; d que nos l28; fil hom

L29 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:637671 CAPLUS
DOCUMENT NUMBER: 137:185496
TITLE: Preparation of piperidinyl benzopyridazine derivatives as PDE4 inhibitors for treatment of airway disorders
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-peter; Timmerman, Hendrik; Christiaans, Johannes A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk, Geert Jan
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214

W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: EP 2001-103496 A 20010215
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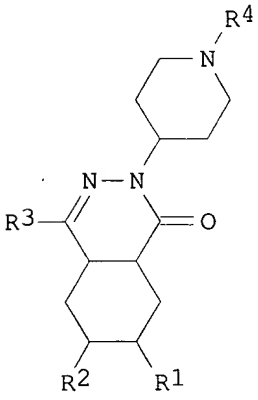


I

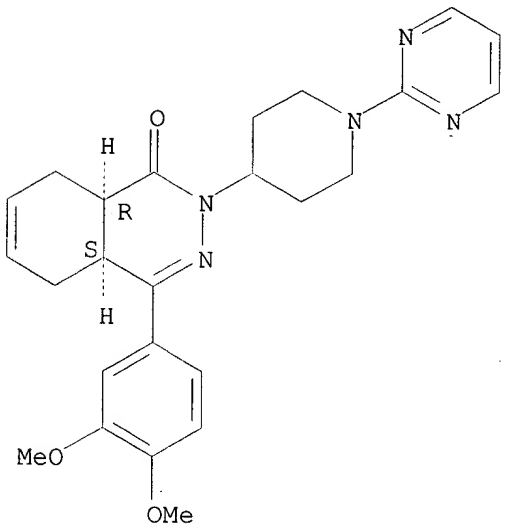
AB Piperidinyl benzopyridazine derivs. [I; wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran deriv.; R4 = (C1-C4)alkoxy, optionally substituted with fluorine] were prepd. Thus, to a soln. of (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic prepn. given) and p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepd. compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT **449760-30-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for treatment of airway disorders)

RN 449760-30-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449449 CAPLUS

DOCUMENT NUMBER: 137:33318

TITLE: Preparation of pyrimidinylaminothiazoles as tyrosine kinase inhibitors.

INVENTOR(S): Bilodeau, Mark T.; Hartman, George D.; Hoffman, Jacob M., Jr.; Lumma, William C., Jr.; Manley, Peter J.; Rodman, Leonard; Sisko, John T.; Smith, Anthony M.; Tucker, Thomas J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

[redacted]

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045652	A2	20020613	WO 2001-US44573	20011130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-251006P P 20001204

OTHER SOURCE(S): MARPAT 137:33318

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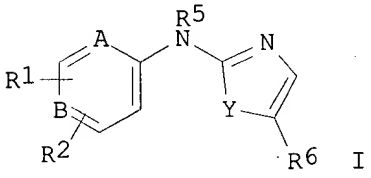


I

AB Title compds. [I; A, B = N, NO; Y = O, S, NR4; R1, R2 = H, perfluoroalkoxy, OH, cyano, halo, (substituted) alkyl(oxy)(carbonyl), aryl(oxy)(carbonyl), heterocyclyl, etc.; R4 = H, aryl, alkyl; R5 = H, SO2Rc, CORc, Rc, CO2Rc; R6 = aryl, cyano, halo, (substituted) alkyl, alkenyl, alkynyl, heterocyclyl, aminocarbonyl; Rc = alkyl, aryl, heterocyclyl], were prepd. for treating angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammation, etc. Thus, 4-aminopyrimidine was stirred with NaH in THF; 2-bromo-5-phenylthiazole was added and the mixt. was refluxed overnight to give 5-phenylthiazol-2-yl pyrimidin-4-yl amine. I inhibited vascular endothelial growth factor-stimulated mitogenesis of human vascular endothelial cells with IC50 = 0.01-5.0 nM.

IT **436851-36-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidinylaminothiazoles as tyrosine kinase inhibitors)

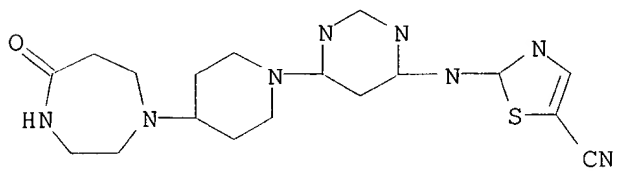
RN 436851-36-4 CAPLUS

CN 5-Thiazolecarbonitrile, 2-[[6-[4-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-1-piperidinyl]-4-pyrimidinyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 436851-35-3

CMF C18 H22 N8 O S



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CRN 76-05-1
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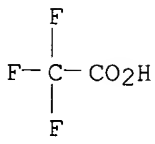
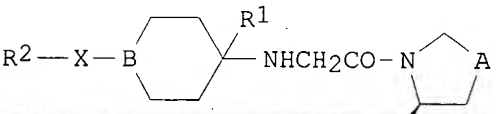


L19 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:293615 CAPLUS
DOCUMENT NUMBER: 136:325559
TITLE: Preparation of nitrogenous five-membered ring compounds such as (S)-N-[N-cyclohexyl or N-(4-piperidinyl)glycyl]pyrrolidine-2-carbonitrile derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Yasuda, Kosuke; Morimoto, Hiroshi; Kawanami, Saburo; Hikota, Masataka; Matsumoto, Takeshi; Arakawa, Kenji
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030890	A1	20020418	WO 2001-JP8802	20011005
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094196	A5	20020422	AU 2001-94196	20011005

PRIORITY APPLN. INFO.:
JP 2000-308528 A 20001006
JP 2000-312562 A 20001012
JP 2001-99251 A 20010330
WO 2001-JP8802 W 20011005

OTHER SOURCE(S): MARPAT 136:325559
GI

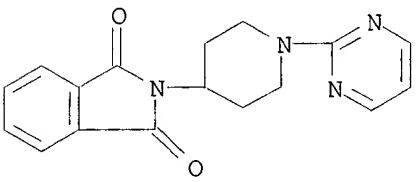


AB Aliph. nitrogenous five-membered ring compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein A is CH2 or S; B is CH or N; R1 is H, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl; X

is a single bond, CO, -Alk-CO-, -COCH2-, -Alk-O-, -O-CH2-, SO2, S, CO2, -CON(R3)-, -Alk-CON(R3)-, -CON(R3)CH2-, -Alk-CON(R3)CH2-, -COCH2N(R3)-, -SO2NR3-, or NHCH2; R3 is H or lower alkyl; Alk is lower alkylene; and R2 is (1) an optionally substituted mono or bicyclic hydrocarbyl or heterocyclyl, (2) amino substituted by 1- 2 of optionally substituted lower alkyl, or (3) lower alkyl, carboxy-lower alkyl, lower alkoxy, lower alkenyl, lower alkoxy-lower alkyl, PhO, phenoxy-lower alkyl, or phenyl-lower alkenyl with the proviso that when X is CO, B is N; or when X is a single bond, R2 is selected from groups listed in (1) and (2)] are prepd. These compds. are useful as dipeptidyl peptidase IV inhibitors for the prevention or treatment of diabetes, in particular type II diabetes (no data). Thus, a soln. of 100 mg (S)-1-bromoacetyl-2-cyanopyrrolidine and 247 mg 4-amino-1-(2-pyrimidinyl)piperidine in MeOH/MeCN was stirred at room temp. for 15 h to give, after treatment with 2 N HCl/Et2O, (S)-2-cyano-1-[[[1-(2-pyrimidinyl)piperidin-4-yl]amino]acetyl]pyrrolidine dihydrochloride.

IT **412357-33-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nitrogenous five-membered ring compds. such as (S)-N-glycylpyrrolidinecarbonitrile derivs. as dipeptidyl peptidase IV inhibitors for prevention or treatment of diabetes, in particular type II diabetes)

RN 412357-33-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:31429 CAPLUS
DOCUMENT NUMBER: 136:102394
TITLE: Aryl phenylheterocyclyl sulfide derivatives and their use as cell adhesion-inhibiting anti-inflammatory and immune-suppressive agents
INVENTOR(S): Wang, Gary T.; Wang, Sheldon; Gentles, Robert
PATENT ASSIGNEE(S): Abbott Lab., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002539	A1	20020110	WO 2001-US20128	20010622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

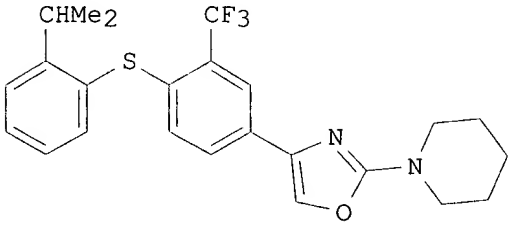
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001068718 A5 20020114 AU 2001-68718 20010622

PRIORITY APPLN. INFO.: US 2000-606717 A 20000629
WO 2001-US20128 W 20010622

OTHER SOURCE(S): MARPAT 136:102394

GI



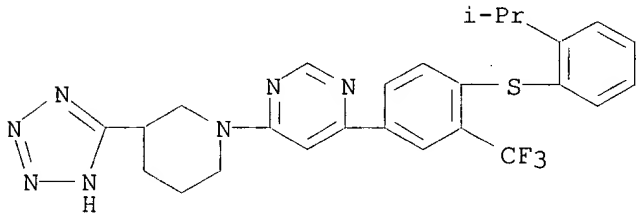
I

AB Title compds. were prepd. for treating inflammatory and immune diseases, such as arthritis, asthma, reperfusion injury, inflammatory bowel disease etc. The products had IC50 <20 mM for inhibition of ICAM-1 binding to LFA-1. 2-Me2CHC6H4SHwas etherified with 4,3-F(F3C)C6H3COMe, followed by bromination, and reaction with 1-carbamoylpiperidine to give the sulfide I.

IT **388117-78-0P 388117-79-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl phenylheterocyclyl sulfides as cell adhesion-inhibiting antiinflammatory and immunosuppressive agents)

RN 388117-78-0 CAPLUS

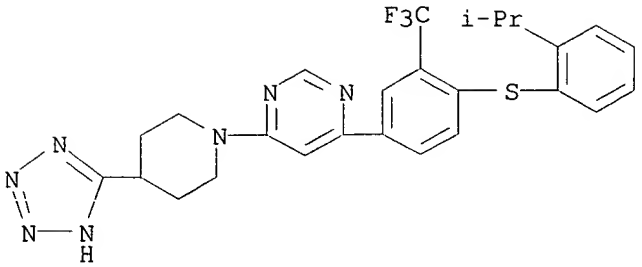
CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[3-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 388117-79-1 CAPLUS

CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[4-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

[redacted]



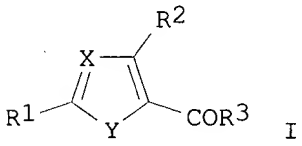
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:816647 CAPLUS
DOCUMENT NUMBER: 135:357948
TITLE: Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors
INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083460	A1	20011108	WO 2001-JP2034	20010315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001041142	A5	20011112	AU 2001-41142	20010315

PRIORITY APPLN. INFO.: JP 2000-130371 A 20000428
WO 2001-JP2034 W 20010315

OTHER SOURCE(S): MARPAT 135:357948
GI



I

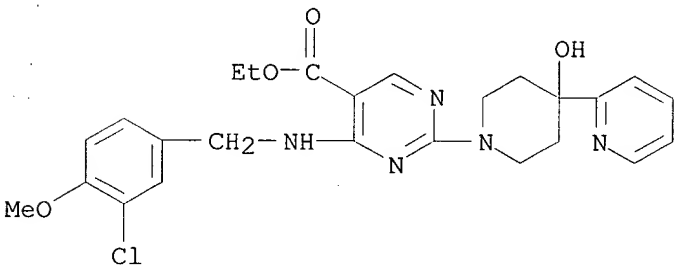
AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group

which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated wit NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT **372115-10-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

RN 372115-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2002 ACS

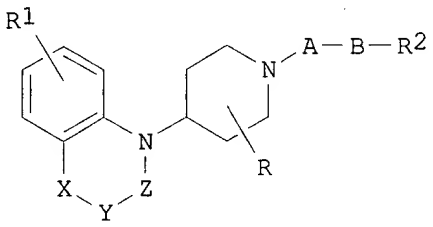
ACCESSION NUMBER: 2001:453040 CAPLUS
DOCUMENT NUMBER: 135:61343
TITLE: Preparation of 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-ones as purinoceptor P2X7 receptor antagonists for use in the treatment of inflammatory, immune, or cardiovascular diseases
INVENTOR(S): Baxter, Andrew; Kindon, Nicholas; Pairaudeau, Garry; Roberts, Bryan; Thom, Stephen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2

[redacted]

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001044213 A1 20010621 WO 2000-SE2504 20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: SE 1999-4652 A 19991217
OTHER SOURCE(S): MARPAT 135:61343
GI



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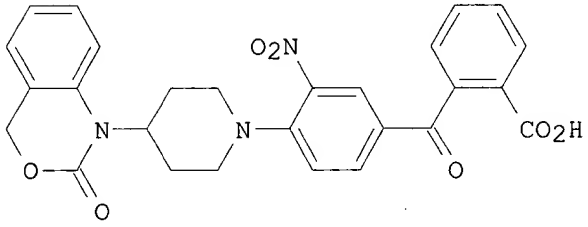


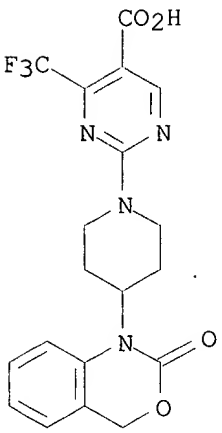
II

AB Title compds. (I) [wherein A = (un)substituted Ph or 5- or 6-membered heterocycle; B = CO, NH, or SO2; X = CO, CH(Me), O, or (CH2)p; p = 0-1; Y = O, CH2, NH, or S; Z = CO or SO2; R = H or alkyl; R1 = H or halo; R2 = (un)substituted Ph; or a pharmaceutically acceptable salt or solvate] were prepd. purinoceptor P2X7 receptor antagonists. For example, 1-piperidin-1-yl-1,4-dihydro-2H-3,1-benzoxazin-2-one.bul.HCl, 2-(4-chloro-3-nitrobenzyl)benzoic acid, and TEA in DMF were stirred at room temp. for 72 h to give II. Each of the example compds. demonstrated antagonist activity at the P2X7 receptor with pIC50 values > 5.00. Thus, I are particularly useful for effecting immunosuppression or for treating rheumatoid arthritis (no data).

IT **345583-10-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)

RN 345583-10-0 CAPLUS

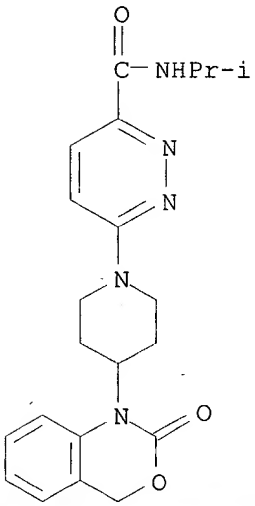
CN 5-Pyrimidinecarboxylic acid, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **345582-89-0P 345583-11-1P 345583-12-2P**
345583-13-3P 345583-14-4P 345583-15-5P
345583-16-6P 345583-17-7P 345583-18-8P
345583-19-9P 345583-20-2P 345583-21-3P
345583-22-4P 345583-23-5P 345583-24-6P
345583-25-7P 345583-26-8P 345583-27-9P
345583-29-1P 345583-43-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)

RN 345582-89-0 CAPLUS

CN 3-Pyridazinecarboxamide, N-(1-methylethyl)-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



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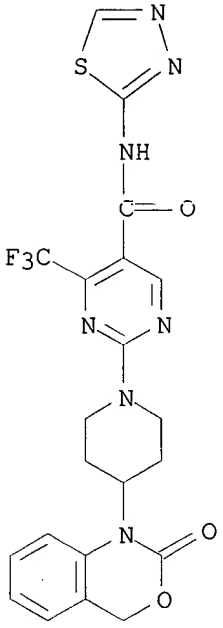
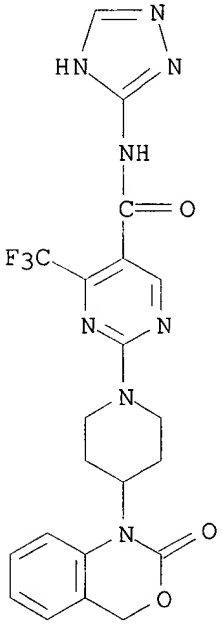
piperidinyl]-N-1,3,4-thiadiazol-2-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

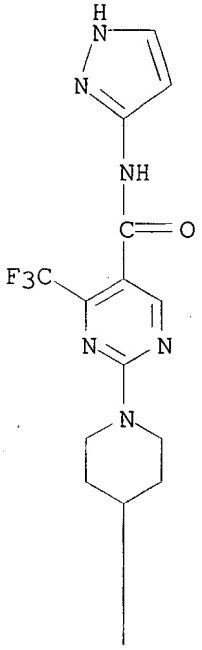


RN 345583-12-2 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-N-1H-1,2,4-triazol-3-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

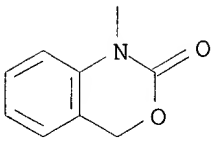


RN 345583-13-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-N-1H-pyrazol-3-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 345583-14-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(4-hydroxycyclohexyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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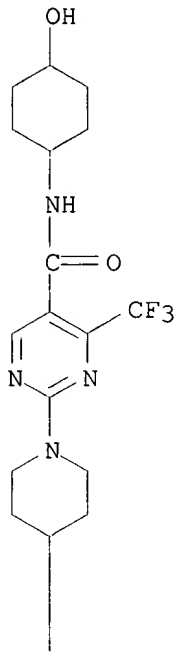
PAGE 1-A



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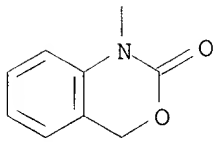
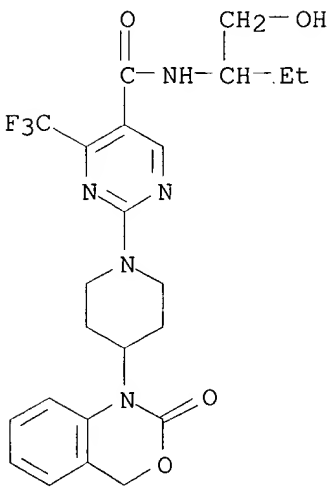
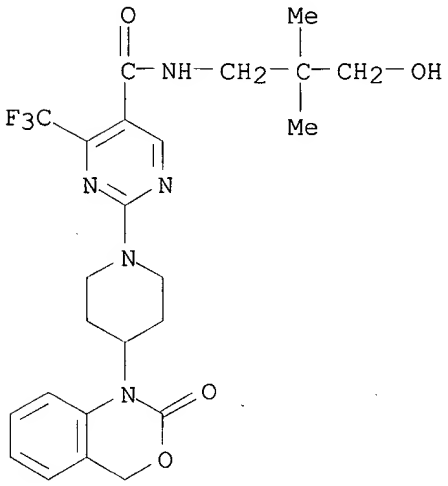


RN 345583-15-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[1-(hydroxymethyl)propyl]-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

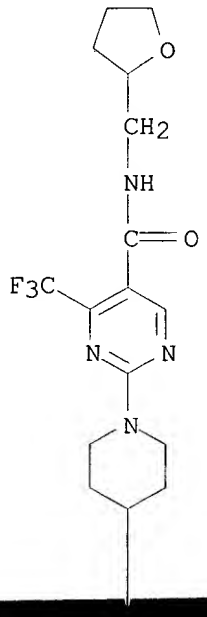


RN 345583-16-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(3-hydroxy-2,2-dimethylpropyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 345583-17-7 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-N-[(tetrahydro-2-furanyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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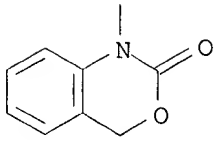
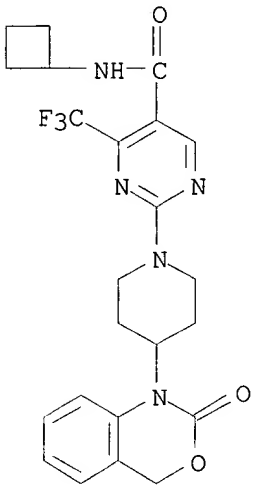
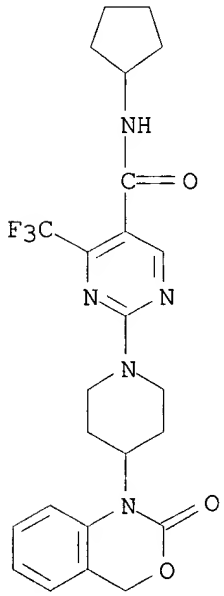


RN 345583-18-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-cyclobutyl-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



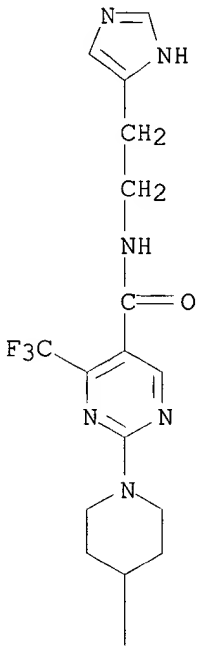
RN 345583-19-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-cyclopentyl-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



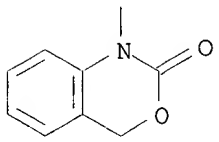
RN 345583-20-2 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-(1H-imidazol-4-yl)ethyl]-2-[4-(2-oxo-2H-3,1-

benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

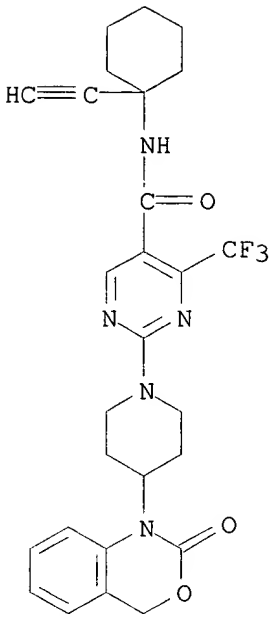


PAGE 2-A



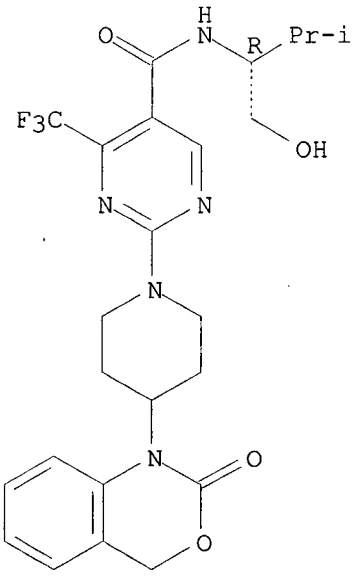
RN 345583-21-3 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(1-ethynylcyclohexyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

[redacted]

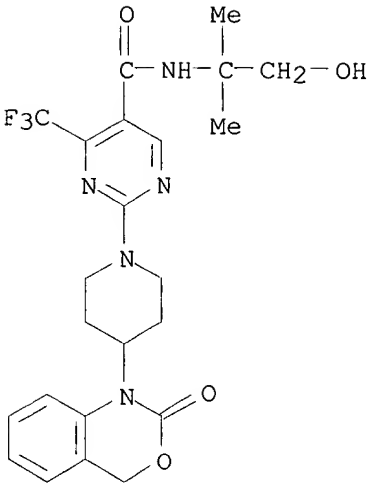


RN 345583-22-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[(1R)-1-(hydroxymethyl)-2-methylpropyl]-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

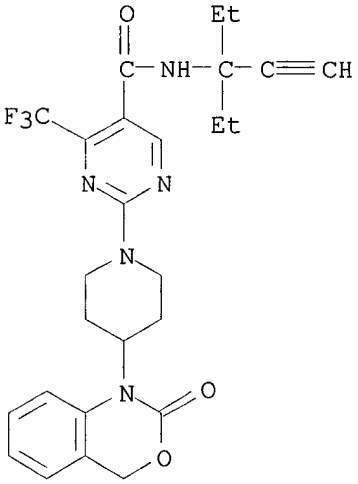
Absolute stereochemistry.



RN 345583-23-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(2-hydroxy-1,1-dimethylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

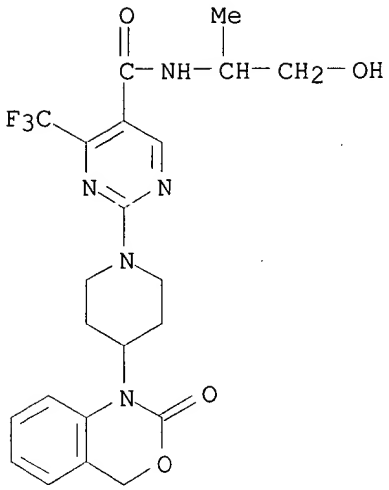


RN 345583-24-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(1,1-diethyl-2-propynyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

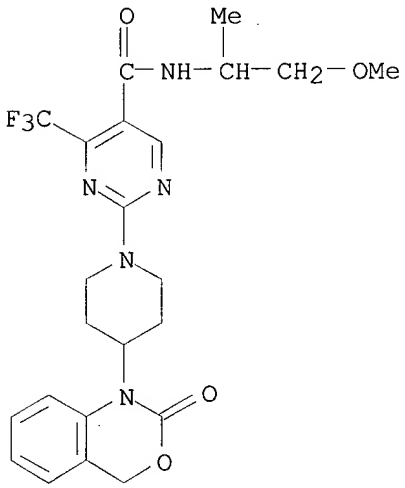


RN 345583-25-7 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(2-hydroxy-1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

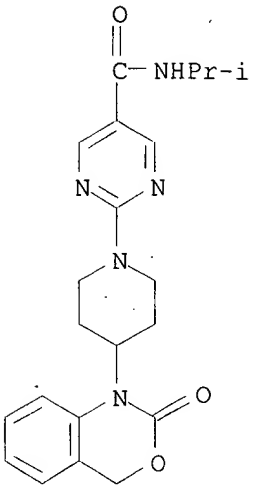
[redacted]



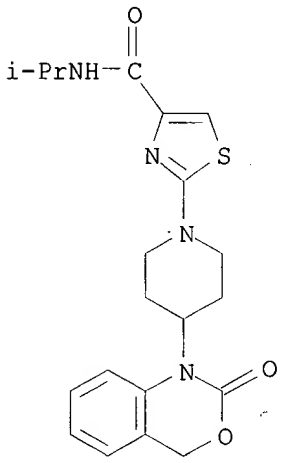
RN 345583-26-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(2-methoxy-1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 345583-27-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

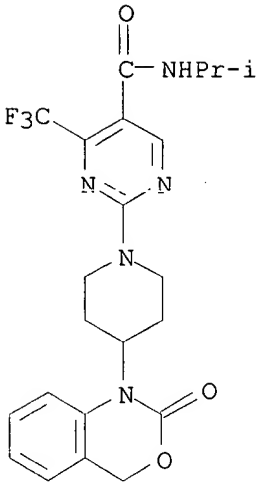


RN 345583-29-1 CAPLUS
CN 4-Thiazolecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 345583-43-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

[redacted]

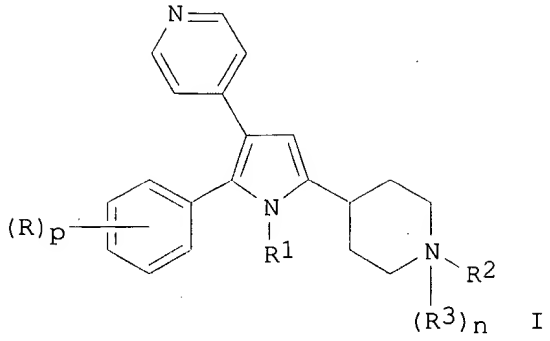


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:359798 CAPLUS
DOCUMENT NUMBER: 134:366802
TITLE: Diaryl piperidyl pyrrole derivatives useful as antiprotozoal agents
INVENTOR(S): Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai; Ponpipom, Mitree M.; Qian, Xiaoxia; Fisher, Michael H.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck + Co., Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034149	A1	20010517	WO 2000-US30747	20001109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6291480	B1	20010918	US 2000-710147	20001110
US 6384052	B1	20020507	US 2000-709959	20001110

PRIORITY APPLN. INFO.: US 1999-165142P P 19991112
OTHER SOURCE(S): MARPAT 134:366802
GI



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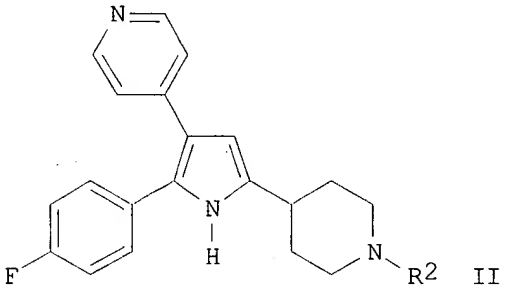


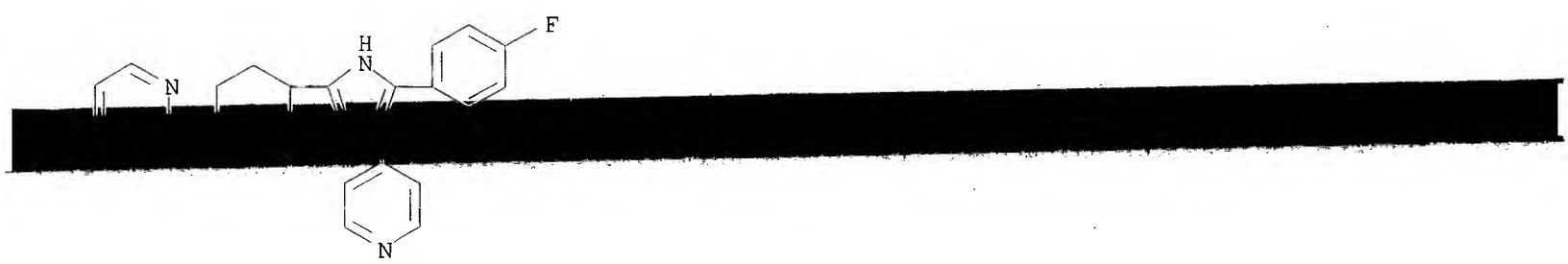
II

AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; R = halo; R1 = H or alkyl; R2 = (un)substituted alk(en/yn)yl, cycloalkyl(alkyl), (hetero)aryl(alkyl); R3 = O or CH3; with 3 specific exclusions]. Approx. 100 compds. were prepd. For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R2 = H], which was reductively N-alkylated by acetaldehyde and NaBH(OAc)3 to give title compd. II [R2 = Et].

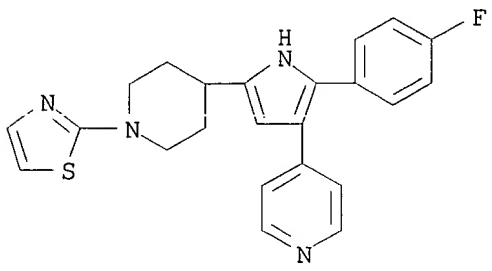
IT **339988-61-3P**, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole **339988-63-5P**, 2-(4-Fluorophenyl)-5-[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

RN 339988-61-3 CAPLUS

CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 339988-63-5 CAPLUS
CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

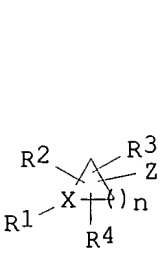
L29 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:283949 CAPLUS
DOCUMENT NUMBER: 134:311218
TITLE: Synthesis and use of heterocyclic sodium/proton exchange inhibitors
INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu, Khehyong; Atwal, Karnail S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027107	A2	20010419	WO 2000-US27461	20001002
WO 2001027107	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1224183	A2	20020724	EP 2000-968723	20001002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001717	A	20020610	NO 2002-1717	20020411

PRIORITY APPLN. INFO.: US 1999-158755P P 19991012
WO 2000-US27461 W 20001002

OTHER SOURCE(S): MARPAT 134:311218
GI

applicants



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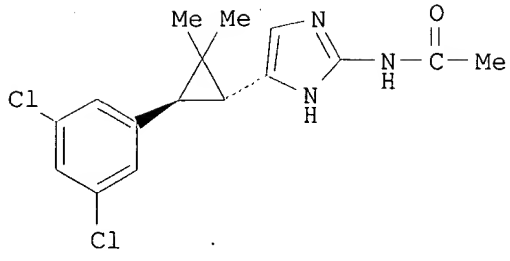


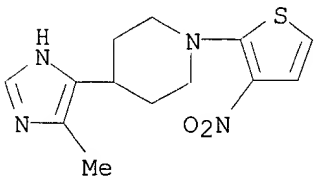
II

AB Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding .alpha.-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT **335062-12-9P 335062-43-6P 335062-57-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

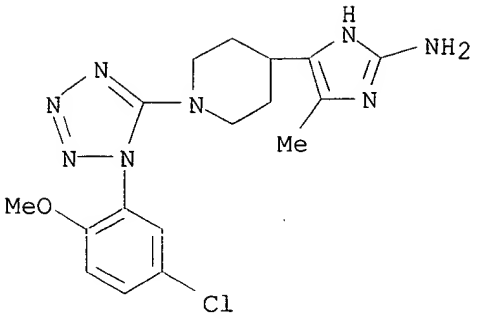
RN 335062-12-9 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-nitro-2-thienyl)- (9CI) (CA INDEX NAME)

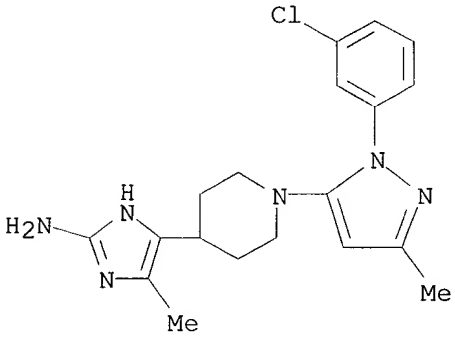


RN 335062-43-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-57-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



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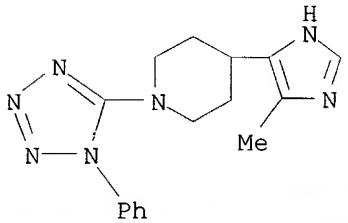
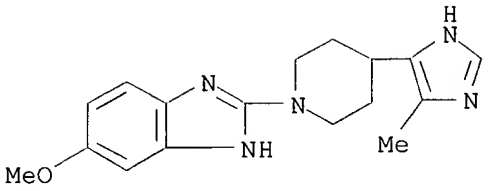
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 335062-07-2 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(1-phenyl-1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

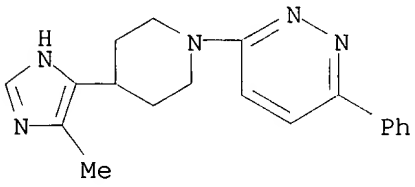


[redacted]

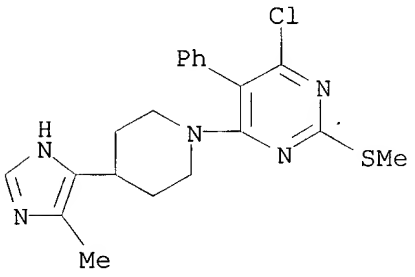
CN 1H-Benzimidazole, 5-methoxy-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



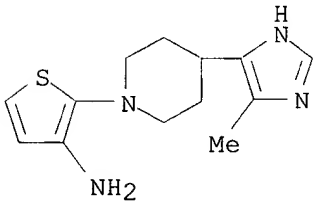
RN 335062-10-7 CAPLUS
CN Pyridazine, 3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenyl- (9CI) (CA INDEX NAME)



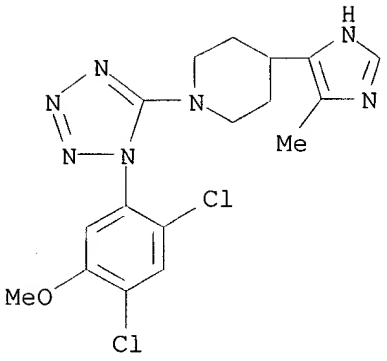
RN 335062-11-8 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)



RN 335062-13-0 CAPLUS
CN 3-Thiophenamine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



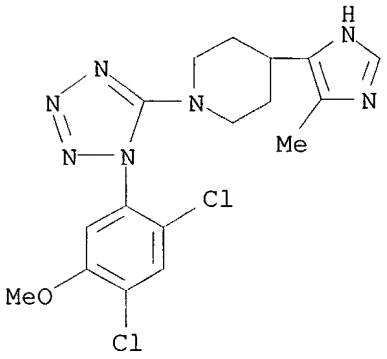
RN 335062-26-5 CAPLUS
CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-27-6 CAPLUS
CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

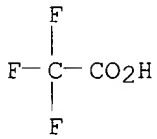
CM 1

CRN 335062-26-5
CMF C17 H19 Cl2 N7 O

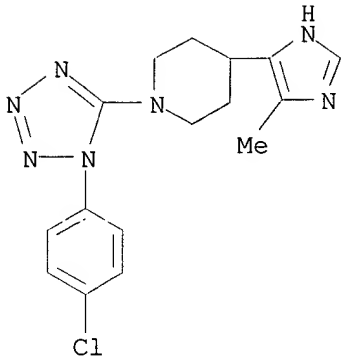


CM 2

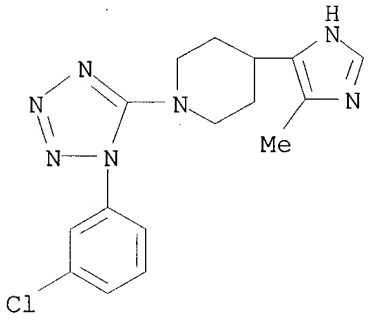
CRN 76-05-1
CMF C2 H F3 O2



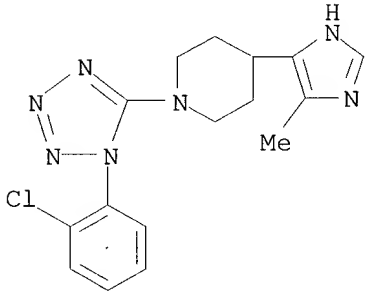
RN 335062-28-7 CAPLUS
CN [redacted]



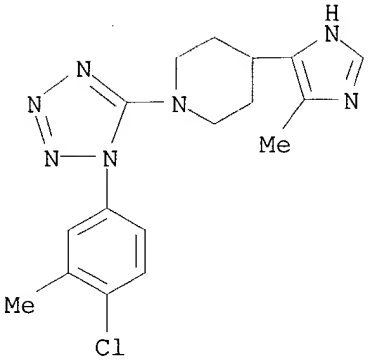
RN 335062-29-8 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



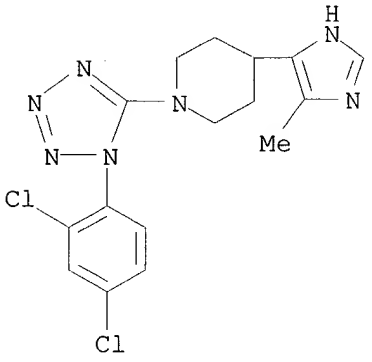
RN 335062-30-1 CAPLUS
CN Piperidine, 1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



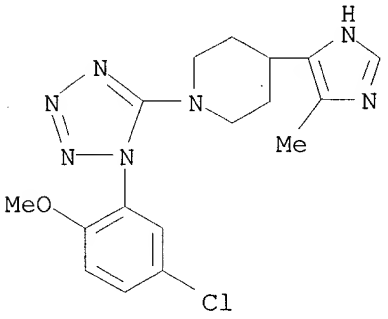
RN 335062-31-2 CAPLUS
CN Piperidine, 1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



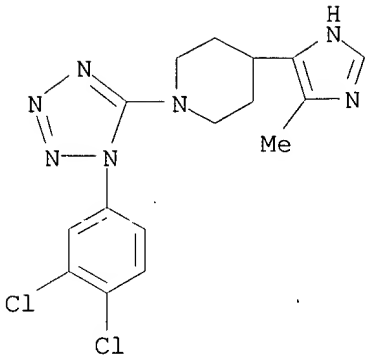
RN 335062-32-3 CAPLUS
CN Piperidine, 1-[1-(2,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



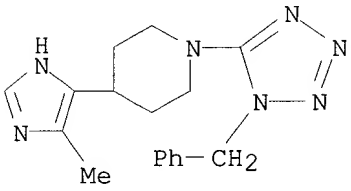
RN 335062-33-4 CAPLUS
CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



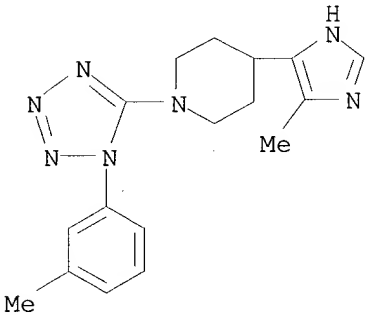
RN 335062-34-5 CAPLUS
CN Piperidine, 1-[1-(3,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-



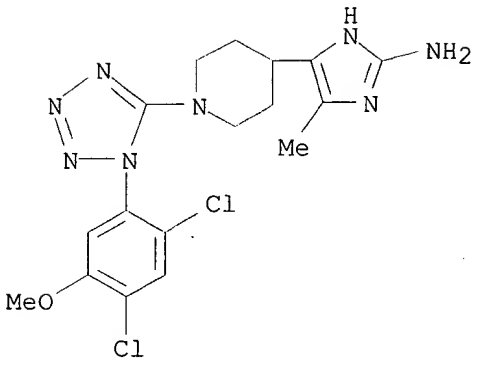
RN 335062-35-6 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(phenylmethyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335062-36-7 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



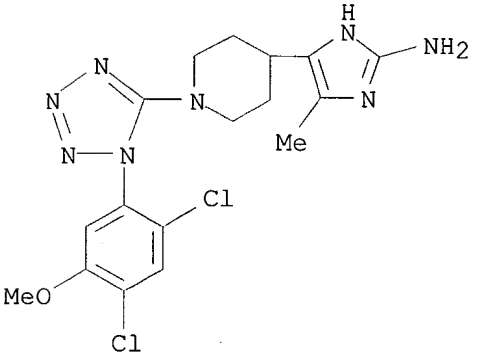
RN 335062-37-8 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-38-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

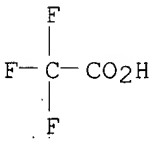
CM 1

CRN 335062-37-8
CMF C17 H20 Cl2 N8 O

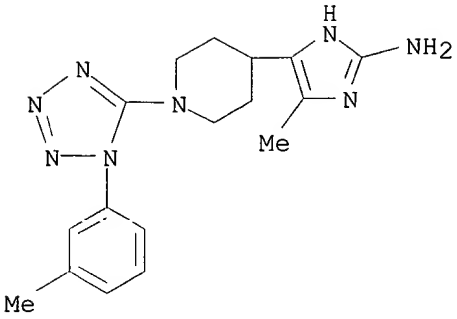


CM 2

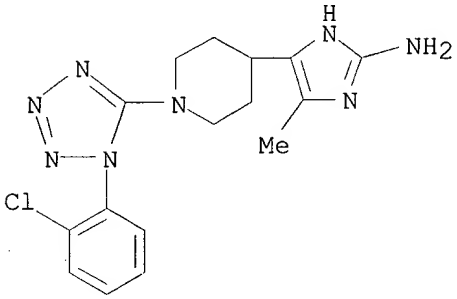
CRN 76-05-1
CMF C2 H F3 O2



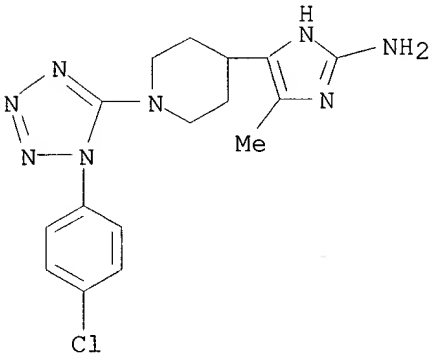
RN 335062-39-0 CAPLUS
CN [redacted]



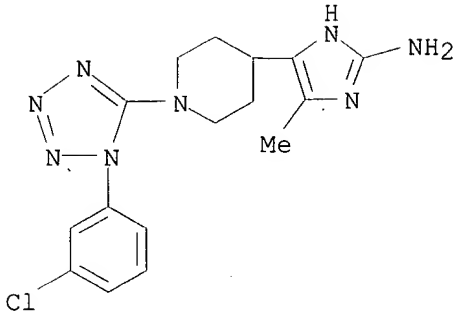
RN 335062-40-3 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



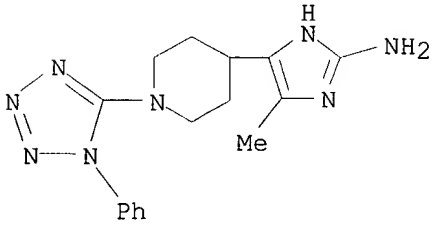
RN 335062-41-4 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



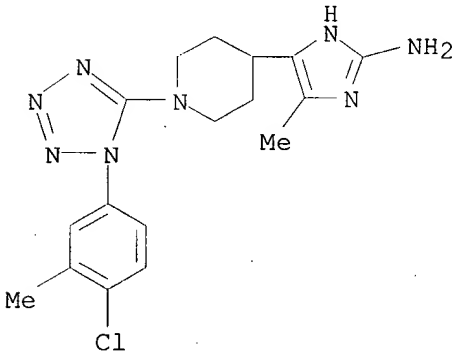
RN 335062-42-5 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-44-7 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

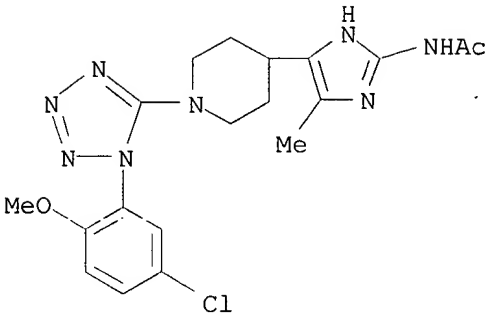


RN 335062-46-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-47-0 CAPLUS
CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

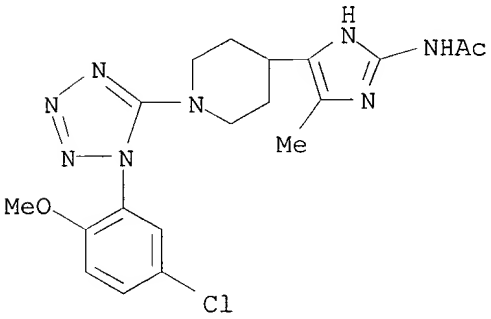
[redacted]



RN 335062-48-1 CAPLUS
CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

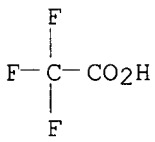
CM 1

CRN 335062-47-0
CMF C19 H23 Cl N8 O2

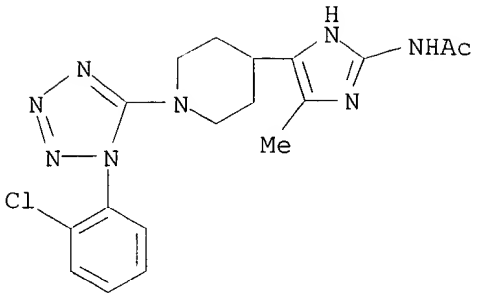


CM 2

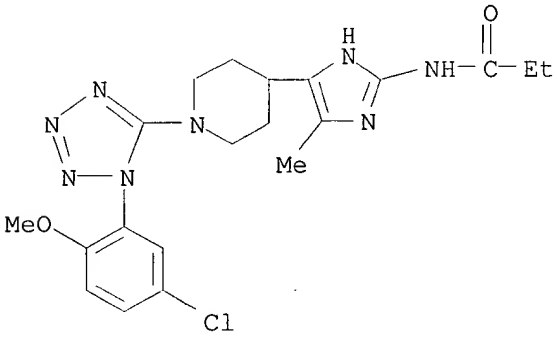
CRN 76-05-1
CMF C2 H F3 O2



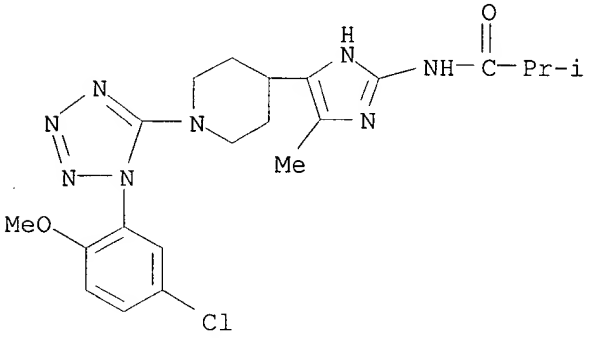
RN 335062-49-2 CAPLUS
CN Acetamide, N-[4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 335062-50-5 CAPLUS
CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



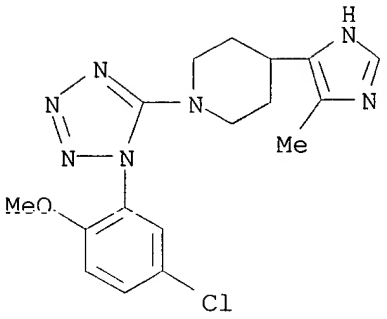
RN 335062-51-6 CAPLUS
CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 335062-52-7 CAPLUS
CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

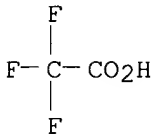
[redacted]

CRN 335062-33-4
CMF C17 H20 Cl N7 O

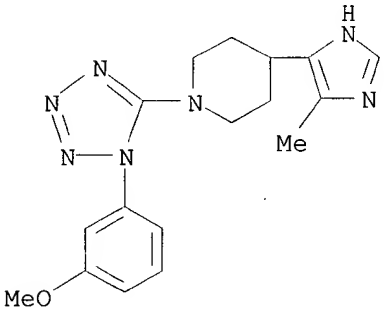


CM 2

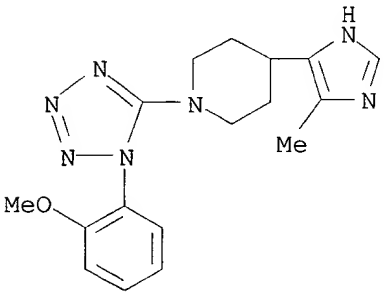
CRN 76-05-1
CMF C2 H F3 O2



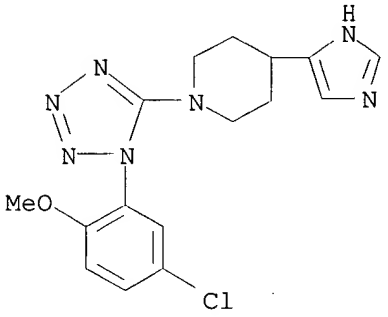
RN 335062-53-8 CAPLUS
CN Piperidine, 1-[1-(3-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



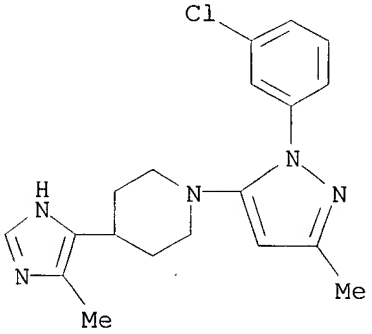
RN 335062-54-9 CAPLUS
CN Piperidine, 1-[1-(2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



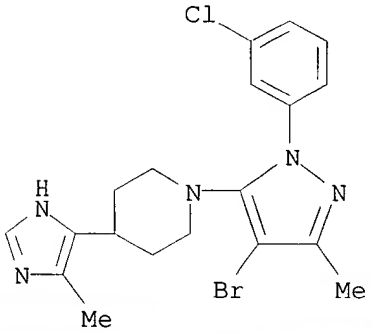
RN 335062-55-0 CAPLUS
CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-56-1 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

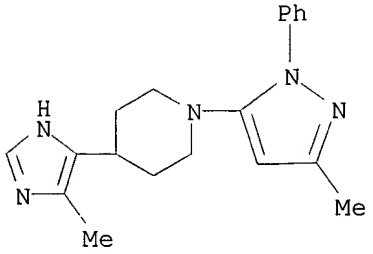


RN 335062-58-3 CAPLUS
CN Piperidine, 1-[4-bromo-1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

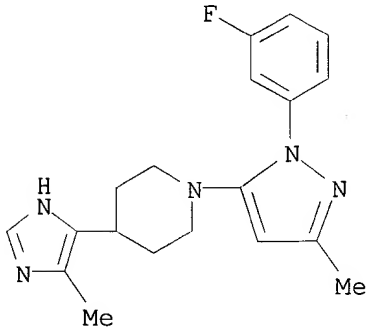


[redacted]

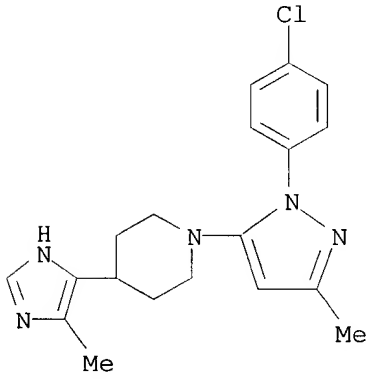
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



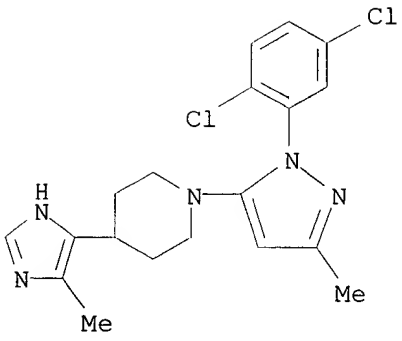
RN 335062-60-7 CAPLUS
CN Piperidine, 1-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



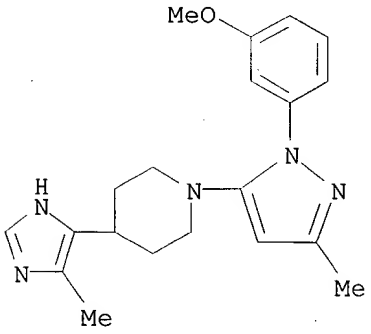
RN 335062-61-8 CAPLUS
CN Piperidine, 1-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



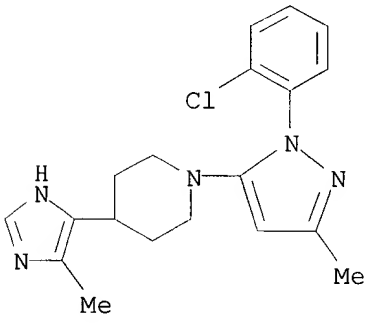
RN 335062-62-9 CAPLUS
CN Piperidine, 1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-63-0 CAPLUS
CN Piperidine, 1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-64-1 CAPLUS
CN Piperidine, 1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-65-2 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

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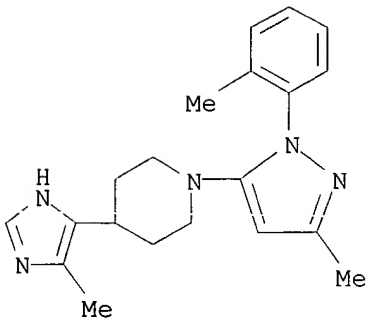
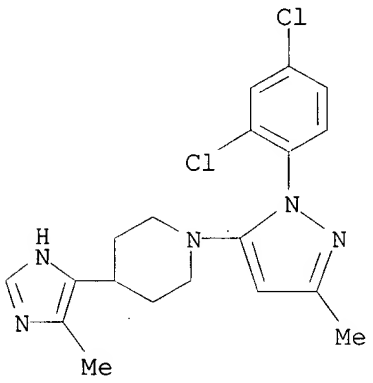
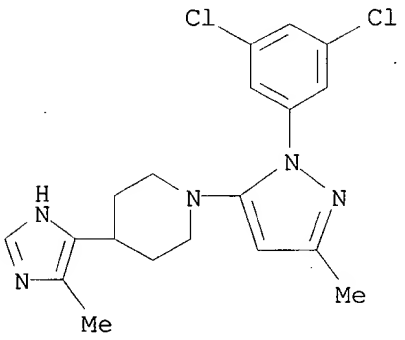


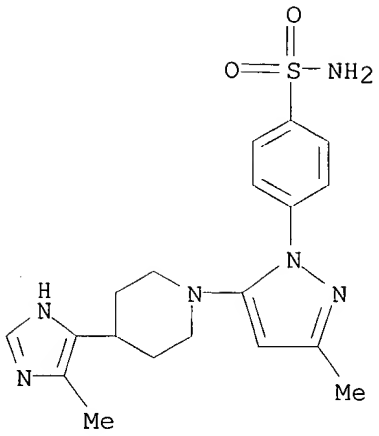
RN 335062-66-3 CAPLUS
CN Piperidine, 1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



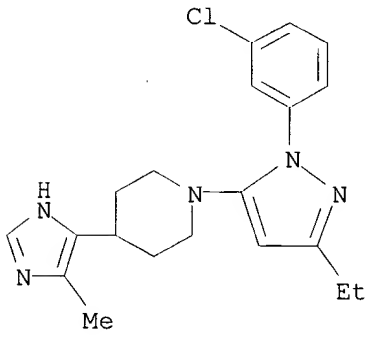
RN 335062-67-4 CAPLUS
CN Piperidine, 1-[1-(3,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



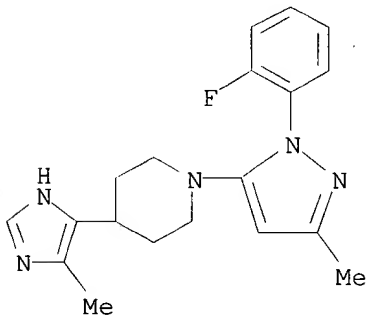
RN 335062-68-5 CAPLUS
CN Benzenesulfonamide, 4-[3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



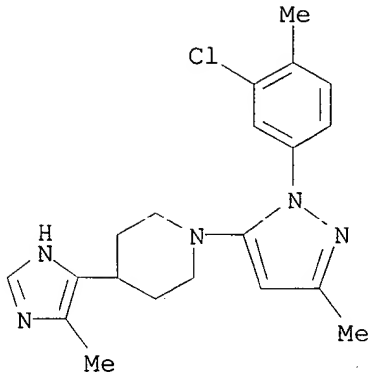
RN 335062-69-6 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



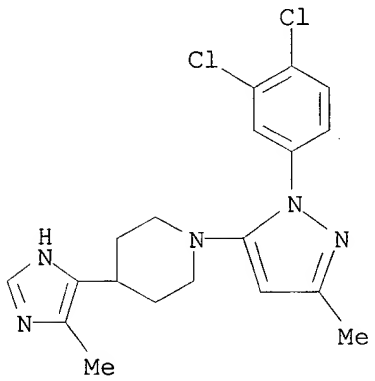
RN 335062-71-0 CAPLUS
CN Piperidine, 1-[1-(2-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



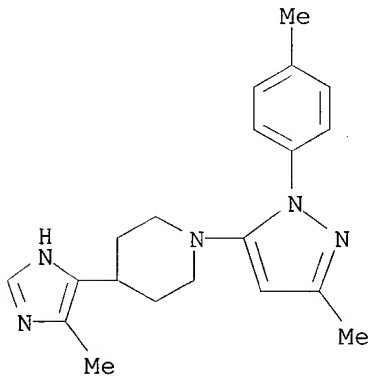
RN 335062-72-1 CAPLUS
CN [redacted]



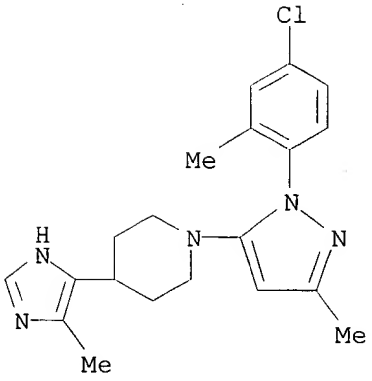
RN 335062-73-2 CAPLUS
CN Piperidine, 1-[1-(3,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



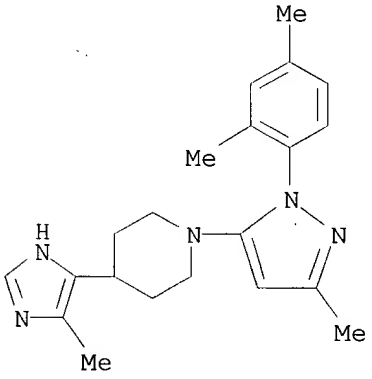
RN 335062-74-3 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



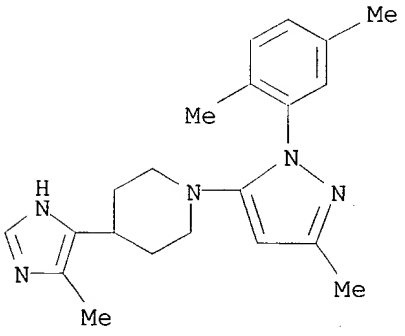
RN 335062-75-4 CAPLUS
CN Piperidine, 1-[1-(4-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



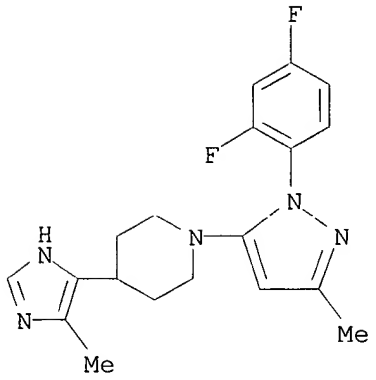
RN 335062-76-5 CAPLUS
CN Piperidine, 1-[1-(2,4-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



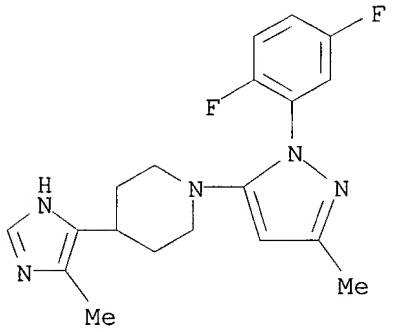
RN 335062-77-6 CAPLUS
CN Piperidine, 1-[1-(2,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



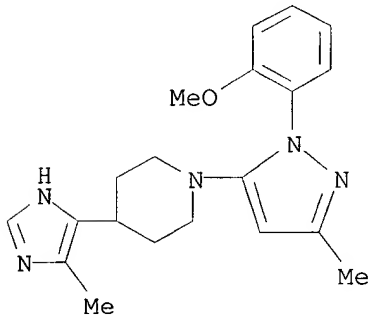
RN 335062-78-7 CAPLUS
CN [redacted]



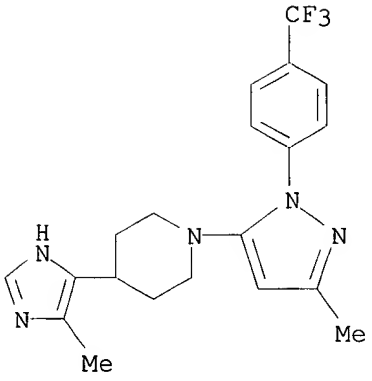
RN 335062-79-8 CAPLUS
CN Piperidine, 1-[1-(2,5-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



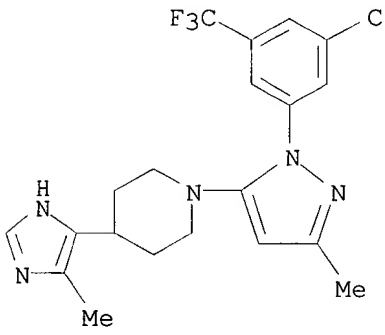
RN 335062-80-1 CAPLUS
CN Piperidine, 1-[1-(2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



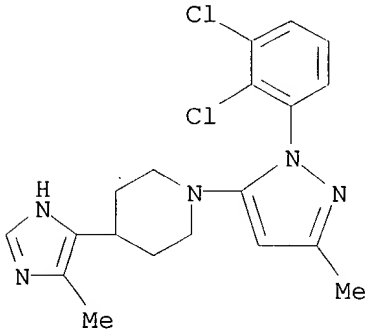
RN 335062-81-2 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



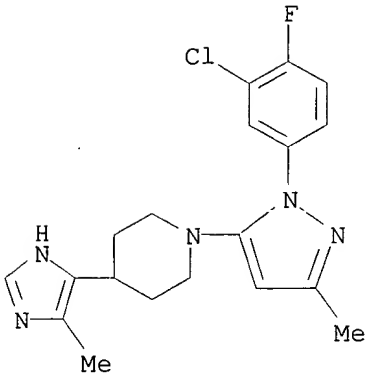
RN 335062-82-3 CAPLUS
CN Piperidine, 1-[1-[3,5-bis(trifluoromethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



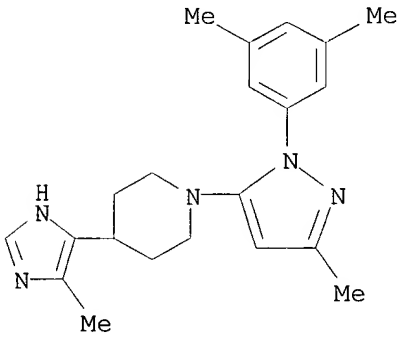
RN 335062-83-4 CAPLUS
CN Piperidine, 1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



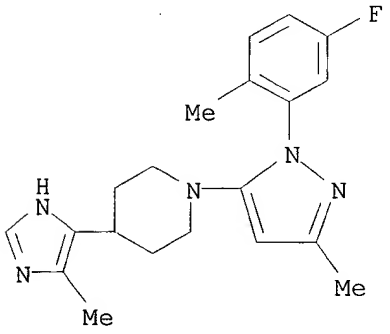
RN 335062-84-5 CAPLUS
CN Piperidine, 1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-



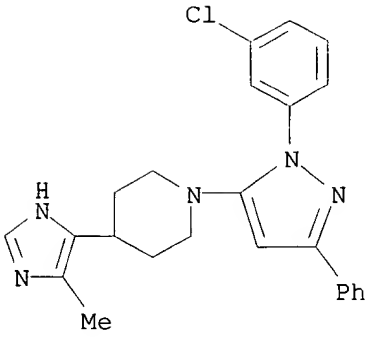
RN 335062-85-6 CAPLUS
CN Piperidine, 1-[1-(3,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



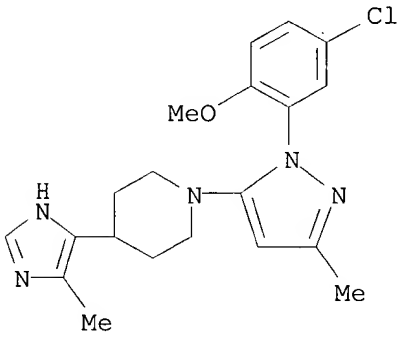
RN 335062-86-7 CAPLUS
CN Piperidine, 1-[1-(5-fluoro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



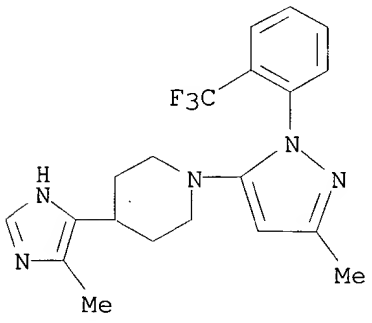
RN 335062-87-8 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-phenyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-88-9 CAPLUS
CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-89-0 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[2-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335062-90-3 CAPLUS
CN Piperidine, 1-[1-(2-chloro-6-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

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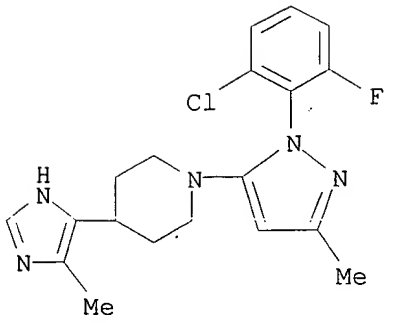
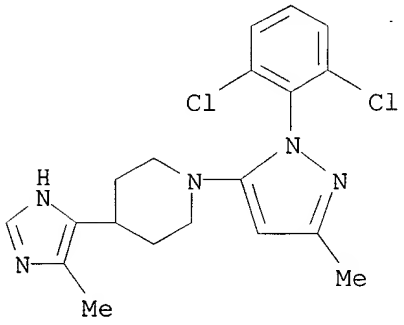
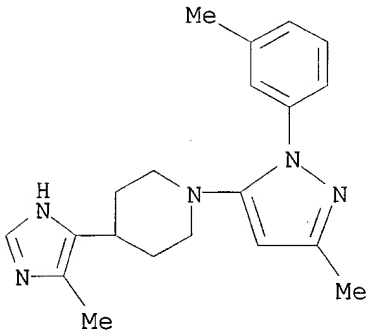


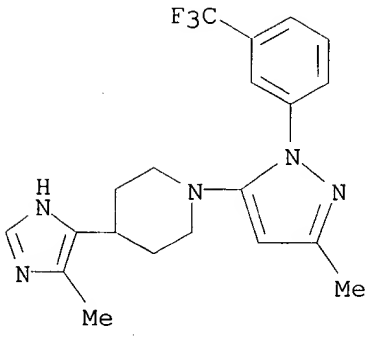
RN 335062-91-4 CAPLUS
CN Piperidine, 1-[1-(2,6-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



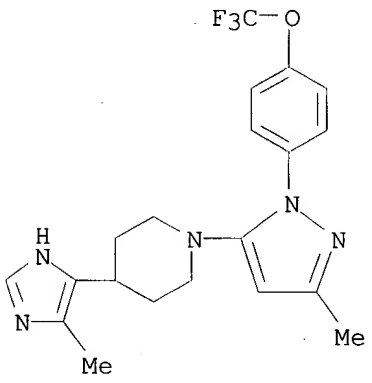
RN 335062-92-5 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



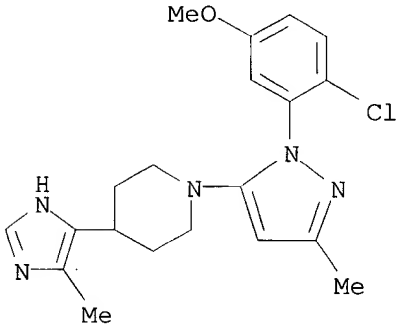
RN 335062-93-6 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



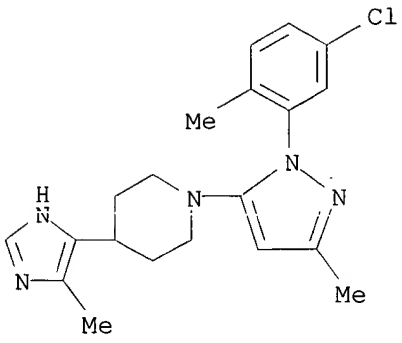
RN 335062-94-7 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



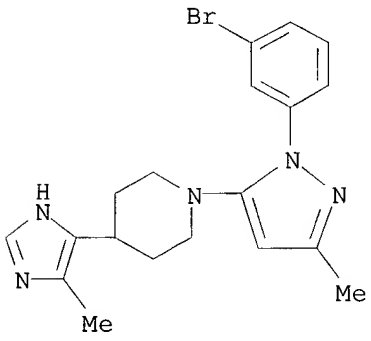
RN 335062-95-8 CAPLUS
CN Piperidine, 1-[1-(2-chloro-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



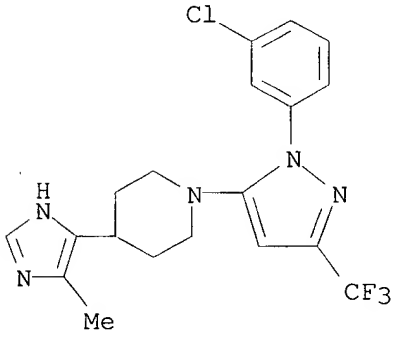
RN 335062-96-9 CAPLUS
CN Piperidine, 1-[1-(5-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-



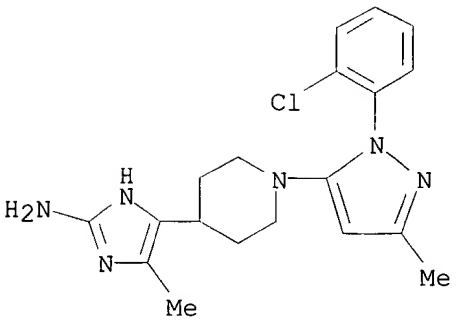
RN 335062-97-0 CAPLUS
CN Piperidine, 1-[1-(3-bromophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



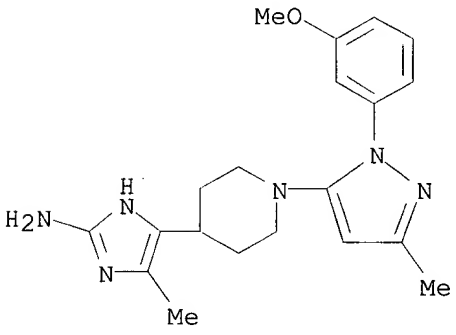
RN 335062-98-1 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



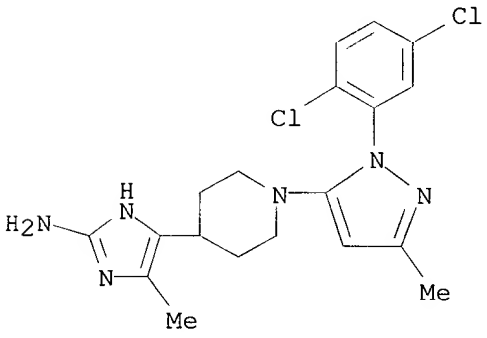
RN 335062-99-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335063-00-8 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

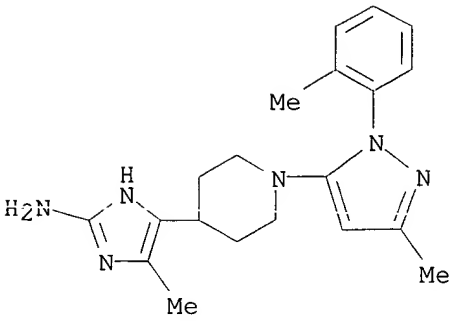


RN 335063-01-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

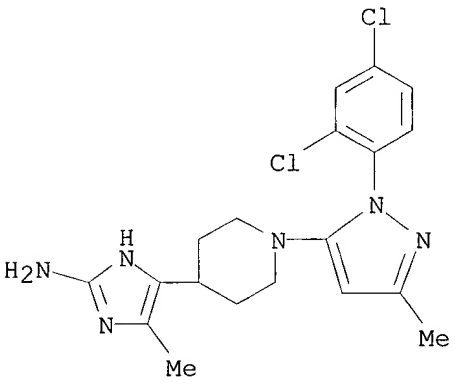


RN 335063-02-0 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

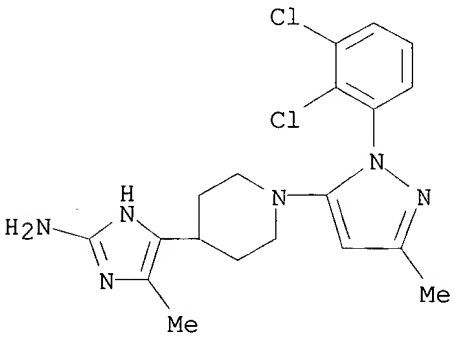
[redacted]



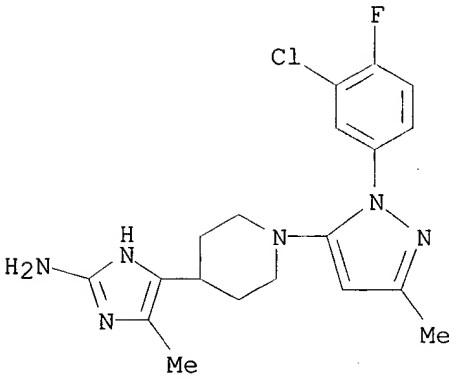
RN 335063-03-1 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



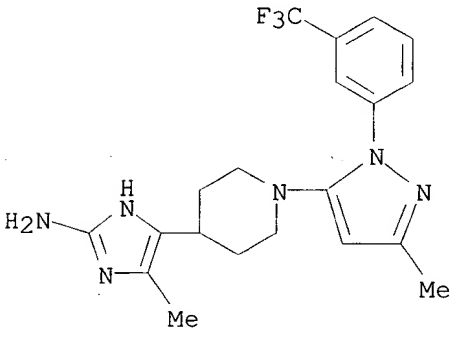
RN 335063-04-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



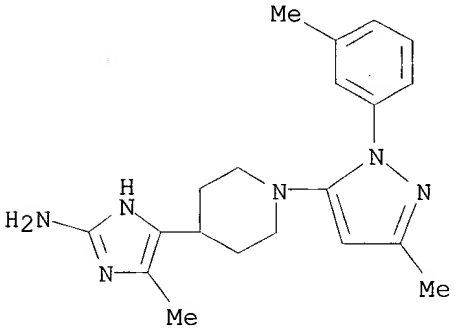
RN 335063-05-3 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335063-06-4 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

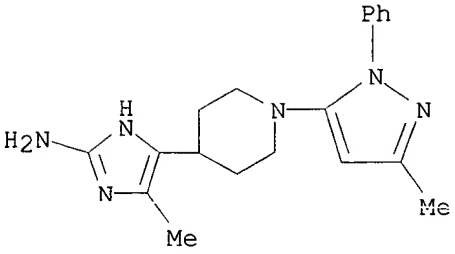


RN 335063-07-5 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

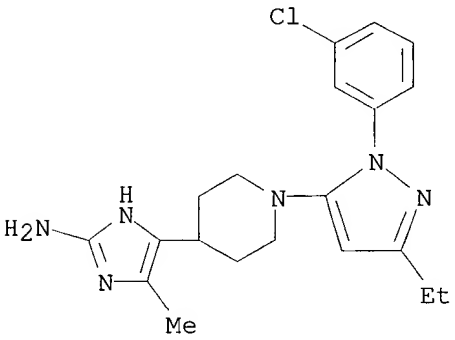


RN 335063-08-6 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

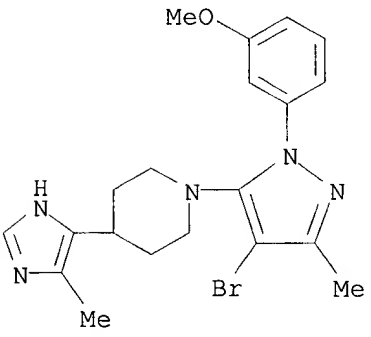
[redacted]



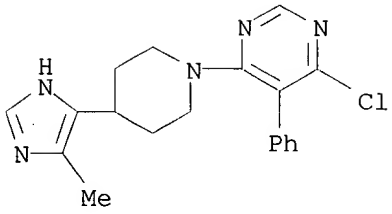
RN 335063-09-7 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335063-10-0 CAPLUS
CN Piperidine, 1-[4-bromo-1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



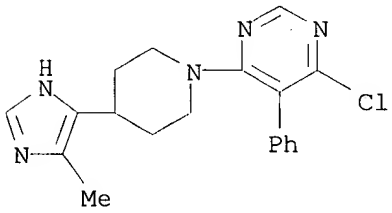
RN 335063-11-1 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-12-2 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

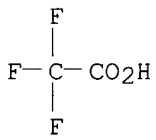
CM 1

CRN 335063-11-1
CMF C19 H20 Cl N5

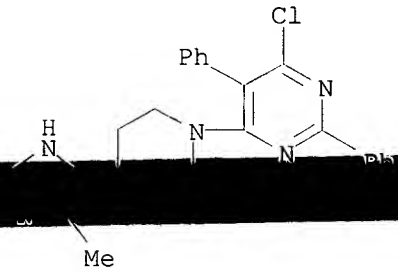


CM 2

CRN 76-05-1
CMF C2 H F3 O2

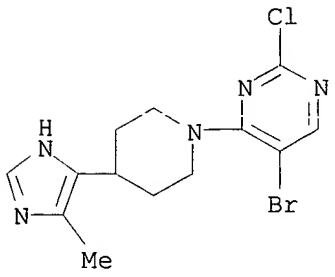


RN 335063-13-3 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5-diphenyl- (9CI) (CA INDEX NAME)

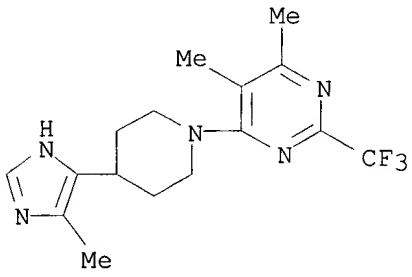


RN 335063-14-4 CAPLUS

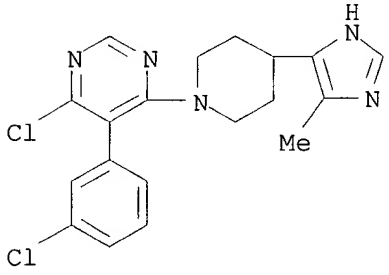
CN Pyrimidine, 5-bromo-2-chloro-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



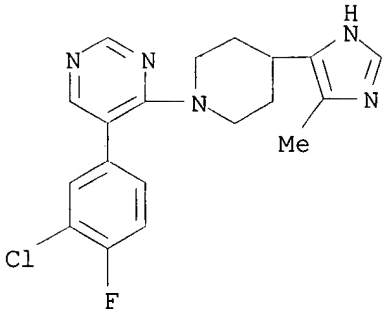
RN 335063-16-6 CAPLUS
CN Pyrimidine, 4,5-dimethyl-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 335063-17-7 CAPLUS
CN Pyrimidine, 4-chloro-5-(3-chlorophenyl)-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



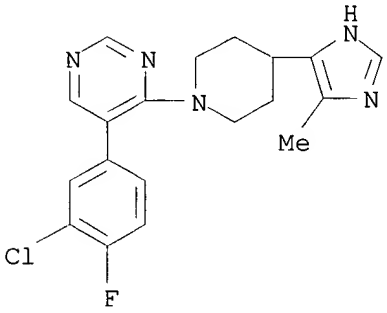
RN 335063-18-8 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-19-9 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

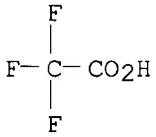
CM 1

CRN 335063-18-8
CMF C19 H19 Cl F N5



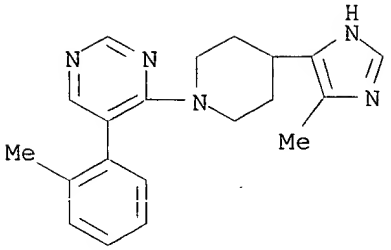
CM 2

CRN 76-05-1
CMF C2 H F3 O2

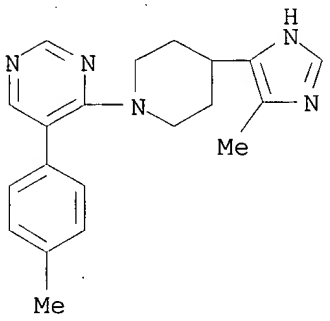


RN 335063-20-2 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-methylphenyl)- (9CI) (CA INDEX NAME)

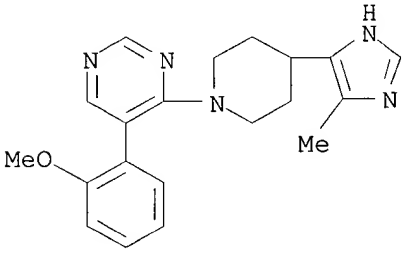
[redacted]



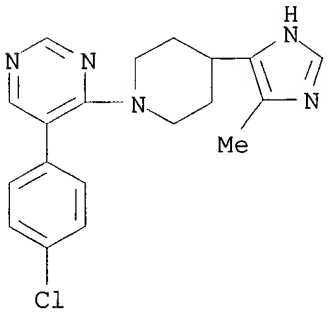
RN 335063-21-3 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



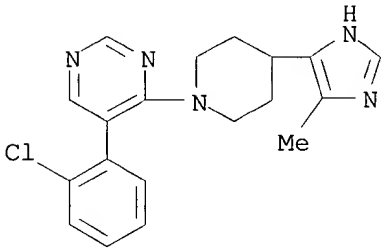
RN 335063-22-4 CAPLUS
CN Pyrimidine, 5-(2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



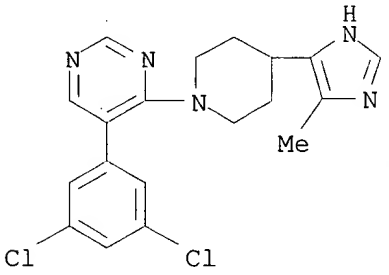
RN 335063-23-5 CAPLUS
CN Pyrimidine, 5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



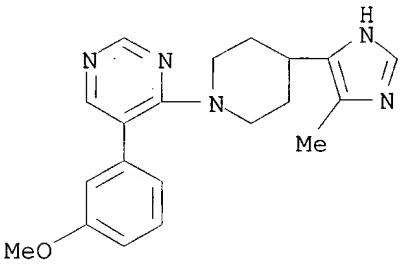
RN 335063-24-6 CAPLUS
CN Pyrimidine, 5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-25-7 CAPLUS
CN Pyrimidine, 5-(3,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

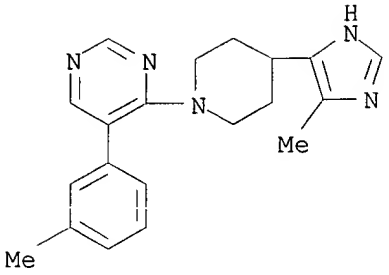


RN 335063-26-8 CAPLUS
CN Pyrimidine, 5-(3-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

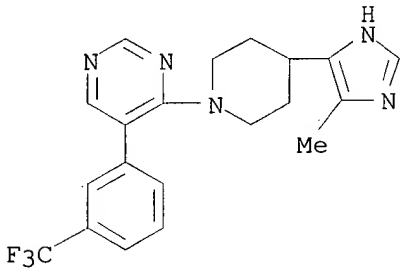


RN 335063-27-9 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

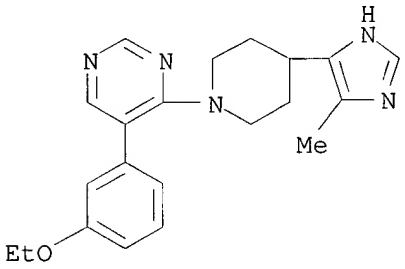
[redacted]



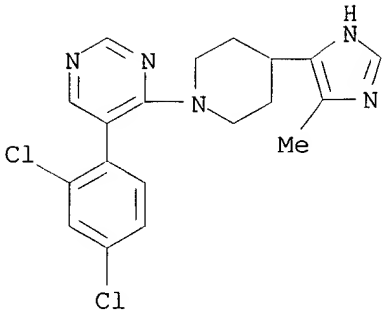
RN 335063-28-0 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



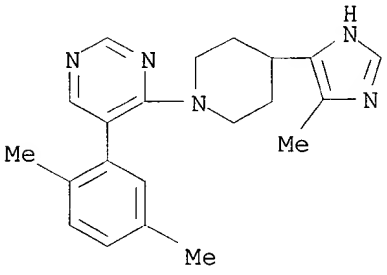
RN 335063-29-1 CAPLUS
CN Pyrimidine, 5-(3-ethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



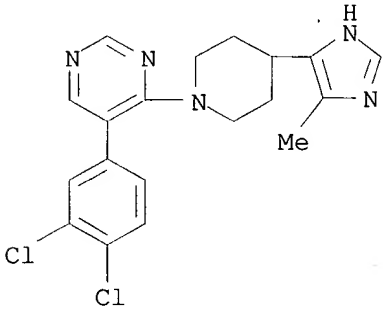
RN 335063-30-4 CAPLUS
CN Pyrimidine, 5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-31-5 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

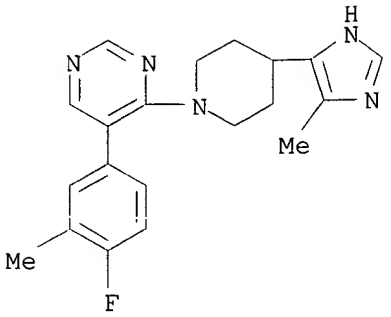


RN 335063-32-6 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

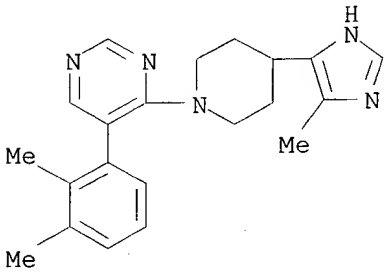


RN 335063-33-7 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

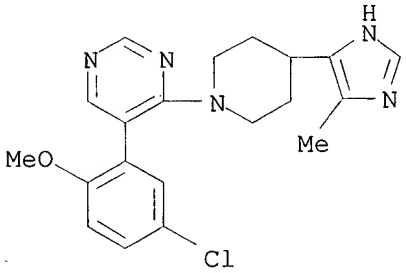
[redacted]



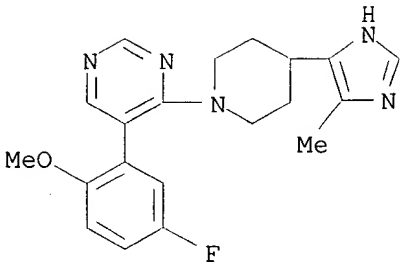
RN 335063-34-8 CAPLUS
CN Pyrimidine, 5-(2,3-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



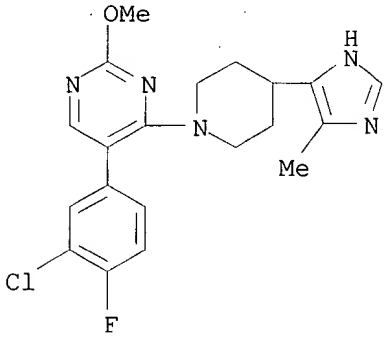
RN 335063-35-9 CAPLUS
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



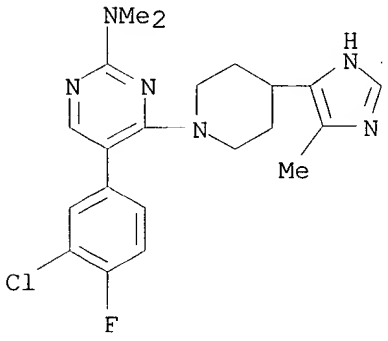
RN 335063-36-0 CAPLUS
CN Pyrimidine, 5-(5-fluoro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-37-1 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

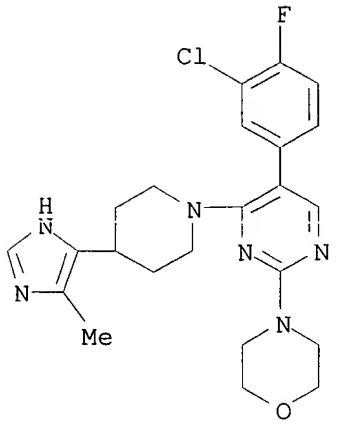


RN 335063-38-2 CAPLUS
CN 2-Pyrimidinamine, 5-(3-chloro-4-fluorophenyl)-N,N-dimethyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

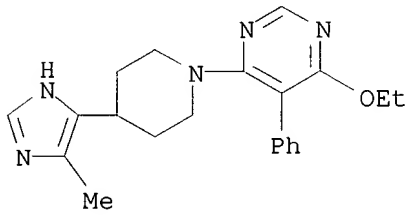


RN 335063-39-3 CAPLUS
CN Morpholine, 4-[5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

[redacted]



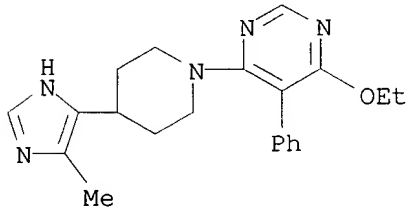
RN 335063-40-6 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-41-7 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

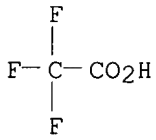
CM 1

CRN 335063-40-6
CMF C21 H25 N5 O

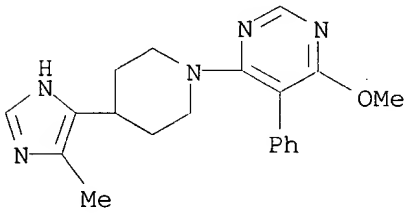


CM 2

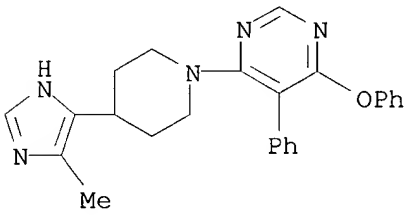
CRN 76-05-1
CMF C2 H F3 O2



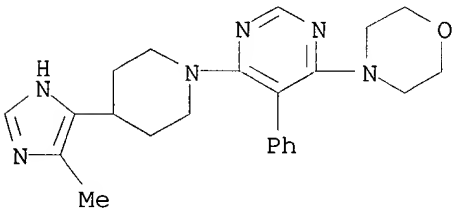
RN 335063-42-8 CAPLUS
CN Pyrimidine, 4-methoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-43-9 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenoxy-5-phenyl- (9CI) (CA INDEX NAME)



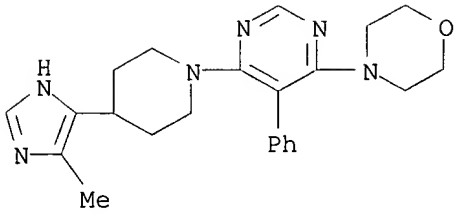
RN 335063-44-0 CAPLUS
CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335063-45-1 CAPLUS
CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

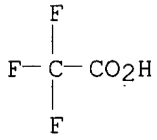
[redacted]

CRN 335063-44-0
CMF C23 H28 N6 O

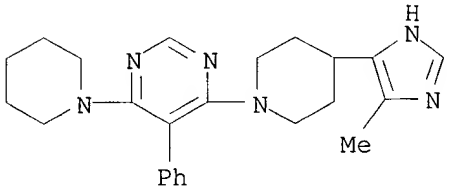


CM 2

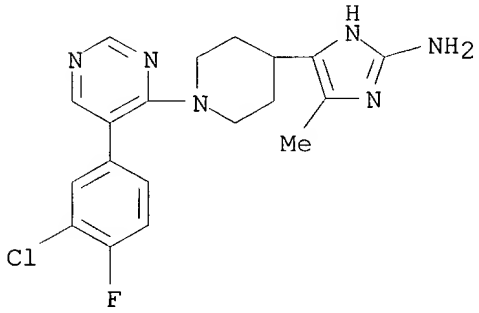
CRN 76-05-1
CMF C2 H F3 O2



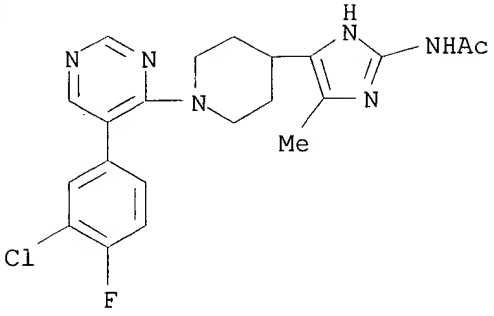
RN 335063-46-2 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



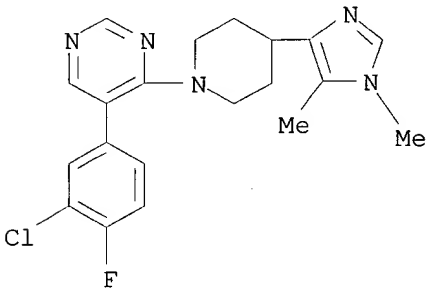
RN 335063-47-3 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



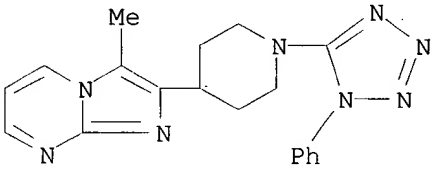
RN 335063-48-4 CAPLUS
CN Acetamide, N-[4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



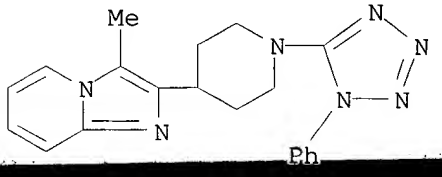
RN 335063-49-5 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(1,5-dimethyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-50-8 CAPLUS
CN Imidazo[1,2-a]pyrimidine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

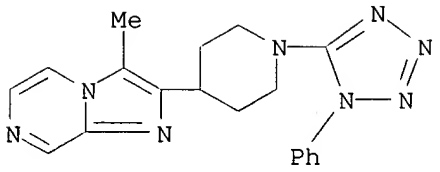


RN 335063-51-9 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

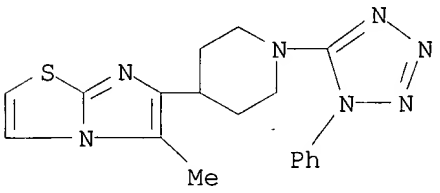


[redacted]

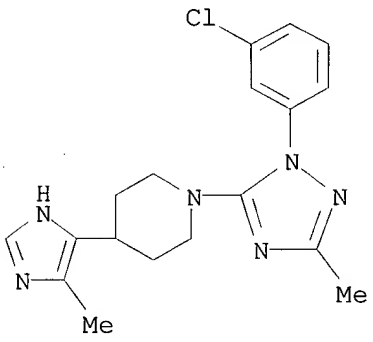
RN 335063-52-0 CAPLUS
CN Imidazo[1,2-a]pyrazine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-53-1 CAPLUS
CN Imidazo[2,1-b]thiazole, 5-methyl-6-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



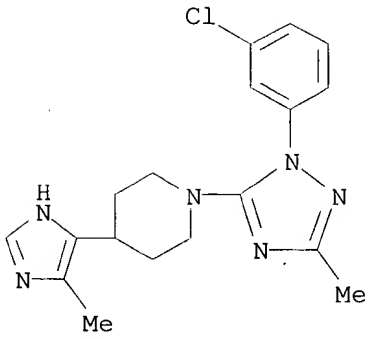
RN 335063-54-2 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-55-3 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

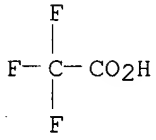
CM 1

CRN 335063-54-2
CMF C18 H21 Cl N6

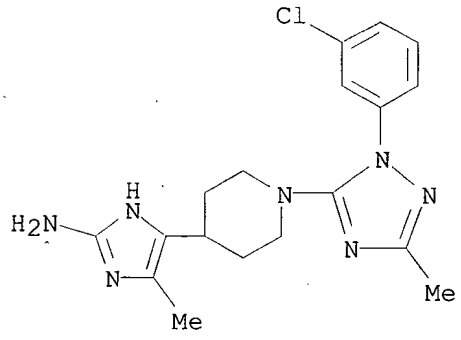


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 335063-56-4 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

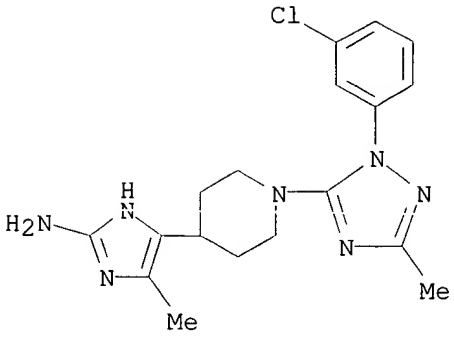


RN 335063-57-5 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

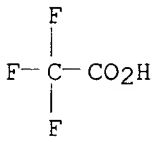
CRN 335063-56-4
CMF C18 H22 Cl N7

[redacted]

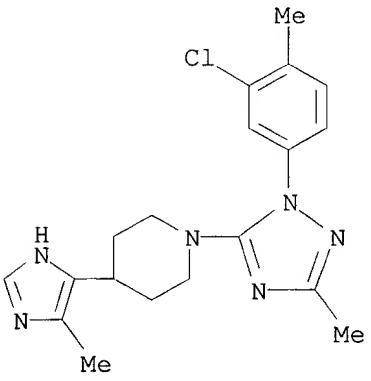


CM 2

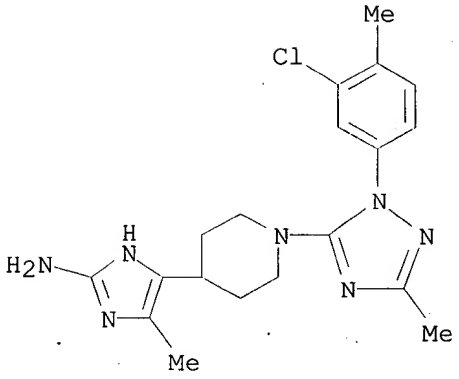
CRN 76-05-1
CMF C2 H F3 O2



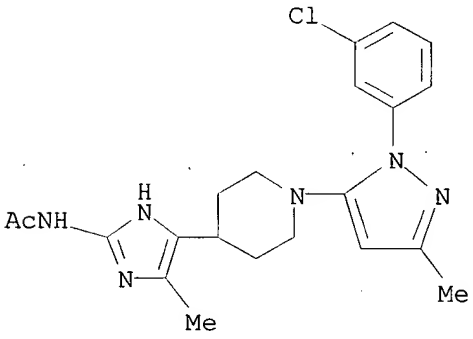
RN 335063-58-6 CAPLUS
CN Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-59-7 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



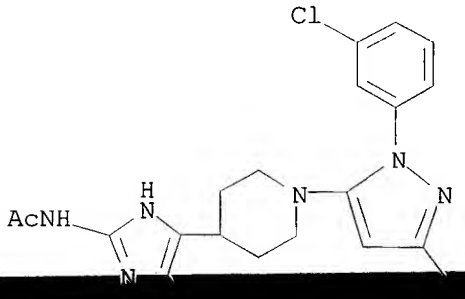
RN 335063-69-9 CAPLUS
CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 335063-70-2 CAPLUS
CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

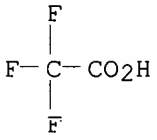
CRN 335063-69-9
CMF C21 H25 Cl N6 O



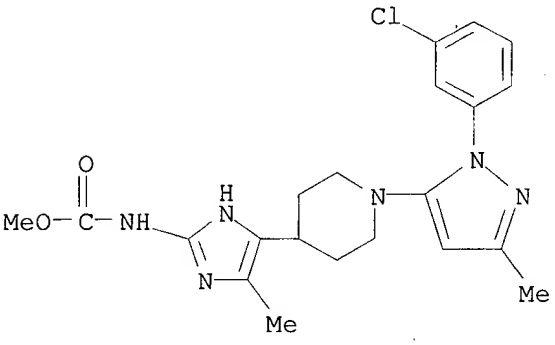
[redacted]

CM 2

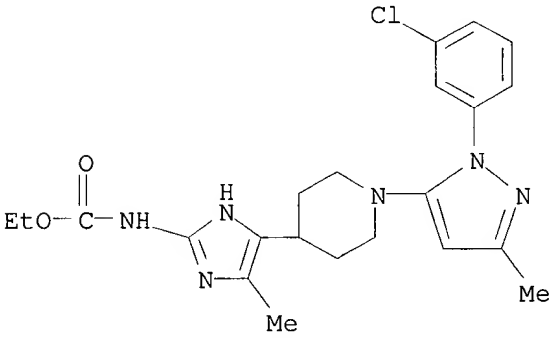
CRN 76-05-1
CMF C2 H F3 O2



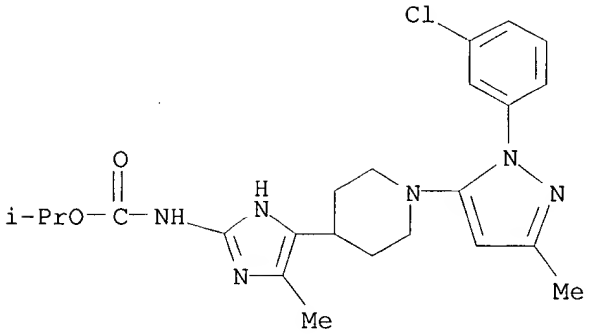
RN 335063-71-3 CAPLUS
CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



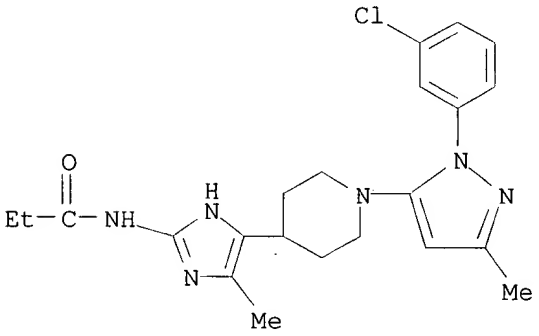
RN 335063-72-4 CAPLUS
CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



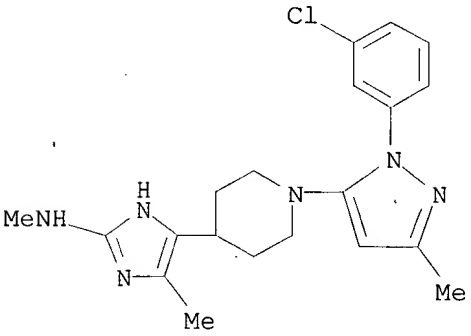
RN 335063-73-5 CAPLUS
CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 335063-74-6 CAPLUS
CN Propanamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

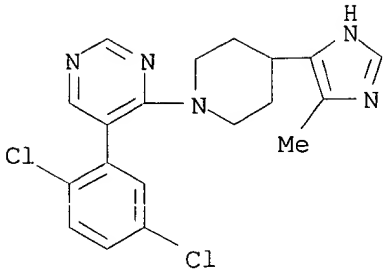


RN 335063-75-7 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

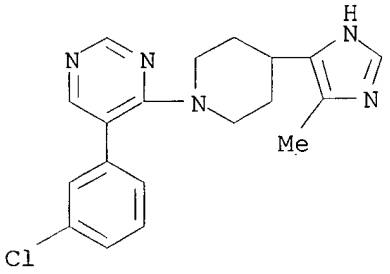


RN 335063-77-9 CAPLUS
CN Pyrimidine, 5-(2,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

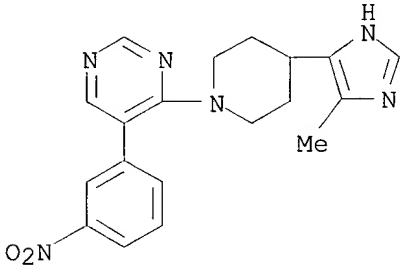
[redacted]



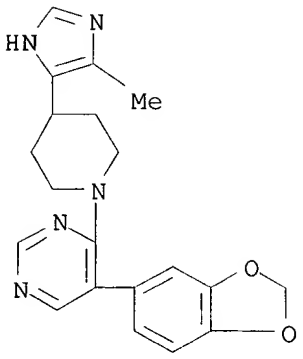
RN 335063-78-0 CAPLUS
CN Pyrimidine, 5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



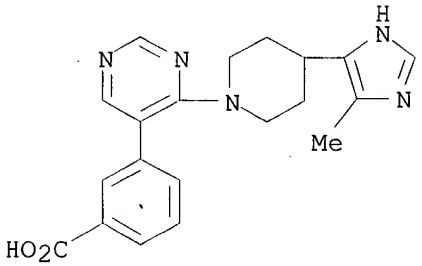
RN 335063-79-1 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



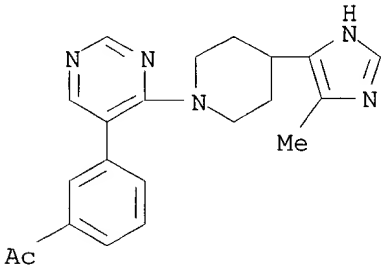
RN 335063-80-4 CAPLUS
CN Pyrimidine, 5-(1,3-benzodioxol-5-yl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-81-5 CAPLUS
CN Benzoic acid, 3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

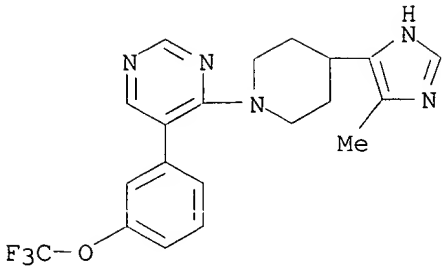


RN 335063-82-6 CAPLUS
CN Ethanone, 1-[3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)

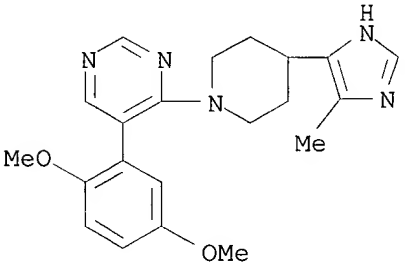


RN 335063-83-7 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

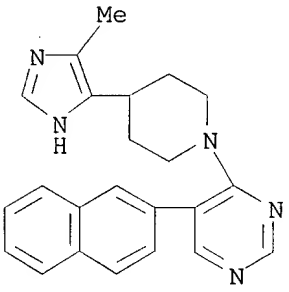
[redacted]



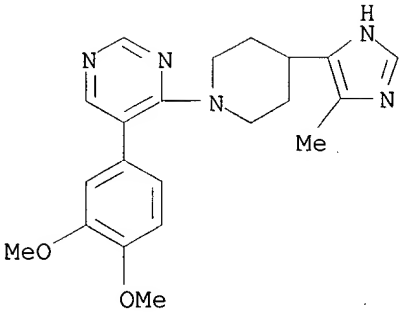
RN 335063-84-8 CAPLUS
CN Pyrimidine, 5-(2,5-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



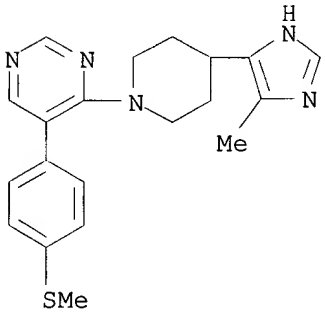
RN 335063-85-9 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



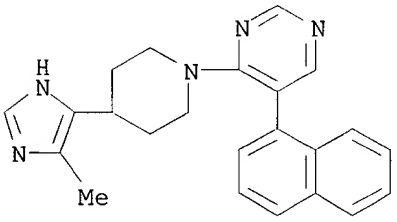
RN 335063-86-0 CAPLUS
CN Pyrimidine, 5-(3,4-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



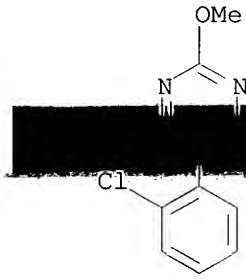
RN 335063-87-1 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



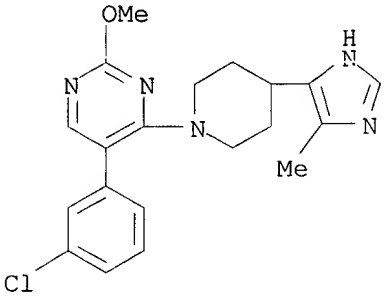
RN 335063-88-2 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



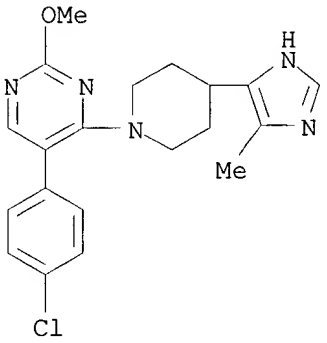
RN 335063-89-3 CAPLUS
CN Pyrimidine, 5-(2-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



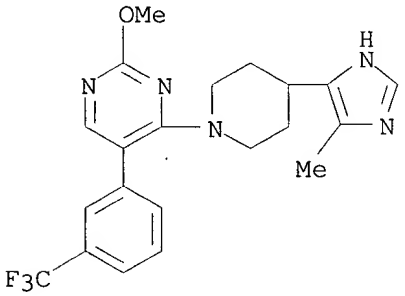
RN 335063-90-6 CAPLUS
CN Pyrimidine, 5-(3-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



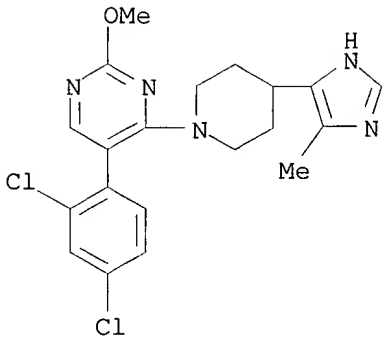
RN 335063-91-7 CAPLUS
CN Pyrimidine, 5-(4-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



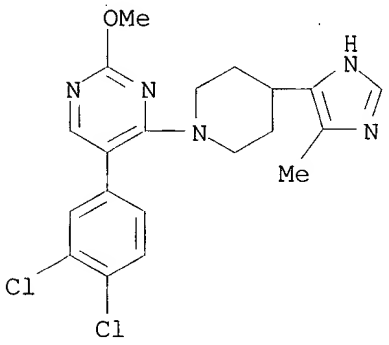
RN 335063-92-8 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



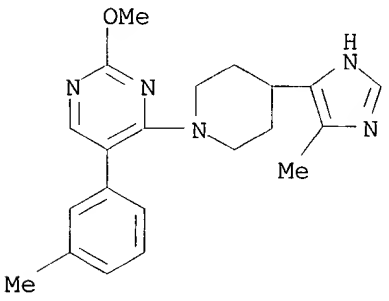
RN 335063-93-9 CAPLUS
CN Pyrimidine, 5-(2,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-94-0 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

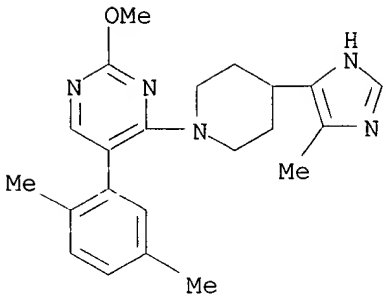


RN 335063-95-1 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

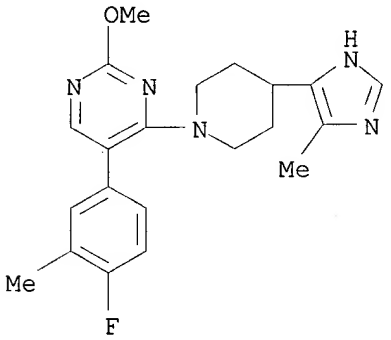


RN 335063-96-2 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

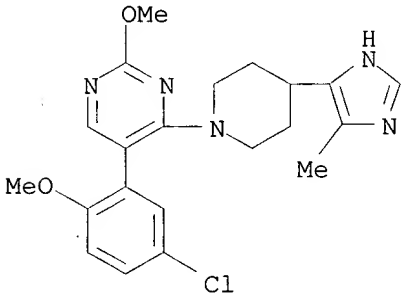
[redacted]



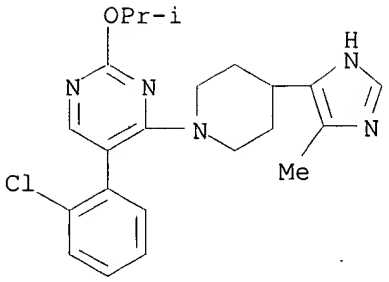
RN 335063-97-3 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



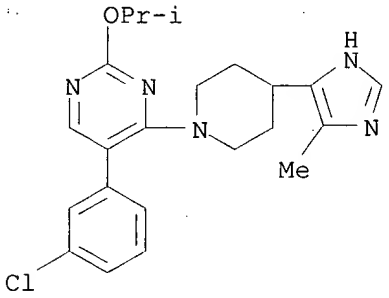
RN 335063-98-4 CAPLUS
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



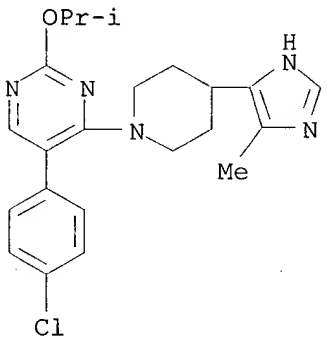
RN 335063-99-5 CAPLUS
CN Pyrimidine, 5-(2-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-00-1 CAPLUS
CN Pyrimidine, 5-(3-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-01-2 CAPLUS
CN Pyrimidine, 5-(4-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-02-3 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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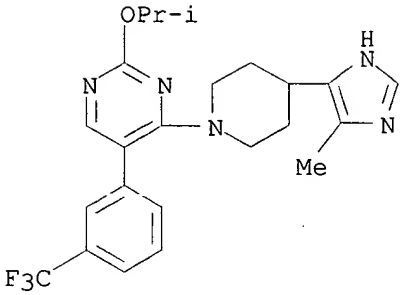
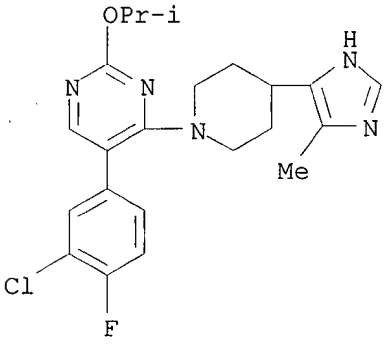
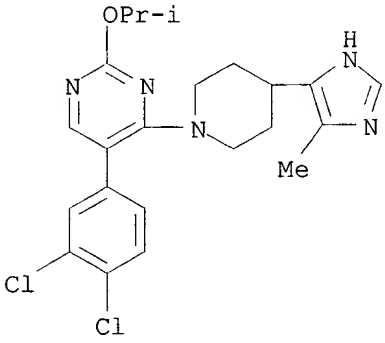


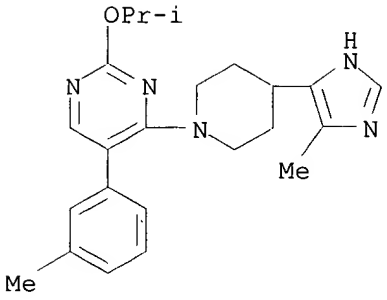
RN 335064-03-4 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



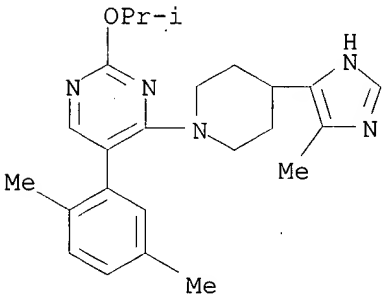
RN 335064-04-5 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



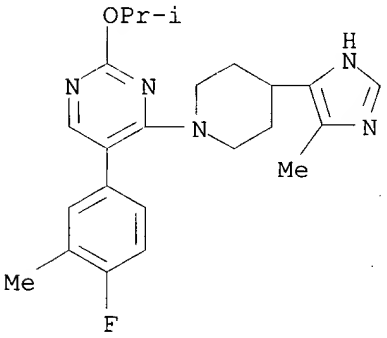
RN 335064-05-6 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 335064-06-7 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-07-8 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-08-9 CAPLUS
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

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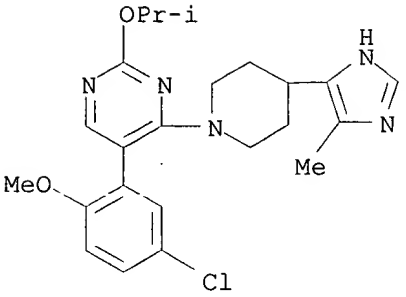
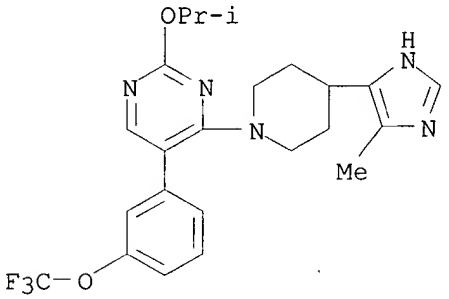
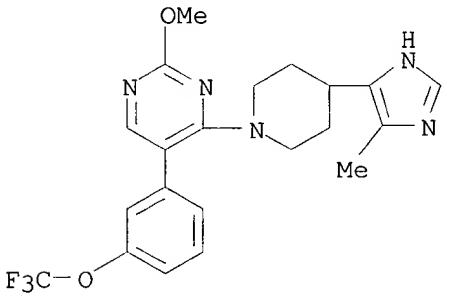


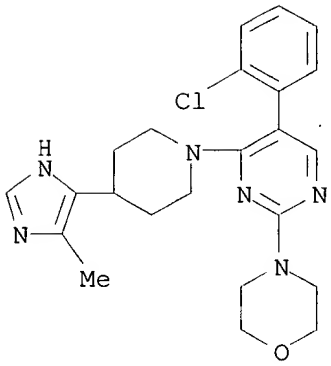
RN 335064-09-0 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



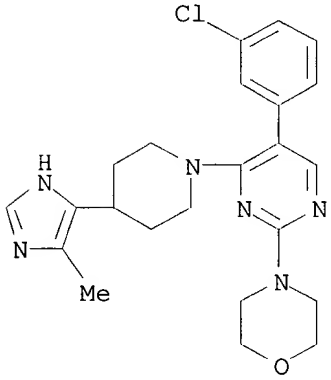
RN 335064-10-3 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



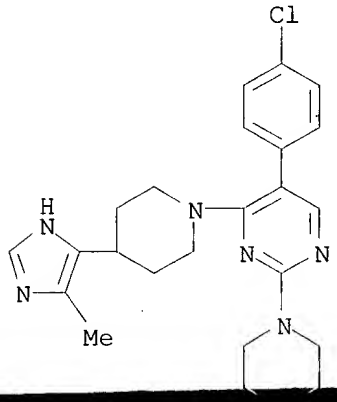
RN 335064-11-4 CAPLUS
CN Morpholine, 4-[5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-12-5 CAPLUS
CN Morpholine, 4-[5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-13-6 CAPLUS
CN Morpholine, 4-[5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



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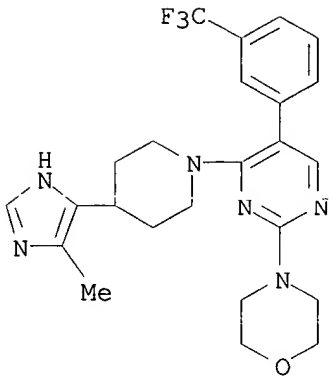
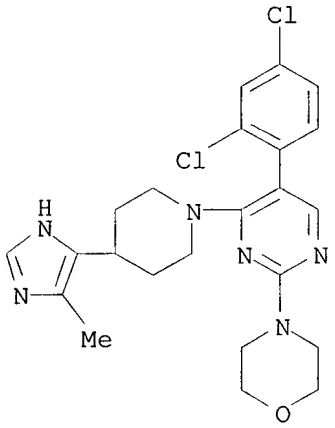
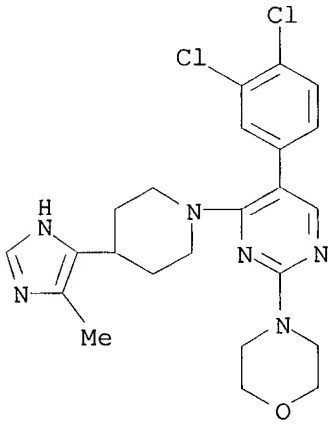
RN 335064-14-7 CAPLUS
CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-15-8 CAPLUS
CN Morpholine, 4-[5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

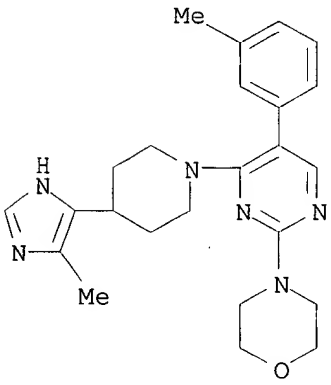


RN 335064-16-9 CAPLUS
CN Morpholine, 4-[5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

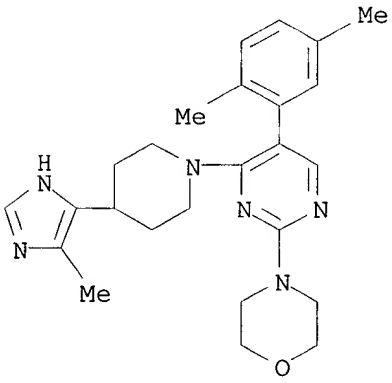


RN 335064-17-0 CAPLUS
CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-

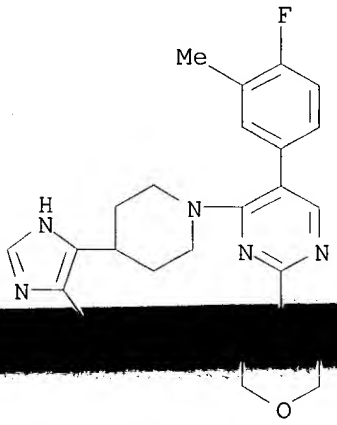
methylphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-18-1 CAPLUS
CN Morpholine, 4-[5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

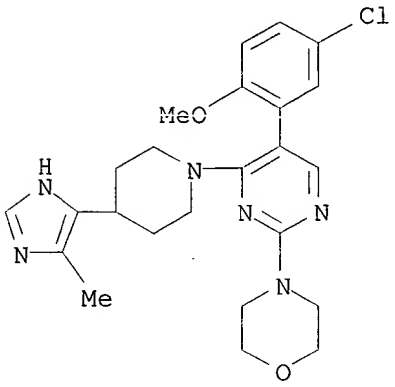


RN 335064-19-2 CAPLUS
CN Morpholine, 4-[5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

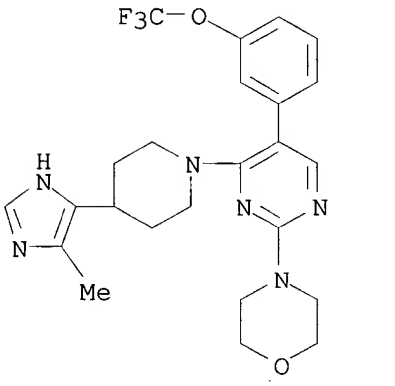


RN 335064-20-5 CAPLUS

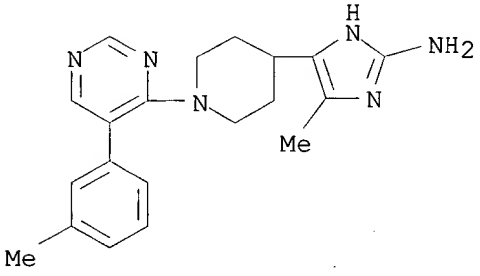
CN Morpholine, 4-[5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



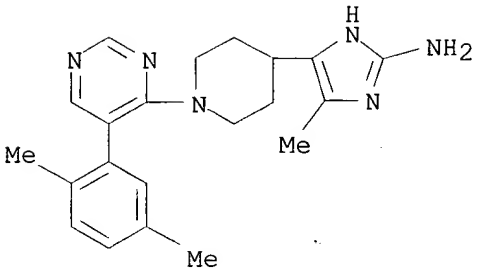
RN 335064-21-6 CAPLUS
CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



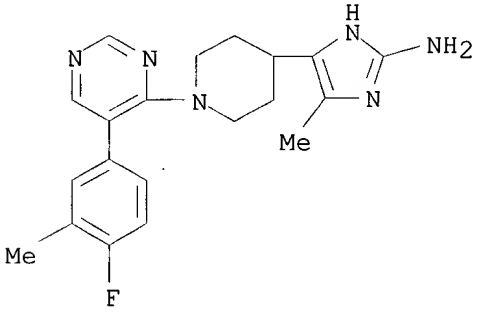
RN 335064-22-7 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[5-(3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



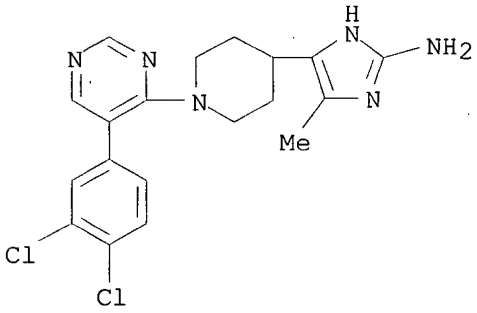
RN 335064-23-8 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(2,5-dimethylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335064-24-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(4-fluoro-3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

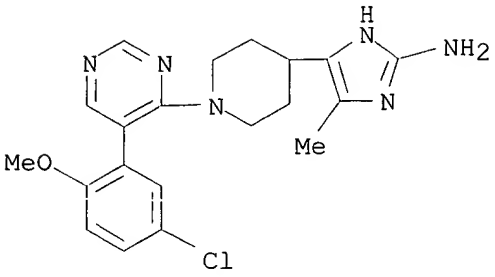


RN 335064-25-0 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(3,4-dichlorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

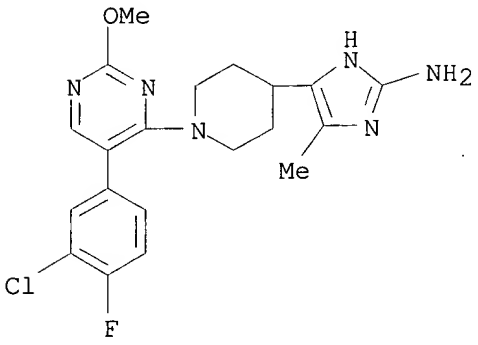


RN 335064-26-1 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(5-chloro-2-methoxyphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

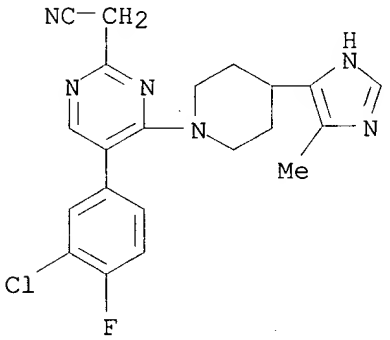
[redacted]



RN 335064-27-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-2-methoxy-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



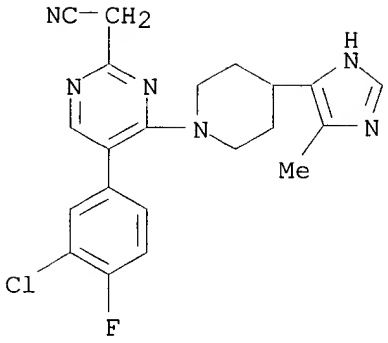
RN 335064-28-3 CAPLUS
CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-29-4 CAPLUS
CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

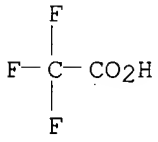
CM 1

CRN 335064-28-3
CMF C21 H20 Cl F N6

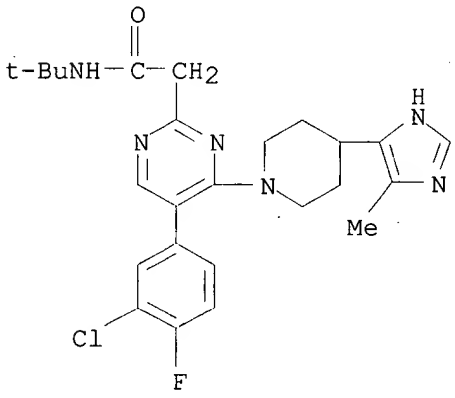


CM 2

CRN 76-05-1
CMF C2 H F3 O2



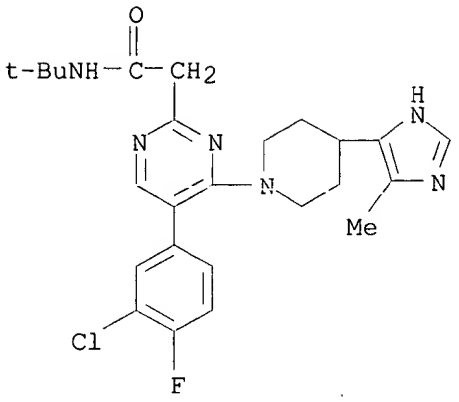
RN 335064-30-7 CAPLUS
CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-31-8 CAPLUS
CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

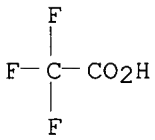
CM 1

[redacted]

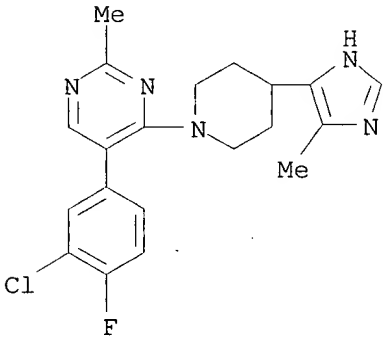


CM 2

CRN 76-05-1
CMF C2 H F3 O2



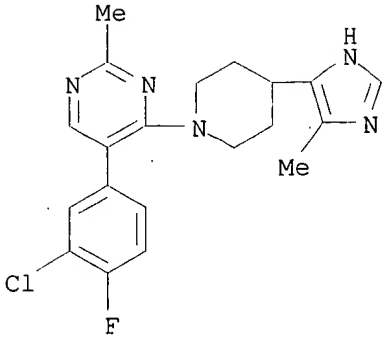
RN 335064-32-9 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-33-0 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

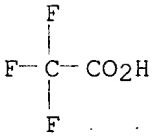
CM 1

CRN 335064-32-9
CMF C20 H21 Cl F N5

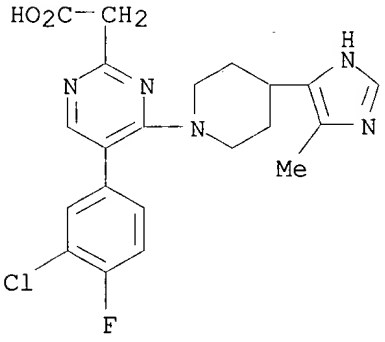


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 335064-34-1 CAPLUS
CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

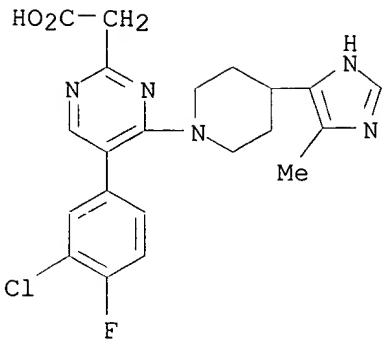


RN 335064-35-2 CAPLUS
CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

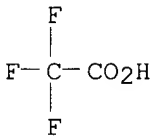
CRN 335064-34-1
CMF C21 H21 Cl F N5 O2

[redacted]

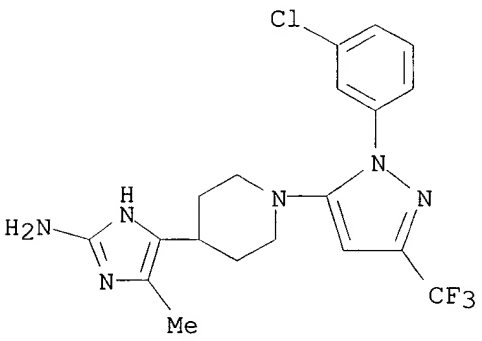


CM 2

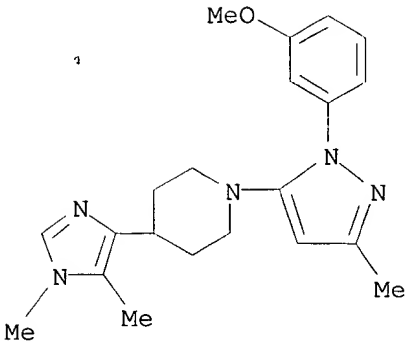
CRN 76-05-1
CMF C2 H F3 O2



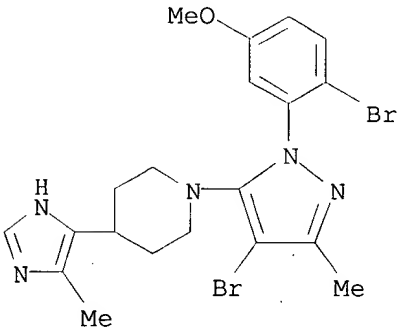
RN 335065-05-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



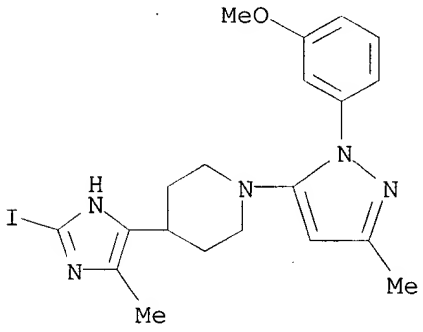
RN 335065-06-0 CAPLUS
CN Piperidine, 4-(1,5-dimethyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335065-07-1 CAPLUS
CN Piperidine, 1-[4-bromo-1-(2-bromo-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



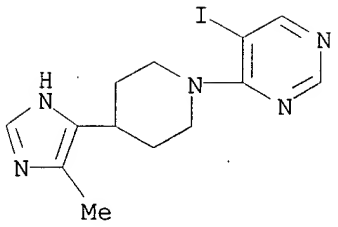
RN 335065-08-2 CAPLUS
CN Piperidine, 4-(2-iodo-5-methyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



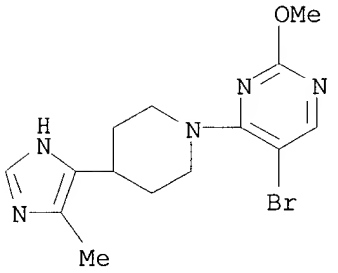
IT **335064-81-8P 335064-82-9P 335064-94-3P**
335064-95-4P 335064-96-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

[redacted]

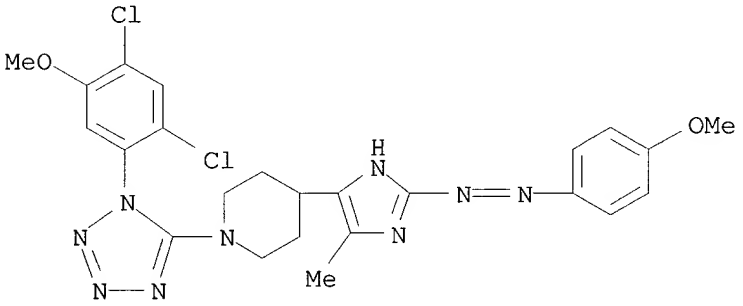
CN Pyrimidine, 5-iodo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



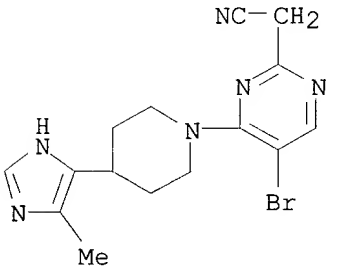
RN 335064-82-9 CAPLUS
CN Pyrimidine, 5-bromo-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-94-3 CAPLUS
CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-[2-[(4-methoxyphenyl)azo]-5-methyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

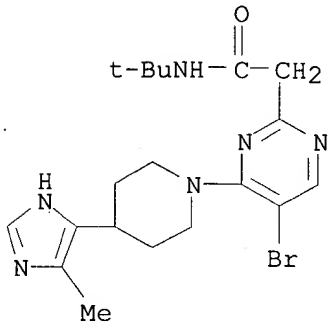


RN 335064-95-4 CAPLUS
CN 2-Pyrimidineacetonitrile, 5-bromo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-96-5 CAPLUS

CN 2-Pyrimidineacetamide, 5-bromo-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

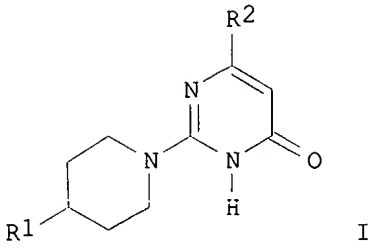


L29 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:709746 CAPLUS
DOCUMENT NUMBER: 135:257261
TITLE: Preparation of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.
INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak, Adrien
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136489	A1	20010926	EP 2000-400802	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070728	A1	20010927	WO 2001-EP3639	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2000-400801 A 20000323
EP 2000-400802 A 20000323
EP 2000-400803 A 20000323
OTHER SOURCE(S): MARPAT 135:257261

[redacted]



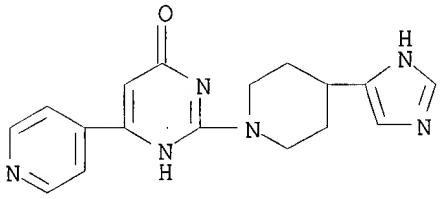
I

AB The title compds. [I; R1 = (un)substituted aryl, heterocyclic ring having 1-4 hetero atoms selected from O, S, and N atoms, (un)substituted alkyl; R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their salts, useful for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta., such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathy, were prepd. and formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was given. All exemplified compds. I showed IC50's of 0.5-10 .mu.M against GSK3.beta..

IT **362467-49-0P 362467-50-3P 362467-53-6P**
362467-54-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.)

RN 362467-49-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

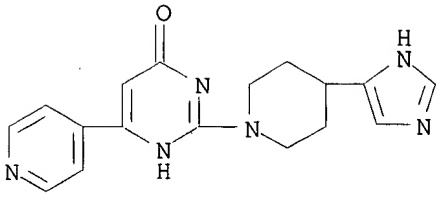


RN 362467-50-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

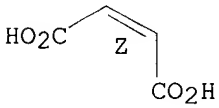
CRN 362467-49-0
CMF C17 H18 N6 O



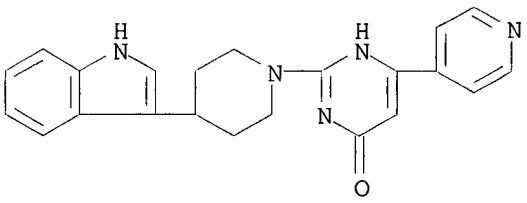
CM 2

CRN 110-16-7
CMF C4 H4 O4

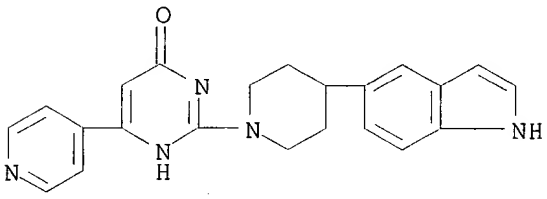
Double bond geometry as shown.



RN 362467-53-6 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[4-(1H-indol-3-yl)-1-piperidinyl]-6-(4-pyridinyl)-
(9CI) (CA INDEX NAME)



RN 362467-54-7 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[4-(1H-indol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L29~~ ANSWER 10 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: [redacted]

[redacted] Preparation of benzazole derivatives as JNK modulators
INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Gaillard, Pascale; Gotteland, Jean-Pierre
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles

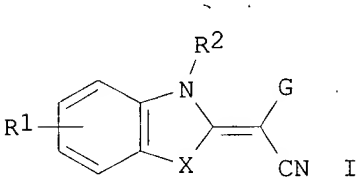
Searched by Barb O'Bryen, STIC 308-4291

SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1110957	A1	20010627	EP 1999-811207	19991224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001047920	A1	20010705	WO 2000-EP13006	20001220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1240164	A1	20020918	EP 2000-991229	20001220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: EP 1999-811207 A 19991224
WO 2000-EP13006 W 20001220

OTHER SOURCE(S): MARPAT 135:61322
GI

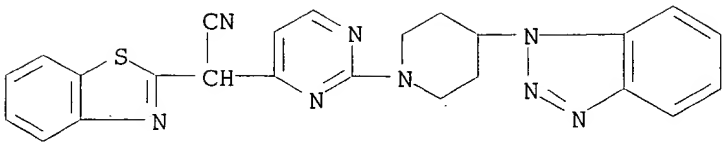


I

AB The title compds. [I; X = O, S, NR0; G = (un)substituted aryl, heteroaryl, 3-8-membered (un)satd. ring system contg. at least one heteroatom selected from N, O or S (said 3-8-membered ring system may be fused with (un)substituted aryl or heteroaryl system thus providing a bicyclic system); R1 = H, alkoxy, thioalkoxy, etc.; R2 = H, alkyl, alkenyl, etc.] which are efficient modulators of the JNK pathway, in particular efficient and selective inhibitors of JNK2 and/or 3, were prepd. and formulated. E.g., a 2-step synthesis of I [X = S; R1, R2 = H; G = 2-[2-(1H-imidazol-4-yl)ethylamino]-4-pyrimidinyl] which showed IC50 of 70 nM and of 210 nM against JNK3 and JNK2, resp., was given.

IT **345987-09-9P 345987-10-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzazole derivs. as JNK modulators)

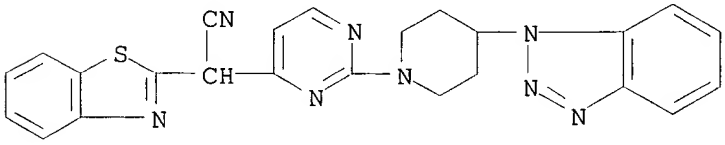
RN 345987-09-9 CAPLUS
CN 2-Benzothiazoleacetonitrile, .alpha.-[2-[4-(1H-benzotriazol-1-yl)-1-piperidinyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 345987-10-2 CAPLUS
CN 2-Benzothiazoleacetonitrile, .alpha.-[2-[4-(1H-benzotriazol-1-yl)-1-piperidinyl]-4-pyrimidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

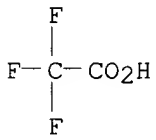
CM 1

CRN 345987-09-9
CMF C24 H20 N8 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:534991 CAPLUS
DOCUMENT NUMBER: 133:135229
TITLE: Preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants
INVENTOR(S): Poss, Michael A.; Tortolani, David R.; Mattson, Ronald J.; Yevich, Joseph P.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044376	A1	20000803	WO 1999-US30501	19991221

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,

IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

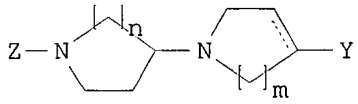
US 6225324	B1	20010501	US 1999-467957	19991221
BR 9916618	A	20011023	BR 1999-16618	19991221
EP 1146871	A1	20011024	EP 1999-968927	19991221

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

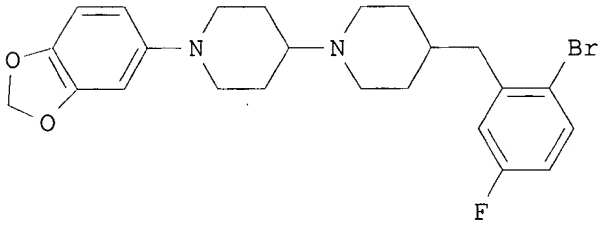
PRIORITY APPLN. INFO.: US 1999-117651P P 19990128
WO 1999-US30501 W 19991221

OTHER SOURCE(S): MARPAT 133:135229

GI



I



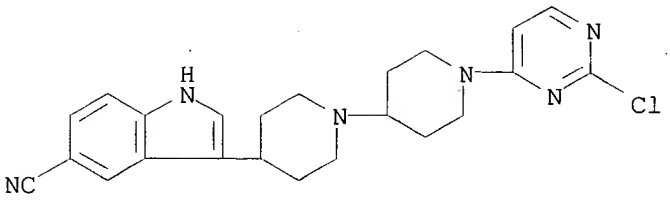
II

AB The title compds. [I; Z = (un)substituted Ph, benzodioxolone, pyridine, etc.; m, n = 1-3; Y = (un)substituted CH2Ph, indol-3-yl], useful antidepressant agents demonstrating potent inhibition of 5-HT reuptake, were prepd. Thus, reacting 1-(benzodioxol-5-yl)-4-piperidone (prepn. given) with 4-(2-bromo-5-fluorobenzyl)piperidine and NaBH(OAc)3 in THF and AcOH over 4.ANG. sieves afforded 37% II. Compds. I are effective at 5-20 mg/kg/day, when administered orally.

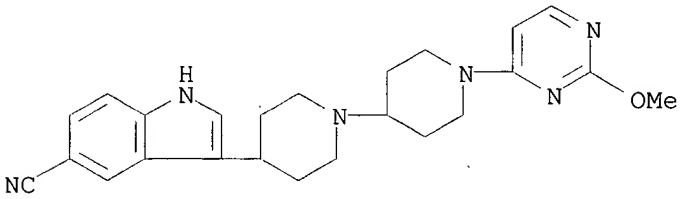
IT **286469-42-9P 286469-45-2P 286469-56-5P**
286469-57-6P 286469-58-7P 286469-59-8P
286469-65-6P 286469-66-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)

RN 286469-42-9 CAPLUS

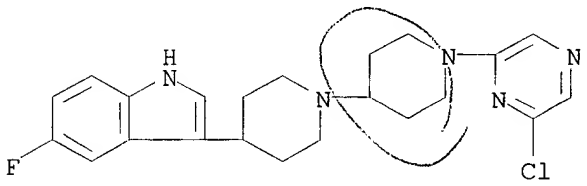
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-chloro-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



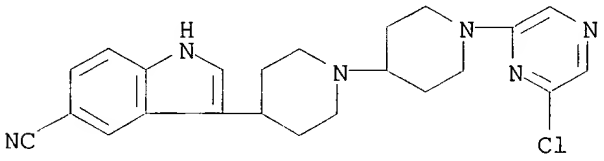
RN 286469-45-2 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-methoxy-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



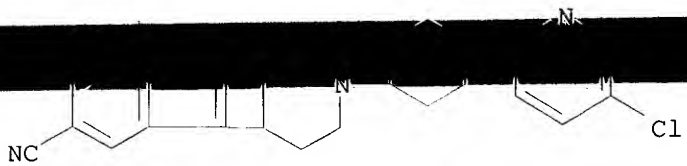
RN 286469-56-5 CAPLUS
CN 1H-Indole, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



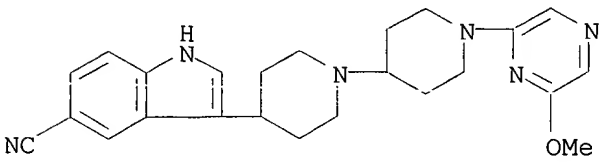
RN 286469-57-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



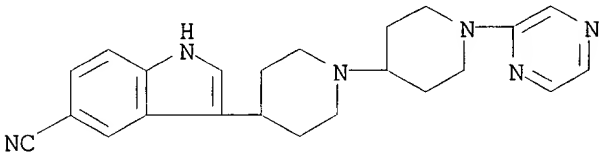
RN 286469-58-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloro-3-pyridazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



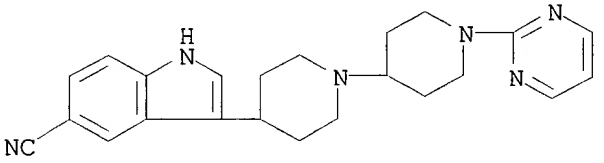
RN 286469-59-8 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-methoxypyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 286469-65-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-(1'-pyrazinyl[1,4'-bipiperidin]-4-yl)- (9CI) (CA INDEX NAME)



RN 286469-66-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:457032 CAPLUS
DOCUMENT NUMBER: 133:89434
TITLE: Preparation of 3,3-diarylpiperidine and 2,2-biarylmorpholine derivatives as .delta. opioid ligands.
INVENTOR(S): Liras, Spiros; Allen, Martin Patrick; Segelstein, Barbara Eileen
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039091	A1	20000706	WO 1999-IB1914	19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1140835	A1	20011010	EP 1999-956268	19991201
BR 9916680	A	20011113	BR 1999-16680	19991201
NO 2001003237	A	20010828	NO 2001-3237	20010628

PRIORITY APPLN. INFO.: US 1998-114091P P 19981229
WO 1999-IB1914 W 19991201

OTHER SOURCE(S): MARPAT 133:89434
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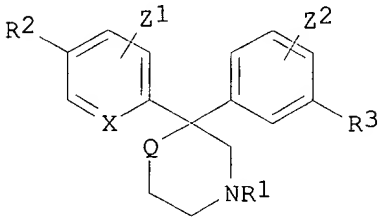


I

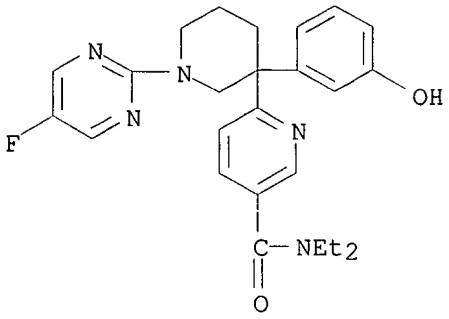
AB Title compds. [I; R1 = H, alkoxyalkyl, (substituted) aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, etc.; R2 = H, aryl, heteroaryl, heterocyclyl, etc.; R3 = OH, NHSO2R7, O2CR7, CONHR7, etc.; R7 = H, alkyl, alkoxy, alkoxyalkyl; Q = O, CH2; X = CH, N; Z1, Z2 = H, halo, alkyl; with a proviso], were prepd. for treatment of neurol. and gastrointestinal disorders (no data). Thus, 3-bromoanisole was stirred with Mg in THF at 50.degree.; N-benzyl-3-piperidinone in THF was added followed by stirring for 10 h to give 1-benzyl-3-(3-methoxyphenyl)piperidin-3-ol. The latter in ClCH2CH2Cl was treated with PhOH and then with AlCl3 followed by reflux to give 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]phenol. This was converted to the triflate, which in MeOH/Me2SO was shaken with Pd(OAc)2 and 1,3-bis(diphenylphosphino)propane under CO at 70.degree. for 4 h to give Me 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]benzoate. This was converted to N,N-diethyl-4-[3-(3-methoxyphenyl)piperidin-3-yl]benzamide.

IT **280564-63-8P 280564-64-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3,3-diarylpiperidine and 2,2-biarylmorpholine derivs. as .delta. opioid ligands)

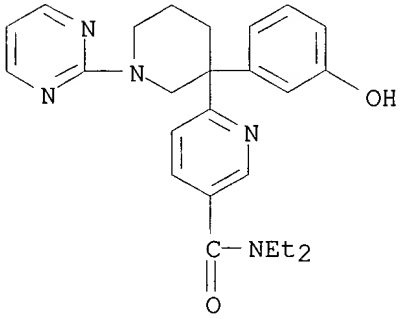
RN 280564-63-8 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-6-[1-(5-fluoro-2-pyrimidinyl)-3-(3-hydroxyphenyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

[redacted]



RN 280564-64-9 CAPLUS
CN 3-Pyridinecarboxamide, N,N-diethyl-6-[3-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

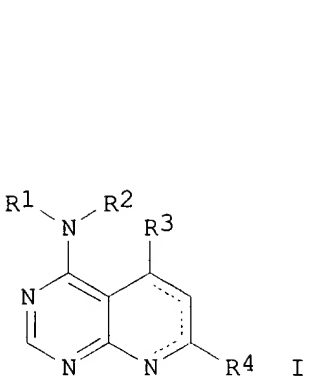


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:277982 CAPLUS
DOCUMENT NUMBER: 132:308351
TITLE: Preparation of 5,7-disubstituted-4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Lee, Chih-hung; Cowart, Marlon D.; Mckie, Jeffrey A.; Grillot, Anne Laure; Stewart, Andrew O.; Zheng, Guo Zhu; Perner, Richard J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 411 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023444	A1	20000427	WO 1999-US24901	19991021
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1998-176521 19981021
OTHER SOURCE(S): MARPAT 132:308351
GI



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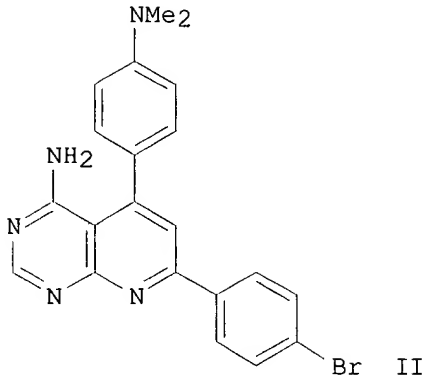


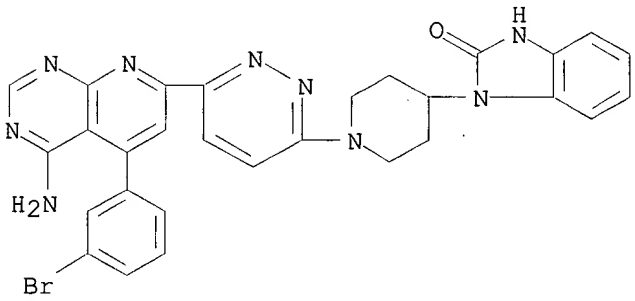
II

AB The title compds. [I; R1, R2 = H, alkenyl, alkoxyalkyl, alkoxycarbonyl, etc.; NR1R2 = 5-7 membered ring contg. 1-2 addnl. heteroatoms selected from O, N and S; R3 = alkenyl, alkyl, alkynyl, etc.; R4 = alkenyl, alkoxyalkynyl, alkyl, etc.] which inhibit adenosine kinase and therefore are useful in treating cerebral ischemia, epilepsy, nociperception, inflammation and sepsis, were prepd. E.g., a 2-step synthesis of II was presented. Biol. data for compds. I were given.

IT **265105-98-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5,7-disubstituted-4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors)

RN 265105-98-4 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1-[6-[4-amino-5-(3-bromophenyl)pyrido[2,3-d]pyrimidin-7-yl]-3-pyridazinyl]-4-piperidinyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

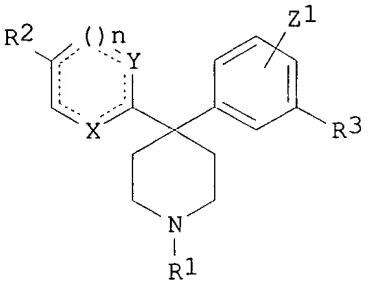
L29 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:631890 CAPLUS
DOCUMENT NUMBER: 133:222737
TITLE: [redacted]
INVENTOR(S): Liras, Spiros; McHardy, Stanton Furst
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000247969	A2	20000912	JP 2000-44911	20000222
EP 1038872	A1	20000927	EP 2000-300974	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6444679	B1	20020903	US 2000-503679	20000214
BR 2000000901	A	20010821	BR 2000-901	20000222

PRIORITY APPLN. INFO.: US 1999-121156P P 19990222
OTHER SOURCE(S): MARPAT 133:222737
GI



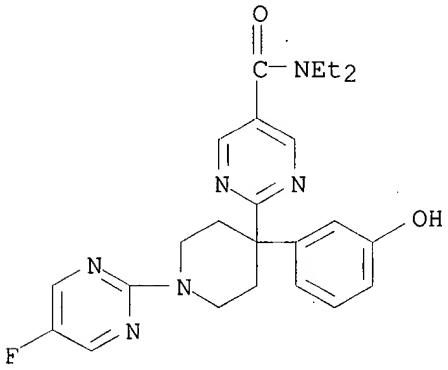
I

AB The title compds. [I; X, Y = O, N, S, CH; provided that the ring contg. X and Y is arom. and both X and Y are not simultaneously O or S; n = 0,1; R1 = H, C0-8 alkoxy-C0-8 alkyl (a total C atoms being .ltoreq.8), aryl, aryl-C1-8 alkyl, heteroaryl, heteroaryl-C1-8 alkyl, heterocyclyl, heterocyclyl-C1-8 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-8 alkyl, etc.; R2 = H, aryl, halo, heteroaryl, heterocyclyl, SO2R4, COR4, CONR5R6, CO2R4, C(OH)R5R6, etc.; wherein R4, R5, or R6 is selected from group defined in R1 or R5 and R6 together with bonded N or C atom form 3 to 7-membered ring contg. 0-3 heteroatoms selected from O, N, and S; R3 = HO, hydroxy-C1-6 alkyl, C1-6 alkyl-C1-6 alkoxy, NHSO2R7, C(OH)R7R8, halo, heteroaryl, CONHR7; R7, R8 = H, C1-4 alkyl, C1-4 alkoxy, or C1-4 alkoxy-C1-4 alkyl, wherein each alkyl is optionally substituted with 1-7 F atom(s); Z1 = H,halo, C1-5 alkyl; provided that two-adjacent ring oxygen or nitrogen atoms or ring O atom adjacent to ring S atom do not exist in heterocyclic or heteroaryl portion] are prepd. These compds. regulate bindings to opioid receptors and are useful for the improvement, prevention, or treatment of various disorders or conditions, e.g. (1) inflammatory diseases such as arthritis, psoriasis, and asthma, (2) disorders of respiratory function such as asthma, coughing, and apnea (breathlessness), (3) allergy, (4) gastrointestinal disorders such as gastritis, functional intestinal disorders, irritable bowel syndromes, functional diarrhea, functional dilation, functional pain, indigestion not forming peptic ulcer, gastrointestinal motility disorders, and vomiting, (5) stroke, (6) shock, (7) brain edema, (8) brain injury, (9) spinal cord injury, (10) brain ischemia, (11) brain failure suffered after heart bypass or transplant surgery, (12) urinary or reproductive tract disorders including incontinence, (13) chem. dependence or addiction, (14) chronic pain, (15) acute or neurol. pain, (16) systemic lupus erythematosus, (17) Hodgkin's disease, (18) Sjoegren disease, (19) epilepsy, and (20) rejection of organ transplant or skin grafting (no data). Thus, oxidn. of N,N-diethyl-2-[4-(3-hydroxymethylphenyl)-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5-carboxamide by tetrapropylammonium perruthenate and N-methylmorpholine N-oxide in CH2Cl2 in the presence of 4.ANG. mol. sieve

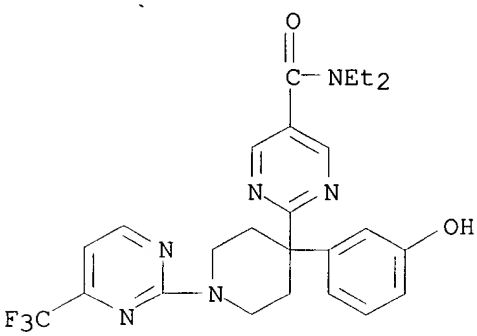
gave an aldehyde which underwent addn. reaction with methylmagnesium bromide in THF at -70.degree. to give N,N-diethyl-2-[4-[3-(1-hydroxyethyl)phenyl]-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5-carboxamide.

IT **291753-96-3P 291753-97-4P 291753-99-6P**
291754-01-3P 291754-03-5P 291754-38-6P
291754-39-7P 291754-40-0P 291754-41-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

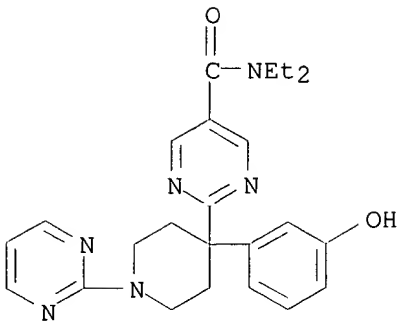


RN 291753-97-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

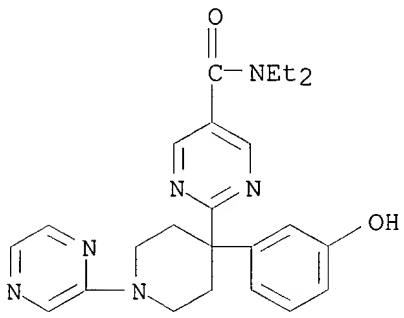


RN 291753-99-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

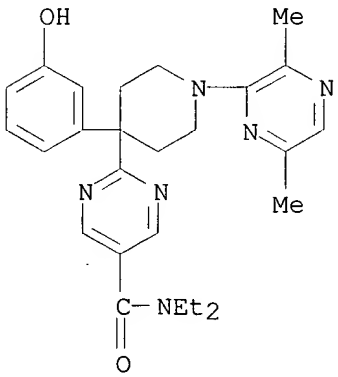
[redacted]



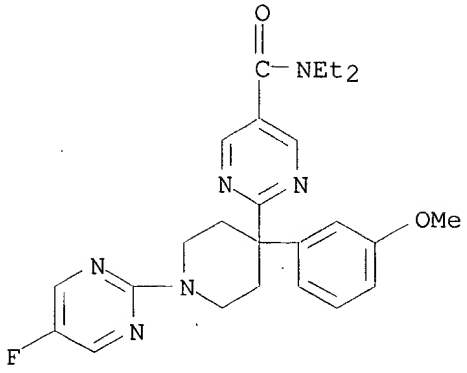
RN 291754-01-3 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



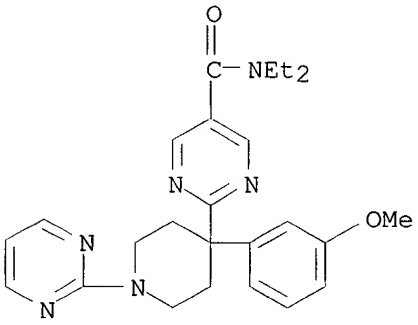
RN 291754-03-5 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



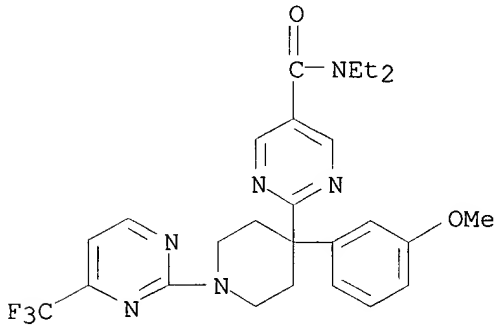
RN 291754-38-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



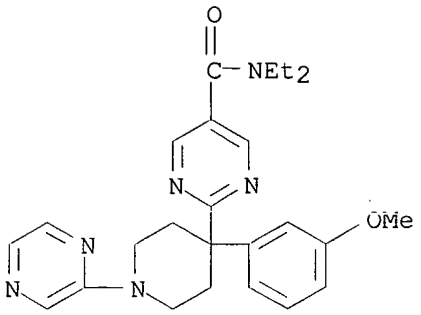
RN 291754-39-7 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 291754-40-0 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



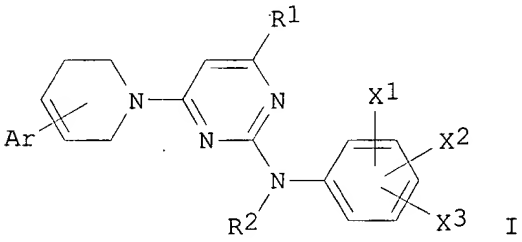
RN 291754-41-1 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:137240 CAPLUS
DOCUMENT NUMBER: 132:175857
TITLE: 4-Tetrahydropyridylpyrimidine derivatives as CRF receptor antagonists
INVENTOR(S): Nakazato, Atsuo; Kumagaya, Toshihito; Okuyama, Shigeru; Taki, Shigeyuki; Tomisawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063277	A2	20000229	JP 1998-228329	19980812

OTHER SOURCE(S): MARPAT 132:175857
GI



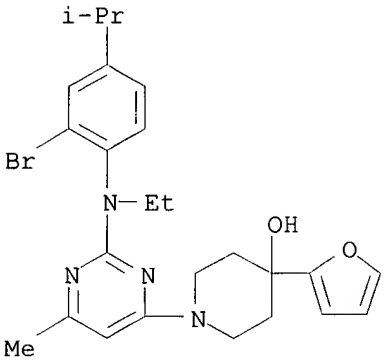
I

AB 4-Tetrahydropyridylpyrimidine derivs. (I; Ar = halogen, C1-5 alkyl, alkoxy, etc.; R1 = H, C1-5 alkyl, amino, etc.; R2 = C1-5 alkyl, C4-7 cycloalkylalkyl, etc.; X1, X2, X3 = H, halogen, C1-5 alkyl, alkoxy, or alkylthio, amino, etc.) and their pharmaceutically acceptable salts are claimed as CRF receptor antagonists for treatment of related diseases. Several I were prepd. The effect on the CRF receptor binding and anxiolytic effect of I were tested. Formulation examples of I tablets, powders, and injections were given.

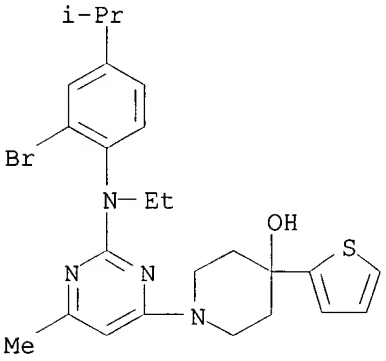
IT **213923-79-6P 213923-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(4-tetrahydropyridylpyrimidine derivs. as CRF receptor antagonists for treatment of related diseases)

RN 213923-79-6 CAPLUS

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 213923-80-9 CAPLUS
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



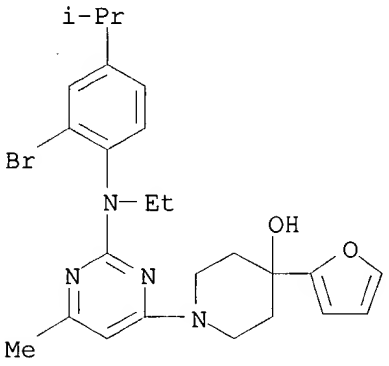
L29 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:395946 CAPLUS
DOCUMENT NUMBER: 133:144485
TITLE: Design, synthesis and structure-affinity relationships of 4-methylidenepiperidine and 4-aryl-1,2,3,6-tetrahydropyridine derivatives as corticotropin-releasing factor1 receptor antagonists
AUTHOR(S): Nakazato, A.; Kumagai, T.; Okubo, T.; Tanaka, H.; Chaki, S.; Okuyama, S.; Tomisawa, K.
CORPORATE SOURCE: Medicinal Research Laboratories, 1st Laboratory, Taisho Pharmaceutical Co., Ltd., Saitama, 330-8530, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(5), 1183-1193
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

AB Recently, various non-peptide corticotropin-releasing factor1 (CRF1) receptor antagonists have been reported. Structure-affinity relationships (SARs) of non-peptide CRF1 antagonists suggest that such antagonists can be constructed of three units: a hydrophobic unit (Up-Area), a proton accepting unit (Central-Area), and an arom. unit (Down-Area). Our

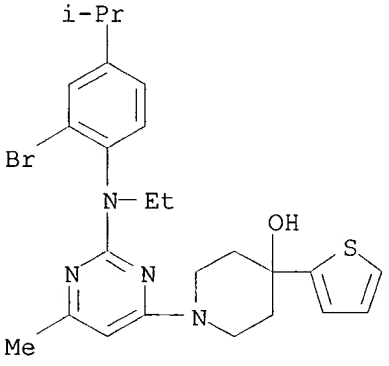
interest focused on the Up-Area in deriving novel methylidenepiperidine and 4-aryl-1,2,3,6-tetrahydropyridine derivs. as non-peptide CRF1 receptor antagonists which have high affinity and selectivity for CRF1 receptors with potent anxiolytic-like and antidepressant-like properties in some exptl. animal models. These findings suggest that the hydrophonic unit (Up-Area) may be useful for design of CRF1 antagonists.

IT **213923-79-6P 213923-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis and structure-affinity relationships of methylidenepiperidine and aryltetrahydropyridine derivs. as corticotropin-releasing factor1 receptor antagonists)

RN 213923-79-6 CAPLUS
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 213923-80-9 CAPLUS
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:404954 CAPLUS
DOCUMENT NUMBER: 131:44821
TITLE: Preparation of 1-(1H-imidazol-2-yl)pyrrolidine and 1-(1H-imidazol-2-ylpiperidine derivatives and their affinity with histaminergic H3 receptors
INVENTOR(S): Jegham, Samir; Saady, Mourad; Yaiche, Philippe; Horter, Laurence

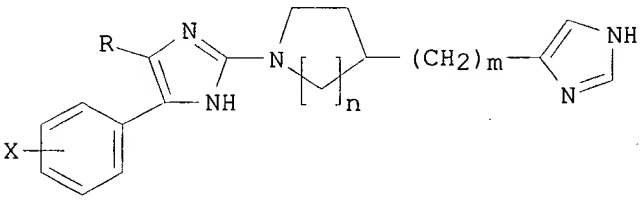
Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931089	A1	19990624	WO 1998-FR2677	19981210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2772377	A1	19990618	FR 1997-15747	19971212
AU 9915663	A1	19990705	AU 1999-15663	19981210

PRIORITY APPLN. INFO.: FR 1997-15747 19971212
WO 1998-FR2677 19981210

OTHER SOURCE(S): MARPAT 131:44821
GI



I

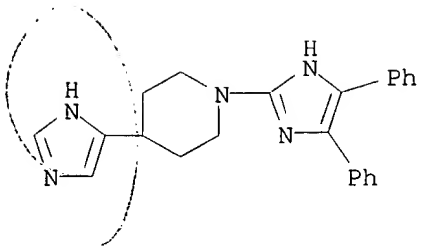
AB The title compds. I [R = H, Ph group optionally substituted by a halo atom or a Me, methoxy, trifluoromethyl or nitro group; X = H, halo, Me, methoxy, trifluoromethyl, nitro; n = 1, 2; m = 0, 1], were prepd. E.g., I (R = Ph, X = H, n = 2, m = 0) was prepd. Affinity of I with histaminergic H3 receptors was measured.

IT **227313-11-3P 227313-12-4P 227313-13-5P**
227313-14-6P 227313-15-7P 227313-16-8P
227313-17-9P 227313-18-0P 227313-19-1P
227313-20-4P 227313-21-5P 227313-43-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazolylpyrrolidines and imidazolylpiperidines and their affinity for histaminergic H3 receptors)

RN 227313-11-3 CAPLUS

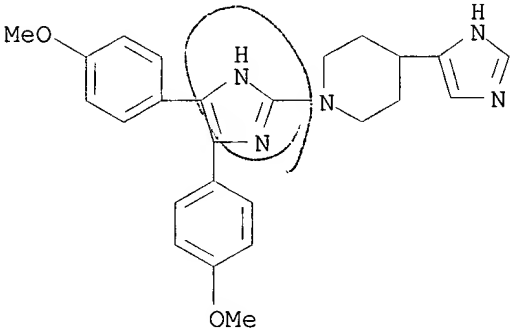
CN Piperidine, 1-(4,5-diphenyl-1H-imidazol-2-yl)-4-(1H-imidazol-4-yl)-,

[redacted]



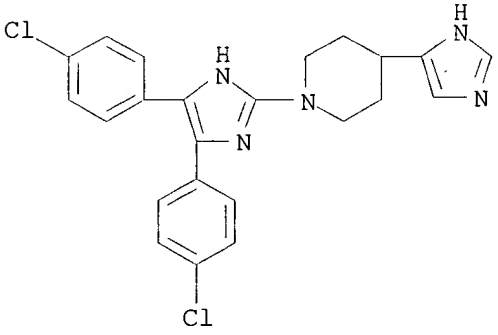
●2 HCl

RN 227313-12-4 CAPLUS
CN Piperidine, 1-[4,5-bis(4-methoxyphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



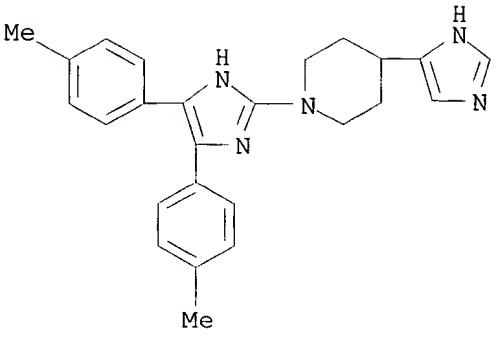
●2 HCl

RN 227313-13-5 CAPLUS
CN Piperidine, 1-[4,5-bis(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



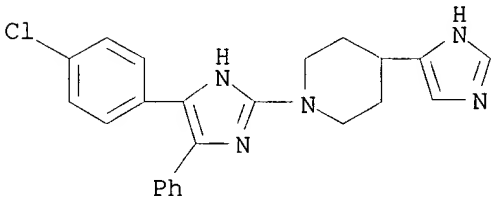
2 HCl

RN 227313-14-6 CAPLUS
CN Piperidine, 1-[4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



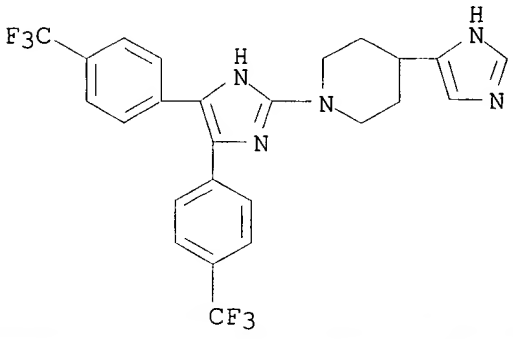
●2 HCl

RN 227313-15-7 CAPLUS
CN Piperidine, 1-[4-(4-chlorophenyl)-5-phenyl-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



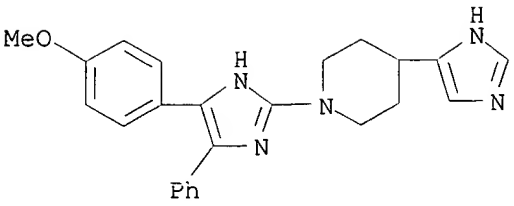
●2 HCl

RN 227313-16-8 CAPLUS
CN Piperidine, 1-[4,5-bis[4-(trifluoromethyl)phenyl]-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



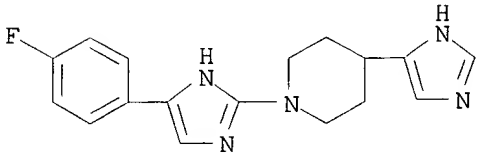
[redacted]

RN 227313-17-9 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-5-phenyl-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



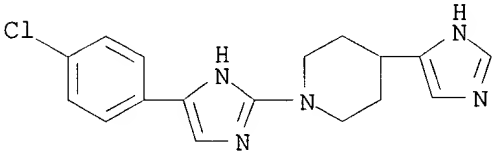
●2 HCl

RN 227313-18-0 CAPLUS
CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



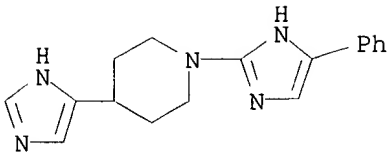
●2 HCl

RN 227313-19-1 CAPLUS
CN Piperidine, 1-[4-(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



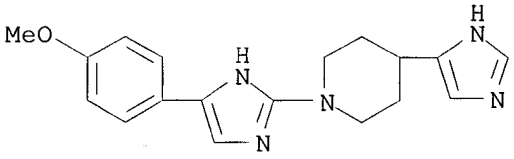
●2 HCl

RN 227313-20-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-phenyl-1H-imidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 227313-21-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

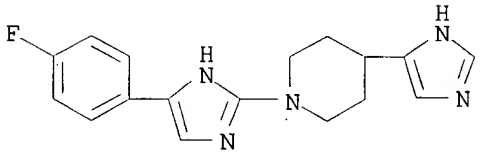


●2 HCl

RN 227313-43-1 CAPLUS
CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 227313-42-0
CMF C17 H18 F N5



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

[redacted]

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

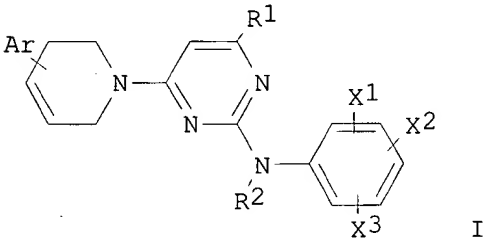
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:672543 CAPLUS
DOCUMENT NUMBER: 129:275927
TITLE: Preparation of 4-tetrahydropyridylpyrimidine derivatives as drugs
INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Aibe, Izumi; Tanaka, Hideo; Chaki, Shigeyuki; Okuyama, Shigeru; Tomisawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9842699	A1	19981001	WO 1998-JP1330	19980325
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9865175	A1	19981020	AU 1998-65175	19980325
AU 733604	B2	20010517		
JP 11228568	A2	19990824	JP 1998-76748	19980325
EP 976745	A1	20000202	EP 1998-911002	19980325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6187781	B1	20010213	US 1999-381462	19990921

PRIORITY APPLN. INFO.:
JP 1997-72899 A 19970326
JP 1997-338439 A 19971209
WO 1998-JP1330 W 19980325
WO 1999-JP1330 W 19980325

OTHER SOURCE(S): MARPAT 129:275927
GI



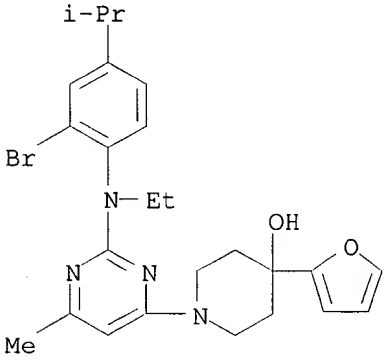
I

AB 4-Tetrahydropyridylpyrimidine derivs. (I; Ar is Ph optionally substituted with one to three groups selected from among halo, C1-5 alkyl or alkoxy, CF3, thienyl or furanyl; R1 is H, C1-5 alkyl or amino optionally substituted with one or two C1-5 alkyl groups; R2 is C1-5 alkyl, C4-7 cycloalkylalkyl, C2-5 alkenyl or alkynyl; X1, X2 and X3 are each independently H, halo, C1-5 alkyl, alkoxy or alkylthio, amino optionally substituted with one or two C1-5 alkyl groups) are prepd. I are efficacious against diseases in which corticotropin releasing factor (CRF) is believed to be concerned, for example, melancholia, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, digestive diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, head injury, inflammation, immunol. diseases and so on. Thus, I.HCl (Ar = 4-Ph, R1 =

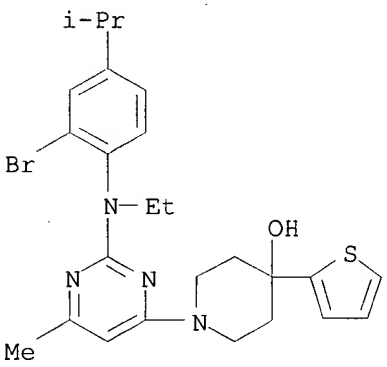
Me, R2 = Et, X1 = 2-Br, X2 = 4-i-Pr, X3 = H) was prepd. by multistep reactions from 2,4-dichloro-6-methylpyrimidine and showed IC50 of 66.08 nM CRF receptor binding activity when tested with rat.

IT **213923-79-6P 213923-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-tetrahydropyridylpyrimidine derivs. as drugs)

RN 213923-79-6 CAPLUS
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 213923-80-9 CAPLUS
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



L29 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:532189 CAPLUS
DOCUMENT NUMBER: 127:176434
TITLE: Angiogenesis inhibiting pyridazinamines
INVENTOR(S): Stokbboekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus Maria; Tuman, Robert W.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.; Stokbroekx, Raymond [redacted] Maria; Tuman, Robert W.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

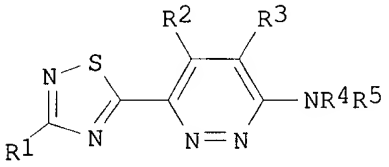
Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726258	A1	19970724	WO 1997-EP201	19970114
W:	AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, ST, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2237273	AA	19970724	CA 1997-2237273	19970114
AU 9714439	A1	19970811	AU 1997-14439	19970114
AU 717744	B2	20000330		
ZA 9700288	A	19980714	ZA 1997-288	19970114
EP 876366	A2	19981111	EP 1997-901059	19970114
EP 876366	B1	20010725		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
CN 1208415	A	19990217	CN 1997-191705	19970114
JP 2000503014	T2	20000314	JP 1997-524656	19970114
IL 124461	A1	20000726	IL 1997-124461	19970114
AT 203534	E	20010815	AT 1997-901059	19970114
ES 2162235	T3	20011216	ES 1997-901059	19970114
NO 9802037	A	19980915	NO 1998-2037	19980505
US 5985878	A	19991116	US 1998-119075	19980709

PRIORITY APPLN. INFO.: EP 1996-200085 A 19960115
WO 1997-EP201 W 19970114

OTHER SOURCE(S): MARPAT 127:176434
GI



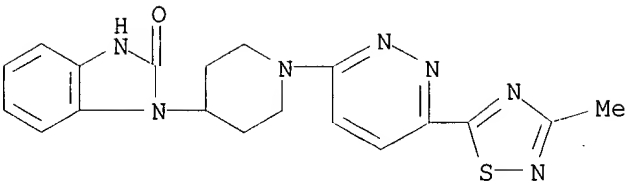
I

AB Title compds. I [R1 = H, alkyl, alkoxy, alkylthio, amino, aryl, cycloalkyl, CH2OH, CH2OCH2Ph; R2, R3 = H; R2R3 = CH:CHCH:CH; NR4R5 = heterocyclic] were prepd. Thus, 3-chloro-6-methylpyridazine was treated with SOCl2 and HN:CHMeNH2.HCl to give the chloropyridazinylthiadiazole which was treated with 1-(3-trifluoromethylphenyl)piperazine to give I [R1 = Me, R2, R3 = H, NR4R5 = 4-(3-trifluoromethylphenyl)piperazino]. This compd. had an in vitro angiogenesis inhibiting IC50 of 0.3 nM.

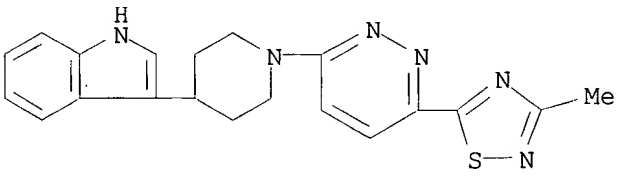
IT **193956-30-8P 193956-31-9P 193956-99-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-30-8 CAPLUS

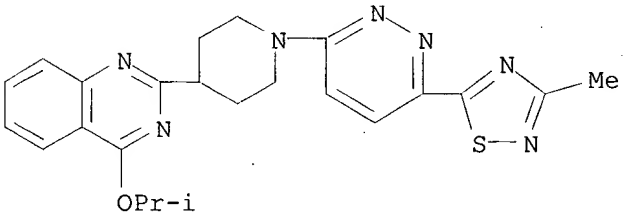
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 193956-31-9 CAPLUS
CN 1H-Indole, 3-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 193956-99-9 CAPLUS
CN Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:405902 CAPLUS
DOCUMENT NUMBER: 127:13475
TITLE: 1-[Cycloalkypiperidin-4-yl]-2H-benzimidazolone muscarinic agonists, preparation, compositions, and use in treatment of ocular hypertension
INVENTOR(S): Thompson, Wayne J.; Ransom, Richard W.; Mallorga, Pierre; Bell, Ian M.; Sugrue, Michael F.; Munson, Peter M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Thompson, Wayne J.; Ransom, Richard W.; Mallorga, Pierre; Bell, Ian M.; Sugrue, Michael F.; Munson, Peter M.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716186	A1	19970509	WO 1996-US17213	19961028

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,

NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG

AU 9674783 A1 19970522 AU 1996-74783 19961028
PRIORITY APPLN. INFO.: US 1995-7099P P 19951031
GB 1996-3849 A 19960223
WO 1996-US17213 W 19961028

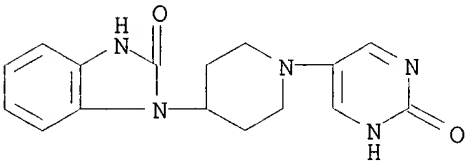
OTHER SOURCE(S): MARPAT 127:13475

AB 1-[Cycloalkypiperidin-4-yl]-2H-benzimidazolones are disclosed, as are compns. and method of use. The novel compds. are selective muscarinic agonists of the M2 subtype with low activity at the M3 subtype. The compds. are effective for the treatment of glaucoma, with fewer side effects than pilocarpine therapy. Prepn. of 1,3-dihydro-1-[1-(4-oxocyclohex-1-yl)piperidin-4-yl]-2H-benzimidazol-2-one is described.

IT **190664-54-1**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(cycloalkypiperidinyl benzimidazolone muscarinic agonists, prepn., compns., and use in treatment of ocular hypertension)

RN 190664-54-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1-(1,2-dihydro-2-oxo-5-pyrimidinyl)-4-piperidinyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



L29 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2002 ACS

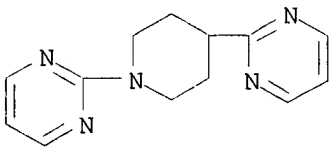
ACCESSION NUMBER: 1996:407459 CAPLUS
DOCUMENT NUMBER: 125:96333
TITLE: Assay and purity control of new serotonergic anxiolytics by HPTLC and scanning densitometry
AUTHOR(S): Farina, Anna; Doldo, Antonio; Cotichini, Viviana; Rajevic, Maya
CORPORATE SOURCE: Lab. Chimica Farmaco, Ist. Sup. Sanita, Rome, 00161, Italy
SOURCE: Journal of Planar Chromatography--Modern TLC (1996), 9(3), 185-188
CODEN: JPCTE5; ISSN: 0933-4173
PUBLISHER: Research Institute for Medicinal Plants
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A high-performance TLC (HPTLC) method with densitometric UV detection was used for the detn. and purity control of serotonergic anxiolytics. With silica gel as adsorbent and 3 different mobile phases, all the potential impurities were well sepd. from the main components and from each other. Detection limits of a few nanograms were obtained at a signal-to-noise ratio 3:1. The relative std. deviation values for the main components and related impurities were between 2.2 and 3.4%. The results obtained were compared with those obtained by a previously established HPLC method.

IT **178948-99-7**
RL: ANT (Analyte); ANST (Analytical study)
(purity control of serotonergic anxiolytics by HPTLC and densitometry)

RN 178948-99-7 CAPLUS

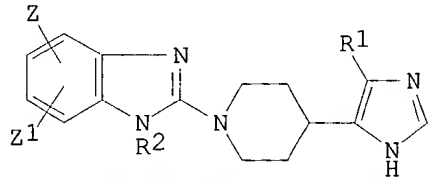
CN Pyrimidine, 2,2'-(1,4-piperidinediyl)bis- (9CI) (CA INDEX NAME)



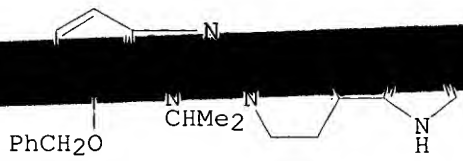
L29 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:435609 CAPLUS
DOCUMENT NUMBER: 121:35609
TITLE: Preparation of 2-[4-(4-imidazolyl)piperidino]benzimidazoles as serotoninergic receptor antagonists
INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 591026	A1	19940406	EP 1993-402280	19930920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2696176	A1	19940401	FR 1992-11550	19920928
FR 2696176	B1	19941110		
CA 2107060	AA	19940329	CA 1993-2107060	19930927
FI 9304220	A	19940329	FI 1993-4220	19930927
NO 9303434	A	19940329	NO 1993-3434	19930927
AU 9348605	A1	19940414	AU 1993-48605	19930927
AU 659033	B2	19950504		
ZA 9307155	A	19940523	ZA 1993-7155	19930927
CN 1087340	A	19940601	CN 1993-118081	19930927
HU 65396	A2	19940628	HU 1993-2726	19930927
JP 06192254	A2	19940712	JP 1993-239568	19930927
US 5418241	A	19950523	US 1993-127058	19930927
PL 172852	B1	19971231	PL 1993-300514	19930927

PRIORITY APPLN. INFO.: FR 1992-11550 19920928
OTHER SOURCE(S): MARPAT 121:35609
GI



I



II

AB Title compds. (I; R1,R2 = H, alkyl; Z,Z1 = H, Cl, OH, NH2, alkyl, alkoxy, etc.) were prepd. Thus, 2-chloro-1-(1-methylethyl)-7-phenylmethoxy-1H-benzimidazole (prepn. given) was condensed with 4-(1H-imidazol-4-yl)piperidine to give title compd. II. I gave .gtoreq.50% inhibition of serotonin-induced bradycardia at 10.mu.g/kg i.v. in rats.

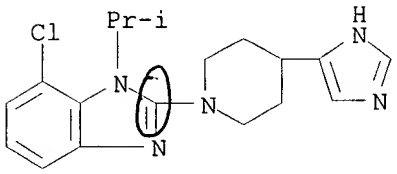
IT **155596-41-1P 155596-42-2P 155596-43-3P**
155596-45-5P 155596-47-7P 155596-49-9P
155596-50-2P 155596-51-3P 155596-53-5P
155596-54-6P 155596-55-7P 155596-57-9P
155596-59-1P 155596-60-4P 155596-61-5P
155596-62-6P 155596-64-8P 155596-66-0P
155596-67-1P 155596-68-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotoninergic receptor antagonist)

RN 155596-41-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

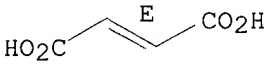
CRN 155596-40-0
CMF C18 H22 Cl N5



CM 2

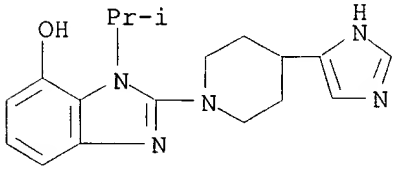
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



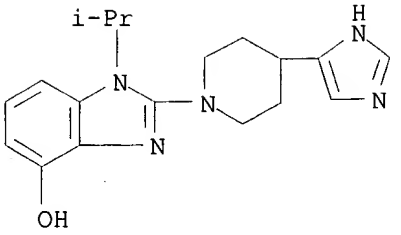
RN 155596-42-2 CAPLUS

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-43-3 CAPLUS

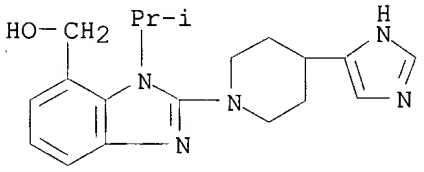
CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-45-5 CAPLUS
CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

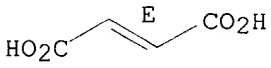
CRN 155596-44-4
CMF C19 H25 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

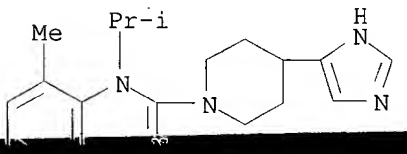
Double bond geometry as shown.



RN 155596-47-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-46-6
CMF C19 H25 N5

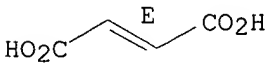


CM 2

CRN 110-17-8

CMF C4 H4 O4

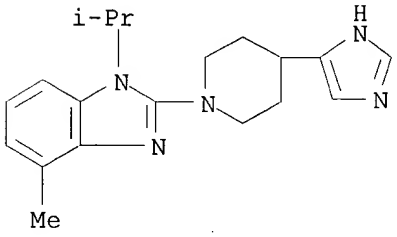
Double bond geometry as shown.



RN 155596-49-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

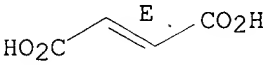
CRN 155596-48-8
CMF C19 H25 N5



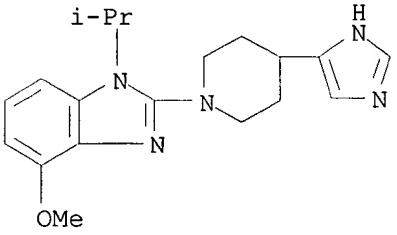
CM 2

CRN 110-17-8
CMF C4 H4 O4

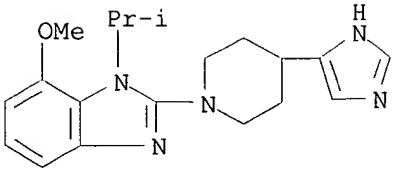
Double bond geometry as shown.



RN 155596-50-2 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



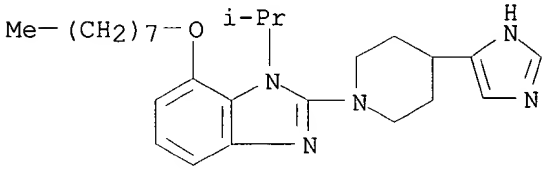
RN 155596-51-3 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-53-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

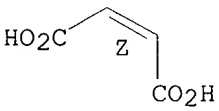
CRN 155596-52-4
CMF C26 H39 N5 O



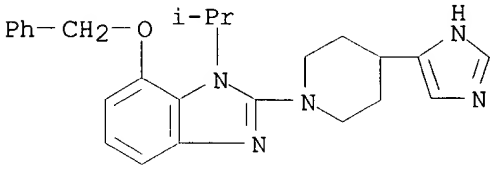
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



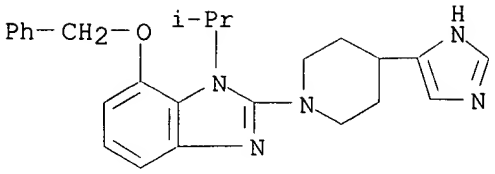
RN 155596-54-6 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 155596-55-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-

CM 1

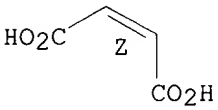
CRN 155596-54-6
CMF C25 H29 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

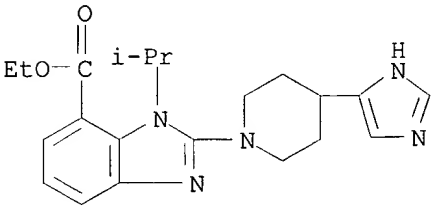
Double bond geometry as shown.



RN 155596-57-9 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

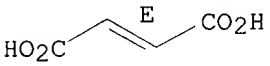
CRN 155596-56-8
CMF C21 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

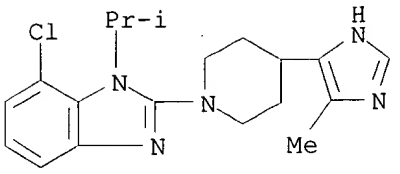


RN 155596-59-1 CAPLUS
CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0

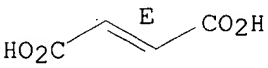
CMF C19 H24 Cl N5



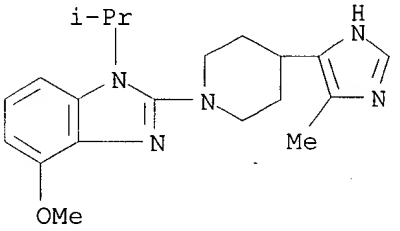
CM 2

CRN 110-17-8
CMF C4 H4 O4

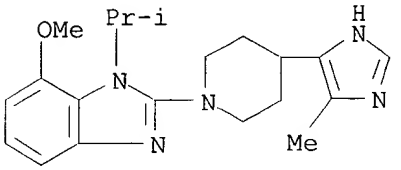
Double bond geometry as shown.



RN 155596-60-4 CAPLUS
CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

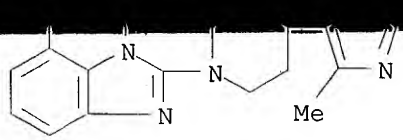


RN 155596-61-5 CAPLUS
CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155596-62-6 CAPLUS
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

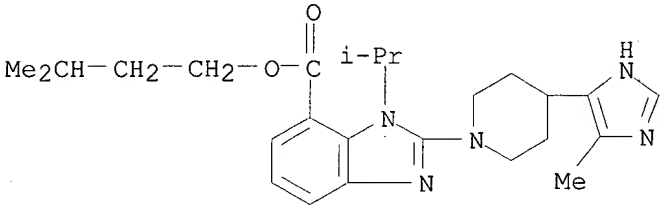
[redacted]



RN 155596-64-8 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

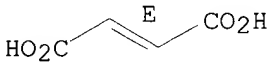
CRN 155596-63-7
CMF C25 H35 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

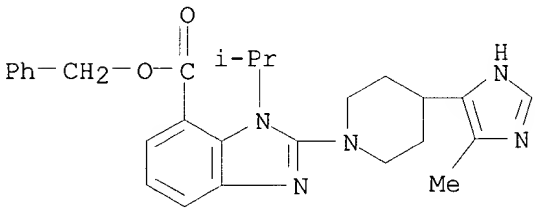
Double bond geometry as shown.



RN 155596-66-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

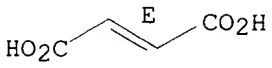
CRN 155596-65-9
CMF C27 H31 N5 O2



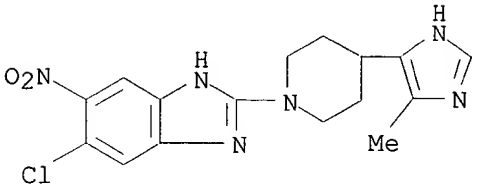
CM 2

CRN 110-17-8
CMF C4 H4 O4

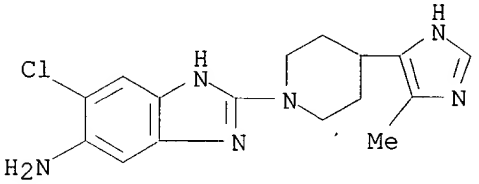
Double bond geometry as shown.



RN 155596-67-1 CAPLUS
CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 155596-68-2 CAPLUS
CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



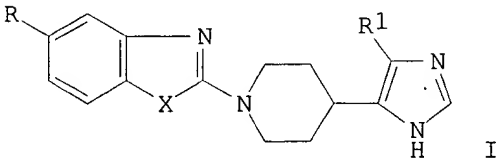
●2 HCl

L29 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:124534 CAPLUS
DOCUMENT NUMBER: 118:124534
TITLE: Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands
INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas; Schoemaker, Johannes
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507650	A1	19921007	EP 1992-400780	19920323
EP 507650	B1	19960522		
[redacted]				
FR 2674855	B1	19940114		
AT 138375	E	19960615	AT 1992-400780	19920323
CA 2064924	AA	19921004	CA 1992-2064924	19920402
NO 9201281	A	19921005	NO 1992-1281	19920402
AU 9213989	A1	19921008	AU 1992-13989	19920402

AU 646332	B2	19940217		
CN 1065459	A	19921021	CN 1992-102327	19920402
JP 05112563	A2	19930507	JP 1992-80690	19920402
JP 07088378	B4	19950927		
HU 62573	A2	19930528	HU 1992-1116	19920402
US 5280030	A	19940118	US 1992-862376	19920402

PRIORITY APPLN. INFO.: FR 1991-4009 19910403
OTHER SOURCE(S): MARPAT 118:124534
GI

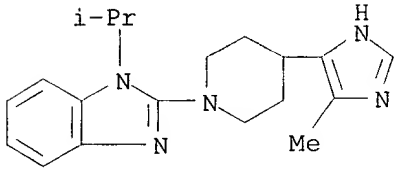


I

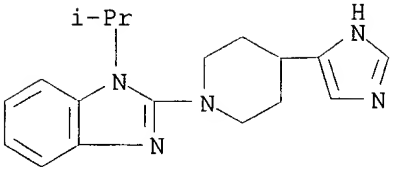
AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT **146365-53-9P 146365-54-0P 146365-58-4P**
146365-60-8P 146365-61-9P 146365-62-0P
146365-64-2P 146365-65-3P 146365-66-4P
146365-67-5P 146365-69-7P 146365-71-1P
146365-72-2P 146365-74-4P 146365-75-5P
146365-77-7P 146365-79-9P 146365-80-2P
146365-82-4P 146365-83-5P 146365-85-7P
146365-86-8P 146365-88-0P 146365-91-5P
146365-93-7P 146365-95-9P 146365-96-0P
146365-97-1P 146365-98-2P 146365-99-3P
146395-69-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT receptor ligand)

RN 146365-53-9 CAPLUS
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



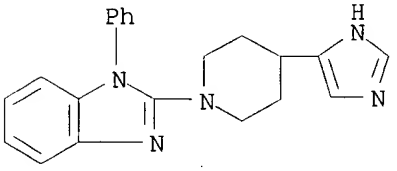
RN 146365-54-0 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-58-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

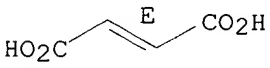
CRN 146365-57-3
CMF C21 H21 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

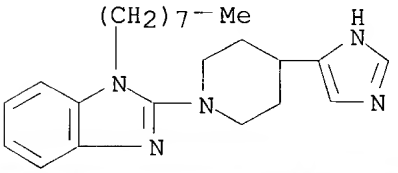
Double bond geometry as shown.



RN 146365-60-8 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

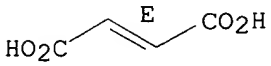
CRN 146365-59-5
CMF C23 H33 N5



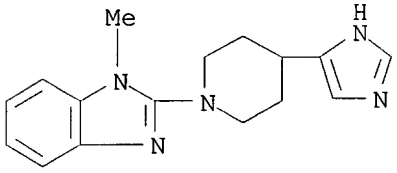
[redacted]

CRN 110-17-8
CMF C4 H4 O4

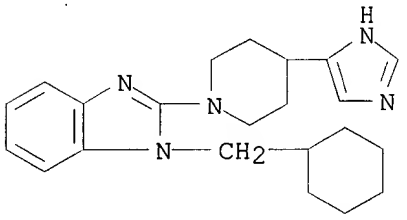
Double bond geometry as shown.



RN 146365-61-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)



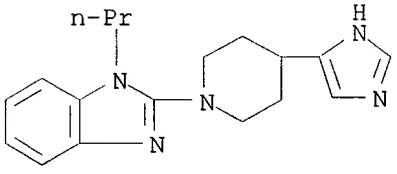
RN 146365-62-0 CAPLUS
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-64-2 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

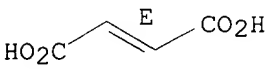
CRN 146365-63-1
CMF C18 H23 N5



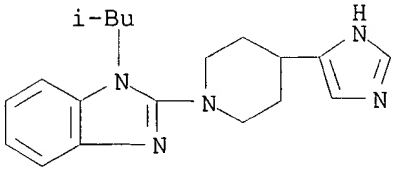
CM 2

CRN 110-17-8
CMF C4 H4 O4

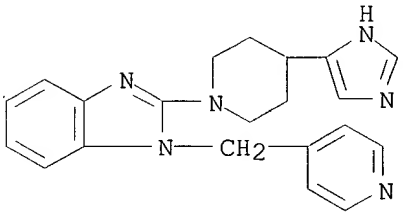
Double bond geometry as shown.



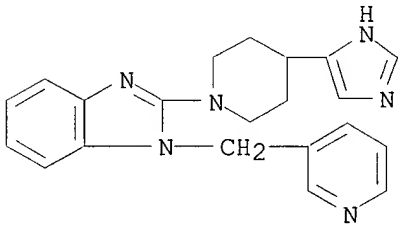
RN 146365-65-3 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 146365-66-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-67-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



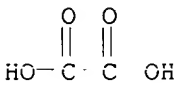
RN 146365-69-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6
CMF C18 H23 N5 O

CM 2

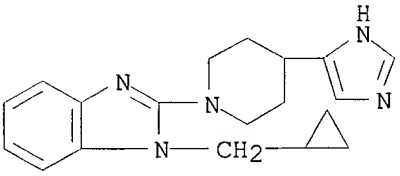
CRN 144-62-7
CMF C2 H2 O4



RN 146365-71-1 CAPLUS
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

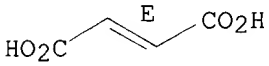
CRN 146365-70-0
CMF C19 H23 N5



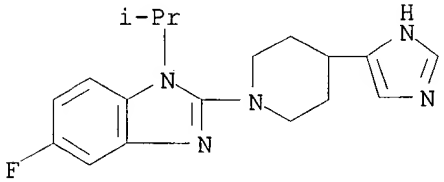
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



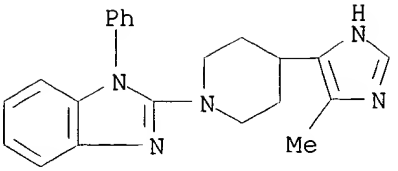
RN 146365-72-2 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-74-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

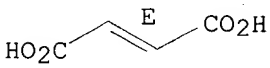
CRN 146365-73-3
CMF C22 H23 N5



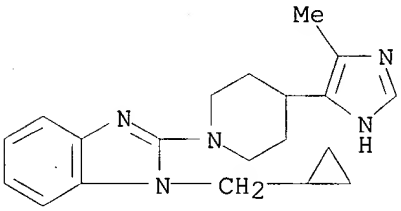
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



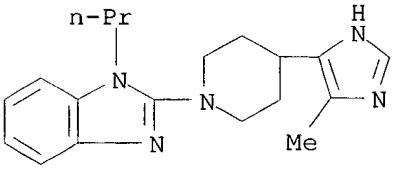
RN 146365-75-5 CAPLUS
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-77-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

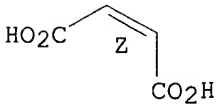
CRN 146365-76-6
CMF C19 H25 N5



CM 2

CRN 110-16-7
CMF C4 H4 O4

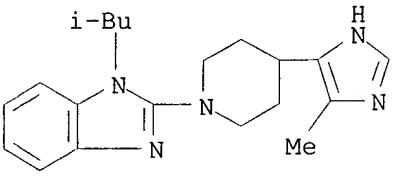
Double bond geometry as shown.



RN 146365-79-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

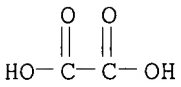
CM 1

CRN 146365-78-8
CMF C20 H27 N5

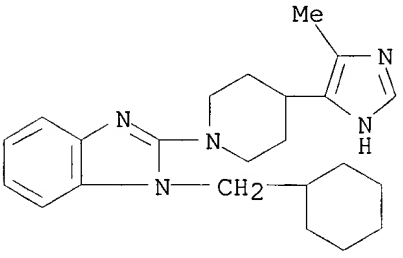


CM 2

CRN 144-62-7
CMF C2 H2 O4



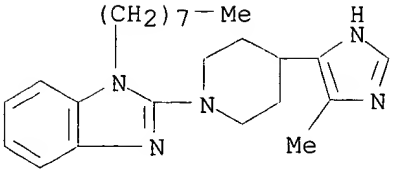
RN 146365-80-2 CAPLUS
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-82-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

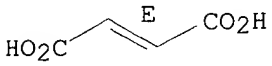
CRN 146365-81-3
CMF C24 H35 N5



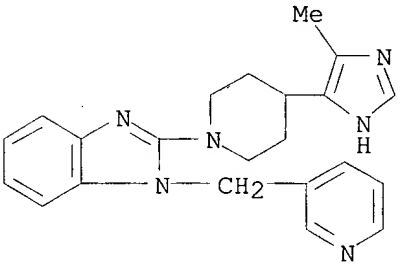
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



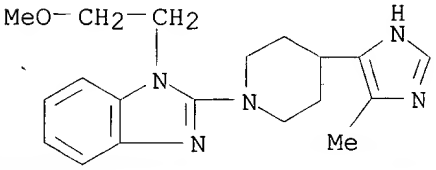
RN 146365-83-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-85-7 CAPLUS
CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6
CMF C19 H25 N5 O



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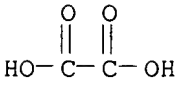
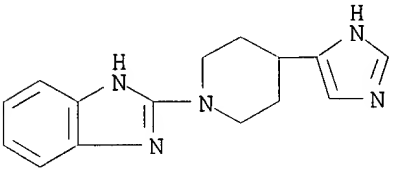
CRN 144-62-7
CMF C2 H2 O4



RN 146365-86-8 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

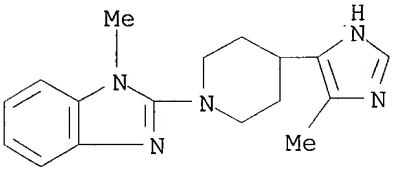


●2 HCl

RN 146365-88-0 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

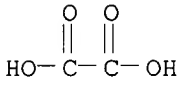
CM 1

CRN 146365-87-9
CMF C17 H21 N5

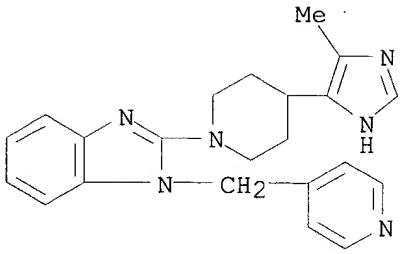


CM 2

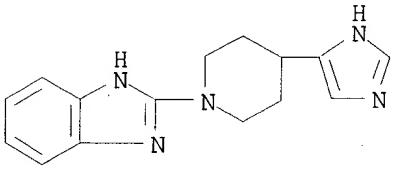
CRN 144-62-7
CMF C2 H2 O4



RN 146365-91-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



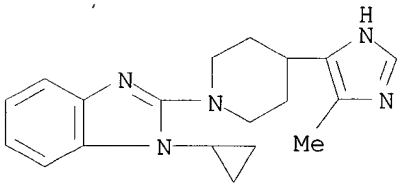
RN 146365-93-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-95-9 CAPLUS
CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

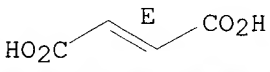
CRN 146365-94-8
CMF C19 H23 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



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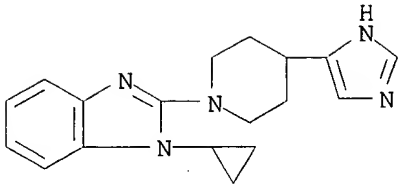
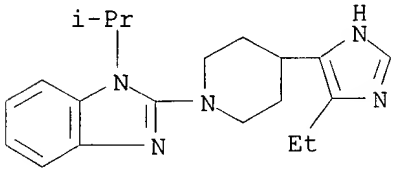
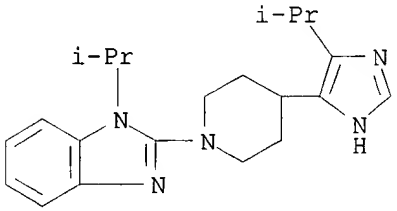
(9CI) (CA INDEX NAME)



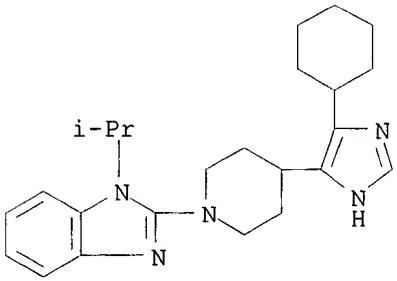
RN 146365-97-1 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



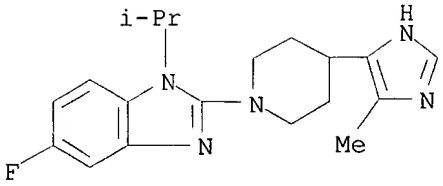
RN 146365-98-2 CAPLUS
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-99-3 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146395-69-9 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:129918 CAPLUS
DOCUMENT NUMBER: 104:129918
TITLE: Anti-virally active pyridazinamines
INVENTOR(S): Stokbroekx, Raymond Antoine; Van der Aa, Marcel Jozef Maria; Willems, Joannes Josephus Maria; Luyckx, Marcel Gerebernus Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 156433	A2	19851002	EP 1985-200384	19850315
EP 156433	A3	19860723		
EP 156433	B1	19910227		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5001125	A	19910319	US 1985-702772	19850215
AT 61050	E	19910315	AT 1985-200384	19850315
CZ 277730	B6	19930317	CZ 1985-1952	19850320
NO 8501167	A	19850927	NO 1985-1167	19850322
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ES 541521	A1	19860416	ES 1985-541521	19850322
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AU 8540348	A1	19851003	AU 1985-40348	19850325
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PL 147465	B1	19890630	PL 1985-252562	19850325
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	US 1984-593444	19840326
	US 1985-702772	19850215
	EP 1985-200384	19850315
	US 1991-637091	19910103

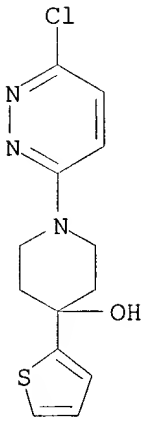
GI For diagram(s), see printed CA Issue.

AB The title compds. I [R1 = H, halo, 1H-imidazol-1-yl, alkyloxy, aryloxy, aralkoxy, alkylthio, arylthio, HO, HS, amino, alkylsulfinyl, alkylsulfonyl, cyano, alkoxycarbonyl, alkanoyl, alkyl; R2, R3 = H, alkyl; R2R3 = CH:CHCH:CH; X = CH:NCH:CH2, optionally alkyl- or aryl-substituted CmH2mNR4CnH2n,CmH2mCR5R6CnH2n, Cm-1H2(m-1)CR7:CR8CnH2n; R4 = H, alkyl, aryl, thiazolyl, pyrimidinyl, quinolinyl, etc.; R5 = H, alkyl, aryl, HO, alkyloxy, etc.; R6 = H, alkyl, aryl, indolyl, pyridinyl, etc.; R7, R8 = H, alkyl, aryl, aralkyl, pyridinyl; aryl = (un)substituted Ph; m,n = 1-4; m+n = 3-5] were prepd. Thus, 3,6-dichloropyridazine was treated with 1,2,3,6-tetrahydro-4-(3-methylphenyl)pyridine to give pyridinylpyridazine II, which in the Rhinovirus Cytopathic Effect Test gave 0.006 .mu.g/mL as the lowest concn. necessary to inhibit .gtoreq.75% of the cytopathic effect of human rhinovirus. Oral drops were prepd. by dissolving 500 g I in 0.5 L MeCHOHCO2H and 1.5 L polypropylene glycol at 60-80.degree., cooling to 30-40.degree., adding 35 L polyethylene glycol, mixing well, adding 1750 g Na saccharin in 2.5 L purified H2O and 2.5 L cocoa flavor, and finally polyethylene glycol to 50 L to provide a soln. comprising 10 mg I/mL.

IT **100223-79-8P 100223-91-4P 100223-92-5P**
100224-24-6P 100224-34-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as virucide)

RN 100223-79-8 CAPLUS

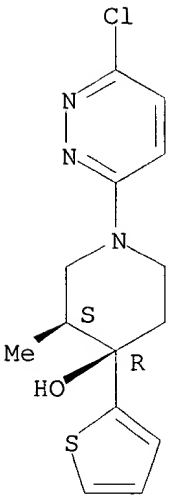
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)



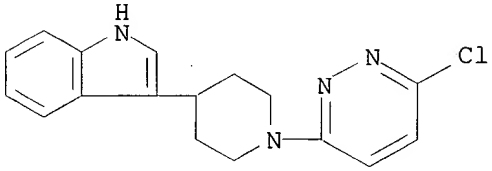
RN 100223-91-4 CAPLUS

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis- (9CI) (CA INDEX NAME)

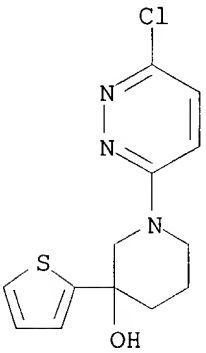
Relative stereochemistry.



RN 100223-92-5 CAPLUS
CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

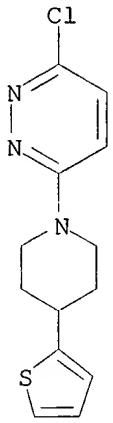


RN 100224-24-6 CAPLUS
CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

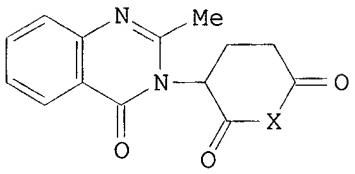


RN 100224-34-8 CAPLUS
CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

[redacted]



L29 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:132600 CAPLUS
DOCUMENT NUMBER: 90:132600
TITLE: Synthesis and screening of some quinazolinone derivatives
AUTHOR(S): Abd El-Fattah, B.; El-Sayed, M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Bull. Fac. Pharm., Cairo Univ. (1978), Volume Date 1976, 15(2), 273-84
CODEN: BFPHA8; ISSN: 0575-1373
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



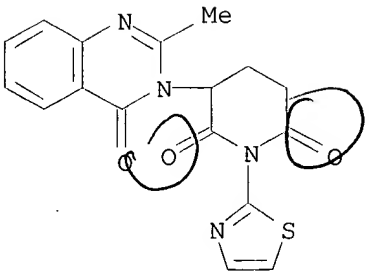
I, X=NR, R=aryl
II, X=O

AB A series of quinazolinone derivs. (I) was synthesized by condensing II [69557-33-1] with (NH4)2CO3 and different primary amines. Preliminary screening study of the 20 compds. thus prepd. showed these compds. to be potent sedatives, weakly paralytic, and not having any hypnotic activity when compared to phenobarbital. These compds. were less toxic than phenobarbital and showed a high therapeutic index. Structure-activity relationships are discussed.

IT **69557-31-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and nervous system-depressant activity of)

RN 69557-31-9 CAPLUS

CN 2,6-Piperidinedione, 3-(2-methyl-4-oxo-3(4H)-quinazolinyl)-1-(2-thiazolyl)- (9CI) (CA INDEX NAME)



L29 ANSWER 26 OF 36 USPATFULL

ACCESSION NUMBER:	2002:224619 USPATFULL
TITLE:	4-phenyl-4-heteroarylpiperidine derivatives
INVENTOR(S):	Liras, Spiros, Stonington, CT, United States McHardy, Stanton F., Coventry, RI, United States
PATENT ASSIGNEE(S):	Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6444679	B1	20020903
APPLICATION INFO.:	US 2000-503679		20000214 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-121156P	19990222 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Truong, Tamthom N.
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Jacobs, Seth H.
NUMBER OF CLAIMS:	9
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	1963

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the formula I, ##STR1##

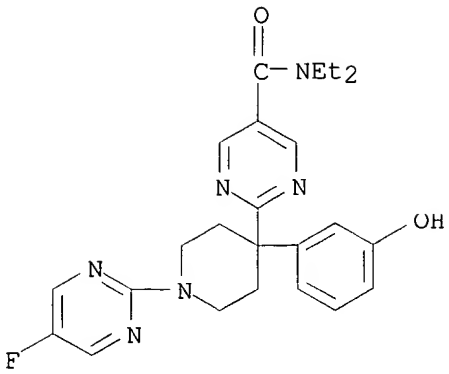
wherein Z.sup.1, X, Y, ( ).sub.n, R.sub.1, R.sup.2 and R.sup.3 are defined as in the specification, pharmaceutical compositions containing such compounds; and the use of such compounds to treat neurological and gastrointestinal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

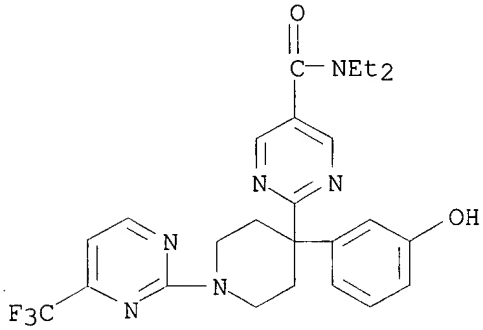
IT **291753-96-3P 291753-97-4P 291753-99-6P**
291754-01-3P 291754-03-5P 291754-38-6P
291754-39-7P 291754-40-0P 291754-41-1P
(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 USPATFULL

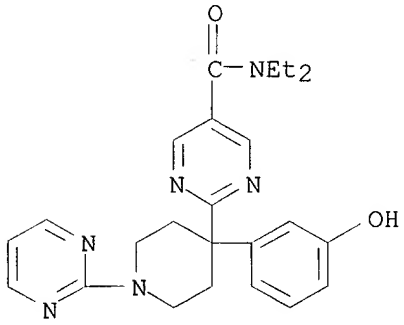
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-



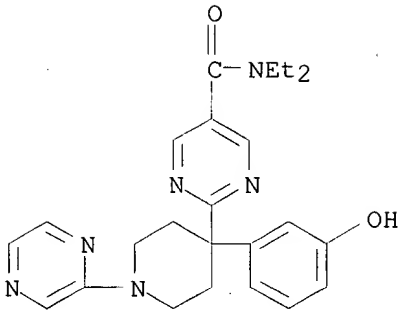
RN 291753-97-4 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



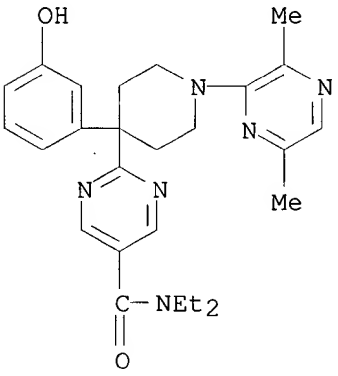
RN 291753-99-6 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



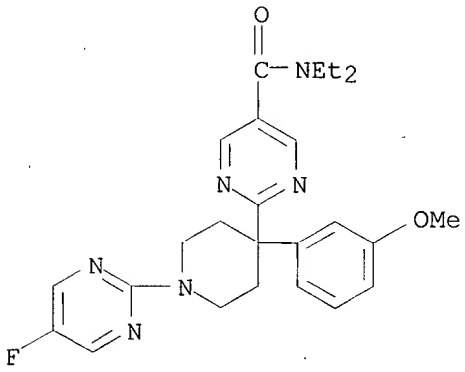
RN 291754-01-3 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



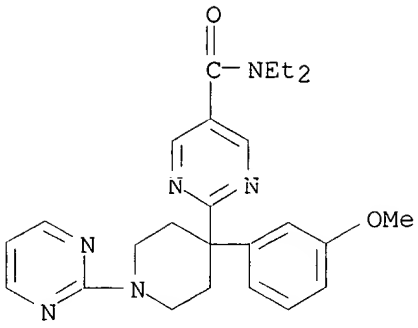
RN 291754-03-5 USPATFULL
CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



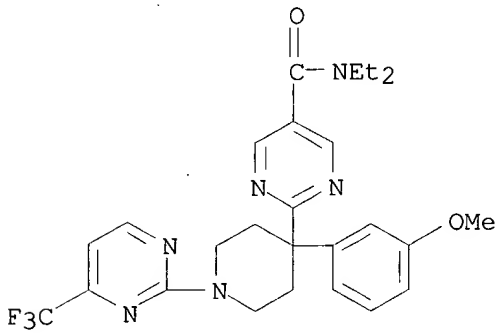
RN 291754-38-6 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



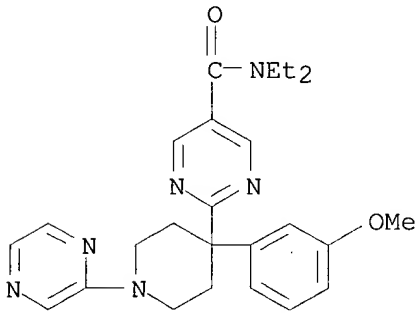
RN 291754-39-7 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-



RN 291754-40-0 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 291754-41-1 USPATFULL
CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 27 OF 36 USPATFULL
ACCESSION NUMBER: 2002:102507 USPATFULL
TITLE: Anticoccidial compounds
INVENTOR(S): Bitfu, Tesfaye, Westfield, NJ, United States
Feng, Danqing D., Branchburg Township, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

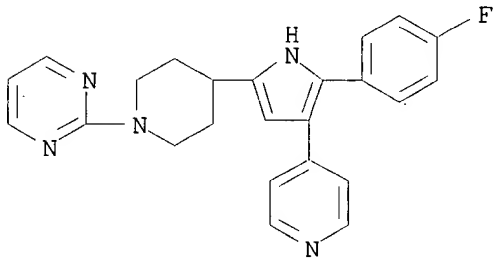
NUMBER KIND DATE

PATENT INFORMATION:	US 6384052	B1	20020507
APPLICATION INFO.:	US 2000-709959		20001110 (9)

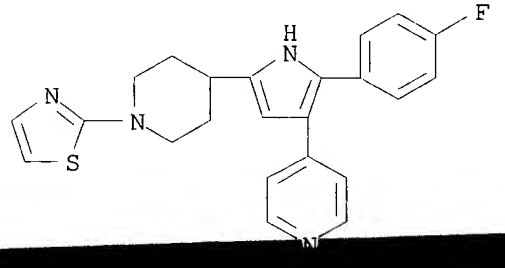
	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-165142P	19991112 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Covington, Raymond
LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 364
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Trisubstituted pyrroles are useful in the control of coccidiosis in poultry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **339988-61-3P**, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole **339988-63-5P**, 2-(4-Fluorophenyl)-5-[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole
(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)
RN 339988-61-3 USPATFULL
CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 339988-63-5 USPATFULL
CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 28 OF 36 USPATFULL
ACCESSION NUMBER: 2001:158303 USPATFULL
TITLE: Diaryl piperidyl pyrrole derivatives as antiprotozoal agents

INVENTOR(S): Biftu, Tesfaye, Westfield, NJ, United States
Feng, Danqing Dennis, Branchburg Township, NJ, United States
Liang, Gui-Bai, Scotch Plains, NJ, United States
Ponpipom, Mitree M., Branchburg, NJ, United States
Qian, Xiaoxia, New York, NY, United States
Fisher, Michael H., Ringoes, NJ, United States
Wyvratt, Matthew J., Mountainside, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6291480	B1	20010918	
APPLICATION INFO.:	US 2000-710147		20001110	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-165142P	19991112	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 1116
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

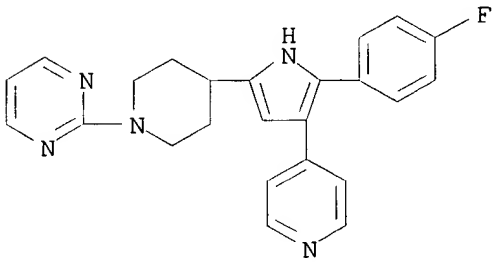
AB Trisubstituted pyrroles are antiprotozoal agents useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **339988-61-3P**, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole **339988-63-5P**, 2-(4-Fluorophenyl)-5-[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole
(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

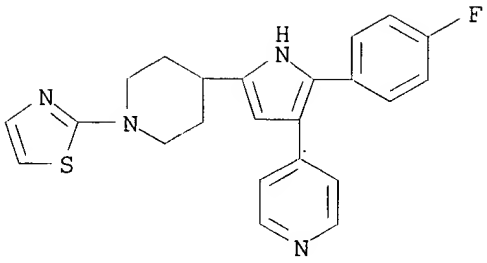
RN 339988-61-3 USPATFULL

CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 339988-63-5 USPATFULL

CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 29 OF 36 USPATFULL
ACCESSION NUMBER: 2001:63701 USPATFULL
TITLE: Antidepressant heterocyclic compounds
INVENTOR(S): Poss, Michael A., Lawrenceville, NJ, United States
Tortolani, David R., Skillman, NJ, United States
Mattson, Ronald J., Southington, CT, United States
Yevich, Joseph P., Southington, CT, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

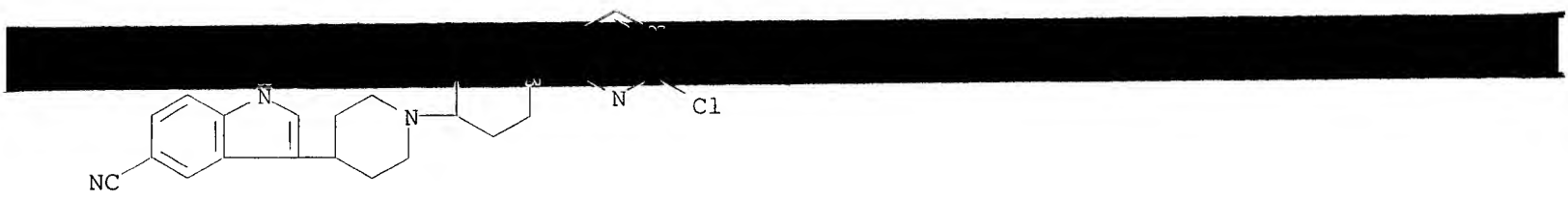
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6225324	B1	20010501
APPLICATION INFO.:	US 1999-467957		19991221 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117651P	19990128 (60)

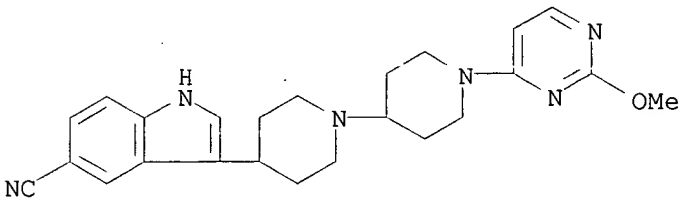
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chang, Ceila
LEGAL REPRESENTATIVE: Ryan, Richard P.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1128
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of formula I are useful antidepressant agents ##STR1##

demonstrating potent inhibition of 5-HT reuptake. Z is selected from among various phenyl and hetaryl moieties while Y is benzyl or indolyl.

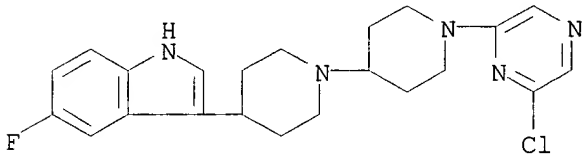
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **286469-42-9P 286469-45-2P 286469-56-5P**
286469-57-6P 286469-58-7P 286469-59-8P
286469-65-6P 286469-66-7P
(prepn. of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)
RN 286469-42-9 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-chloro-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



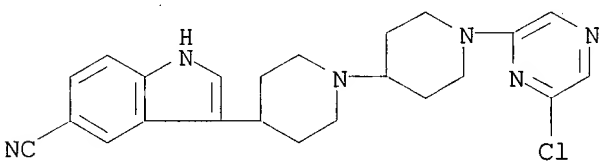
RN 286469-45-2 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-methoxy-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



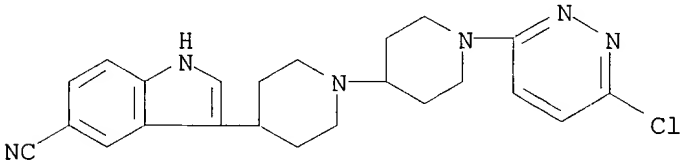
RN 286469-56-5 USPATFULL
CN 1H-Indole, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



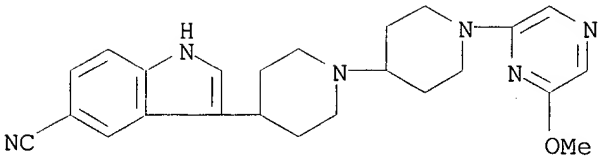
RN 286469-57-6 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



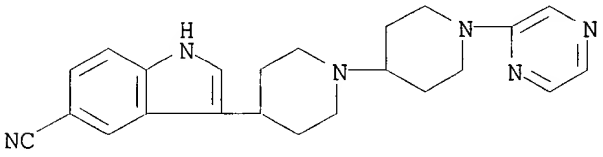
RN 286469-58-7 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloro-3-pyridazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



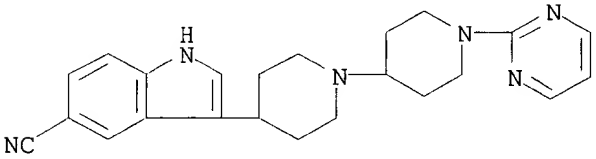
RN 286469-59-8 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(6-methoxypyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 286469-65-6 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-(1'-pyrazinyl[1,4'-bipiperidin]-4-yl)- (9CI) (CA INDEX NAME)



RN 286469-66-7 USPATFULL
CN 1H-Indole-5-carbonitrile, 3-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 30 OF 36 USPATFULL

ACCESSION NUMBER: 2001:22227 USPATFULL
TITLE: 4-Tetrahydropyridylpyrimidine derivatives
INVENTOR(S): Nakazato, Atsuro, Tokyo, Japan
Kumagai, Toshihito, Tokyo, Japan
Okubo, Taketoshi, Tokyo, Japan
Aibe, Izumi, Tokyo, Japan
Tanaka, Hideo, Tokyo, Japan
Chaki, Shigeyuki, Tokyo, Japan
Okuyama, Shigeru, Tokyo, Japan
Tomisawa, Kazuyuki, Tokyo, Japan
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6187781	B1	20010213	
	WO 9842699		19981001	
APPLICATION INFO.:	US 1999-381462		19990921	(9)
	WO 1998-JP9901330		19980325	

[redacted]

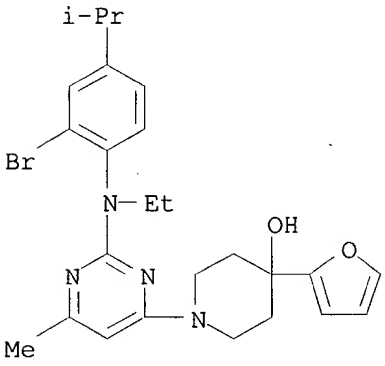
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1997-72899	19970326
	JP 1997-338439	19971209

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
ASSISTANT EXAMINER: McKenzie, Thomas
LEGAL REPRESENTATIVE: Lorusso & Loud
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 960
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

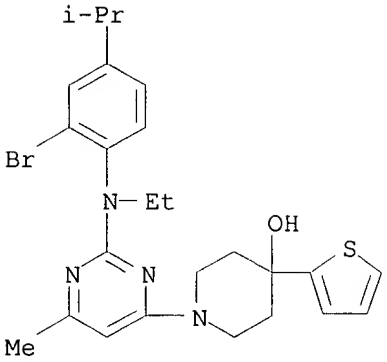
AB A 4-tetrahydropyridylpyrimidine compound represented by formula (I):
##STR1##

wherein Ar represents a phenyl group substituted with 1 to 3 substituents selected from a halogen atom, an alkyl group having 1 to 5 carbon atoms, an alkoxy group having 1 to 5 carbon atoms, and a trifluoromethyl group, a phenyl group, a thienyl group or a furanyl group; R.sup.1 represents a hydrogen atom, an alkyl group having 1 to 5 carbon atoms, an amino group or an amino group substituted with 1 or 2 alkyl groups having 1 to 5 carbon atoms; R.sup.2 represents an alkyl group having 1 to 5 carbon atoms, a cycloalkylalkyl group having 4 to 7 carbon atoms, an alkenyl group having 2 to 5 carbon atoms or an alkynyl group having 2 to 5 carbon atoms; and X.sup.1, X.sup.2, and X.sup.3, which may be the same or different, each represent a hydrogen atom, a halogen atom, an alkyl group having 1 to 5 carbon atoms, an alkoxy group having 1 to 5 carbon atoms, an alkylthio group having 1 to 5 carbon atoms, an amino group or an amino group substituted with 1 or 2 alkyl groups having 1 to 5 carbon atoms, or a pharmaceutically acceptable salt thereof. The 4-Tetrahydropyridylpyrimidine compound finds utility in the treatment of diseases in which CRF is implicated.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **213923-79-6P 213923-80-9P**
(prepn. of 4-tetrahydropyridylpyrimidine derivs. as drugs)
RN 213923-79-6 USPATFULL
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 213923-80-9 USPATFULL
CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



L29 ANSWER 31 OF 36 USPATFULL

ACCESSION NUMBER:	1999:146577 USPATFULL
TITLE:	Angiogenesis inhibiting pyridazinamines
INVENTOR(S):	Stokbroekx, Raymond Antoine, Beerse, Belgium Van der Aa, Marcel Jozef Maria, Turnhout, Belgium Willems, Marc, Vosselaar, Belgium Meerpoel, Lieven, Merksplas, Belgium Luyckx, Marcel Gerebernus Maria, Geel, Belgium Tuman, Robert, Spring House, PA, United States
PATENT ASSIGNEE(S):	Janssen Pharmaceuticals, N.V., Beerse, Belgium (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5985878		19991116	
APPLICATION INFO.:	US 1998-119075		19980709	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1996-200085	19960115

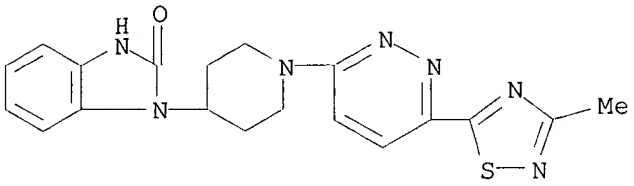
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Ambrose, Michael G.
LEGAL REPRESENTATIVE:	Coletti, Ellen Ciambrone
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
LINE COUNT:	1252

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

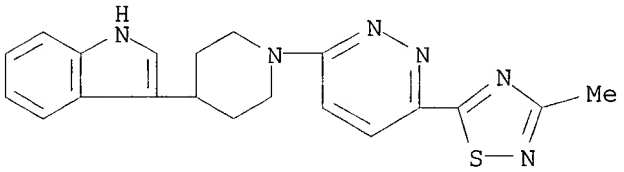
AB This invention concerns compounds of formula the N-oxide forms, the pharmaceutically acceptable acid addition salts and stereochemically isomeric forms thereof, wherein X is CH or N; m is 2 or 3 and n is 1, 2 or 3; wherein 1 or 2 C-atoms of the CH.sub.2 groups of the ##STR1## moiety which may also contain one double bond, may be substituted with C.sub.1-6 alkyl, amino, aminocarbonyl, mono- or di(C.sub.1-6 alkyl)amino, C.sub.1-6 alkyloxycarbony, C.sub.1-6 alkylcarbonylamino, hydroxy or C.sub.1-6 alkyloxy; and/or 2 C-atoms of said CH.sub.2 groups may be bridged with C.sub.2-4 alkanediyl; R.sup.1 is hydrogen, C.sub.1-6 alkyl, C.sub.1-6 alkyloxy, C.sub.1-6 alkylthio, amino, mono- or di(C.sub.1-6 alkyl)amino, Ar, ArNH--, C.sub.3-6 cycloalkyl, [redacted] --CH.dbd.CH--CH.dbd.CH--; in case X represents CH then L is a radical L.sup.1, L.sup.2 or L.sup.3 ; or in case X represents N then L is a radical L.sup.2 or L.sup.3 ; L.sup.1 is Ar-C.sub.1-6 alkyloxy, Ar-oxy, Ar-thio, Ar-carbonylamino, di-Ar-methyloxy-, N-Ar-piperazinyl, N-Ar-homopiperazinyl, 2-benzimidazolinonyl, Ar--NR.sup.4 --,

Ar-Alk-NR.sup.4 --, Ar--NR.sup.4 -Alk-NR.sup.5 -- or Het-NR.sup.4 --; L.sup.2 is Ar, Ar-carbonyl, Ar--CH.dbd.CH--CH.sub.2 --, naphtalenyl or Het; L.sup.3 is C.sub.1-6 alkyl substituted with one or two radicals selected from Ar, Ar-oxy, or Ar-thio, further optionally substituted with cyano or hydroxy; 2,2-dimethyl-1,2,3,4-tetrahydro-naphtalenyl; 2,2-dimethyl-1H-2,3-dihydroindenyl;Ar-piperidinyl or Ar--NR.sup.4 -Alk-; R.sup.4 and R.sup.5 are each independently selected from hydrogen or C.sub.1-6 alkyl; Alk is C.sub.1-6 alkanediyl; their preparation, compositions containing them and their use as a medicine.

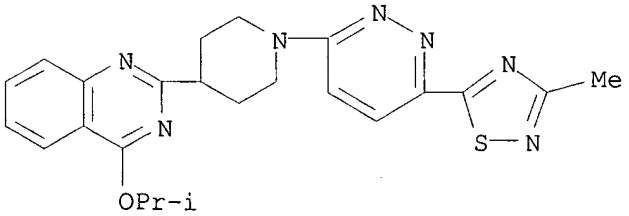
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **193956-30-8P 193956-31-9P 193956-99-9P**
(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)
RN 193956-30-8 USPATFULL
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 193956-31-9 USPATFULL
CN 1H-Indole, 3-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 193956-99-9 USPATFULL
CN Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 32 OF 36 USPATFULL
ACCESSION NUMBER: 95:45610 USPATFULL
TITLE: Piperidine derivatives, their preparation and their application in therapeutics
INVENTOR(S): Jegham, Samir, Argenteuil, France
Defosse, Gerard, Paris, France
Purcell, Thomas, Montfort L'Amaury, France

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Synthelabo, Le Plessis Robinson, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5418241		19950523
APPLICATION INFO.:	US 1993-127058		19930927 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1992-11550	19920928

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chang, Celia
LEGAL REPRESENTATIVE: Jacobson, Price, Holman & Stern
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 516
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a compound which is a piperidine derivative of formula (I) ##STR1## in which R.sub.1 is hydrogen or straight or branched (C.sub.1 -C.sub.6) alkyl, R.sub.2 is hydrogen or straight or branched (C.sub.1 -C.sub.8) alkyl, Z and Z.sub.1 which may be the same or different, each is hydrogen, chlorine, hydroxyl, amino, nitro, hydroxymethyl, (C.sub.1 -C.sub.2) alkyl, (C.sub.1 -C.sub.8) alkoxy straight or branched (C.sub.1 -C.sub.5) alkoxycarbonyl or aryl (C.sub.1 -C.sub.2) alkoxy, Z is in position 4, 6 or 7 and Z and Z.sub.1 cannot both be hydrogen, or its addition salt with a pharmaceutically acceptable acid and its therapeutic application.

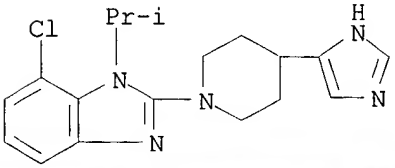
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **155596-41-1P 155596-42-2P 155596-43-3P**
155596-45-5P 155596-47-7P 155596-49-9P
155596-50-2P 155596-51-3P 155596-53-5P
155596-54-6P 155596-55-7P 155596-57-9P
155596-59-1P 155596-60-4P 155596-61-5P
155596-62-6P 155596-64-8P 155596-66-0P
155596-67-1P 155596-68-2P
(prepn. of, as serotoninergic receptor antagonist)

RN 155596-41-1 USPATFULL
CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

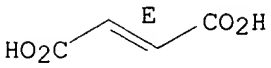
CRN 155596-40-0
CMF C18 H22 Cl N5



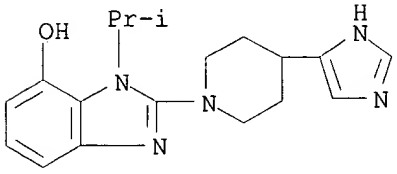
[redacted]

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

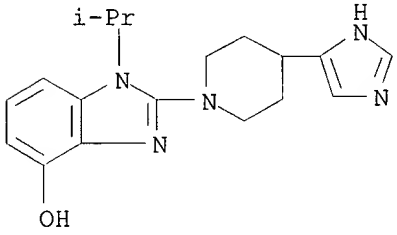
Double bond geometry as shown.



RN 155596-42-2 USPATFULL
CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



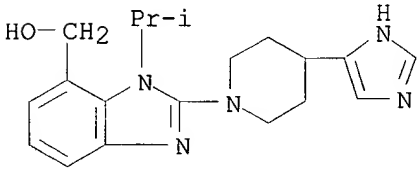
RN 155596-43-3 USPATFULL
CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-45-5 USPATFULL
CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

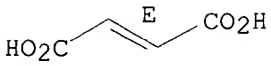
CRN 155596-44-4
CMF C19 H25 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

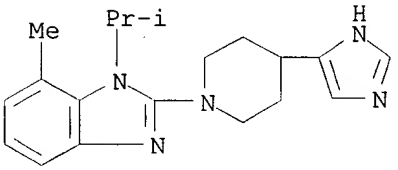
Double bond geometry as shown.



RN 155596-47-7 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

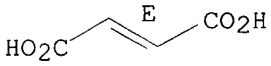
CRN 155596-46-6
CMF C19 H25 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

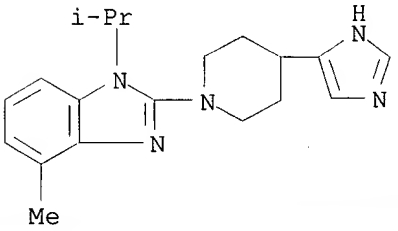
Double bond geometry as shown.



RN 155596-49-9 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

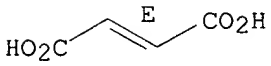
CRN 155596-48-8
CMF C19 H25 N5



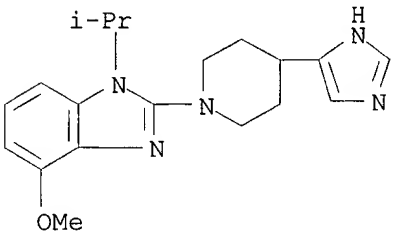
[redacted]

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

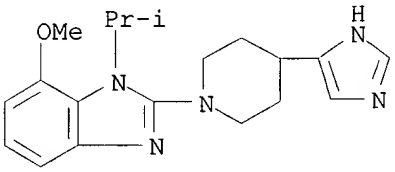
Double bond geometry as shown.



RN 155596-50-2 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



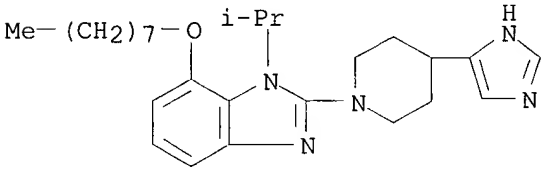
RN 155596-51-3 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-53-5 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

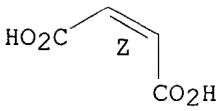
CRN 155596-52-4
CMF C26 H39 N5 O



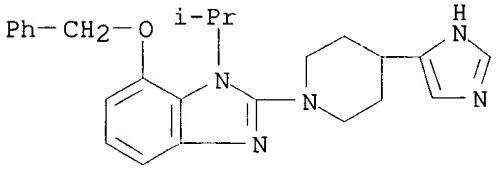
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



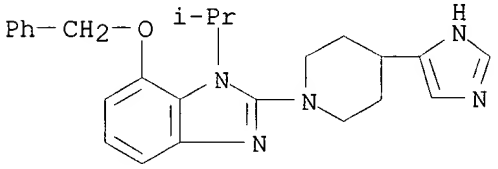
RN 155596-54-6 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 155596-55-7 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

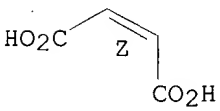
CRN 155596-54-6
CMF C25 H29 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

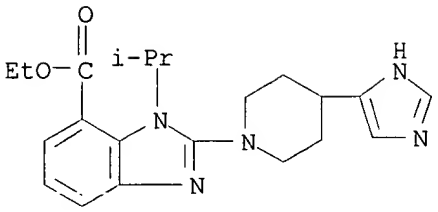


RN 155596-57-9 USPATFULL
CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA

[redacted]

CM 1

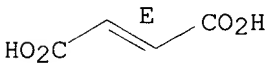
CRN 155596-56-8
CMF C21 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

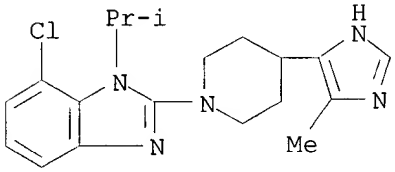
Double bond geometry as shown.



RN 155596-59-1 USPATFULL
CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

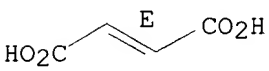
CRN 155596-58-0
CMF C19 H24 Cl N5



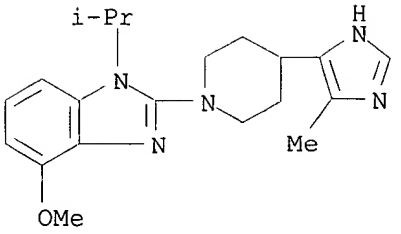
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

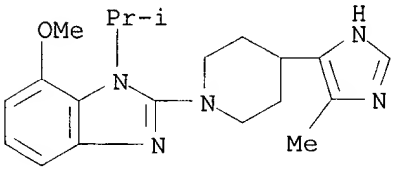
Double bond geometry as shown.



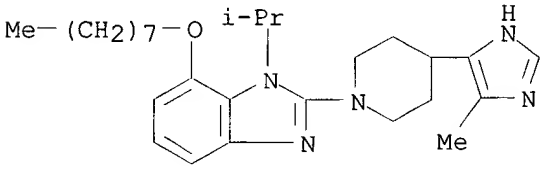
RN 155596-60-4 USPATFULL
CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155596-61-5 USPATFULL
CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



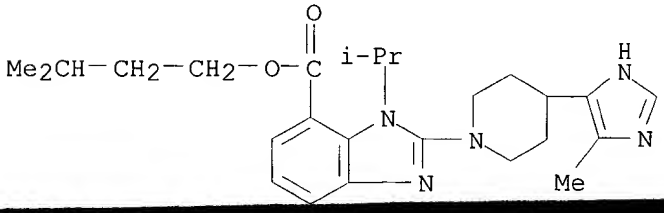
RN 155596-62-6 USPATFULL
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)



RN 155596-64-8 USPATFULL
CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7
CMF C25 H35 N5 O2



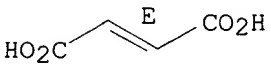
[redacted]

CM 2

CRN 110-17-8
CMF C4 H4 O4

CDES 2:E

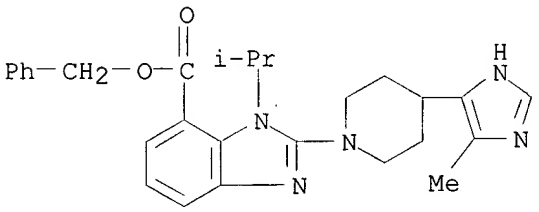
Double bond geometry as shown.



RN 155596-66-0 USPATFULL
CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

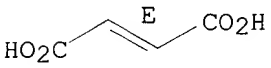
CRN 155596-65-9
CMF C27 H31 N5 O2



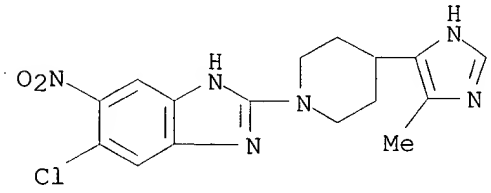
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

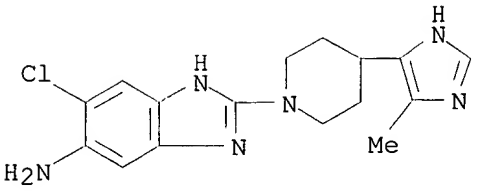
Double bond geometry as shown.



RN 155596-67-1 USPATFULL
CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 155596-68-2 USPATFULL
CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

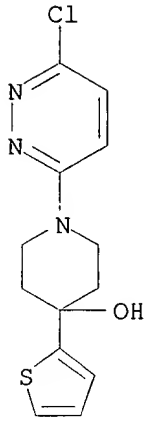
L29 ANSWER 33 OF 36 USPATFULL
ACCESSION NUMBER: 94:20173 USPATFULL
TITLE: Anti-virally active pyridazinamines
INVENTOR(S): Stokbroekx, Raymond A., Beerse, Belgium
Van der Aa, Marcel J. M., Kasterlee, Belgium
Willems, Joannes J. M., Oud-Turnhout, Belgium
Luyckx, Marcel G. M., Geel, Belgium
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5292738		19940308
APPLICATION INFO.:	US 1992-929622		19920813 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-637091, filed on 3 Jan 1991, now patented, Pat. No. US 5157035 which is a division of Ser. No. US 1985-702772, filed on 15 Feb 1985, now patented, Pat. No. US 5001125 which is a continuation-in-part of Ser. No. US 1984-593444, filed on 26 Mar 1984, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Daus, Donald G.
LEGAL REPRESENTATIVE: Metz, Charles J.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 1818
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

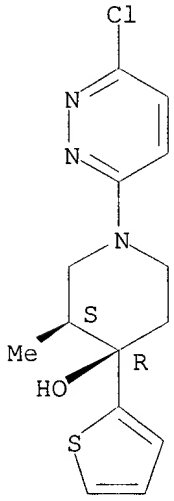
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **100223-79-8P 100223-91-4P 100223-92-5P**
100224-24-6P 100224-34-8P
(prepn. of, as virucide)
RN 100223-79-8 USPATFULL
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

[redacted]

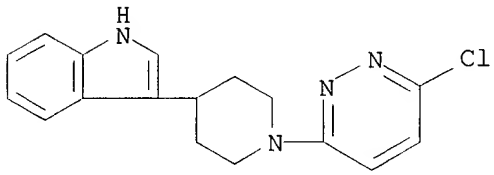


RN 100223-91-4 USPATFULL
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis- (9CI) (CA INDEX NAME)

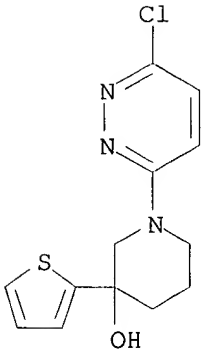
Relative stereochemistry.



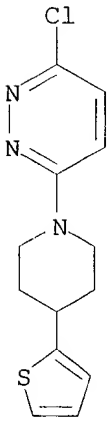
RN 100223-92-5 USPATFULL
CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 100224-24-6 USPATFULL
CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 100224-34-8 USPATFULL
CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 34 OF 36 USPATFULL

ACCESSION NUMBER:	94:5884 USPATFULL
TITLE:	Piperidine derivatives, their preparation and their therapeutic application
INVENTOR(S):	Jegham, Samir, Franconville, France DeFosse, Gerard, Paris, France Purcell, Thomas, Montfort-l'Amaury, France Schoemaker, Johannes, Gif-sur-Yvettte, France
PATENT ASSIGNEE(S):	Synthelabo, Le Plessis-Robinson, France (non-U.S. corporation)

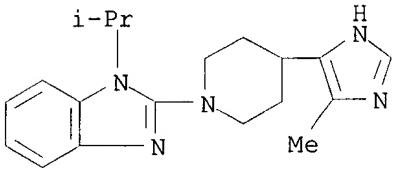
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5280030		19940118	
APPLICATION INFO.:	US 1992-862376		19920402	(7)

	NUMBER	DATE
[redacted]		

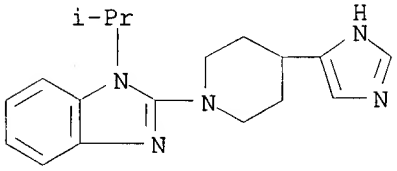
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Chang, Celia
LEGAL REPRESENTATIVE:	Wegner, Cantor, Mueller & Player

NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 600
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound which is a piperidine derivative of general formula (I) ##STR1## in which R.sub.1 represents a hydrogen atom, a linear or branched (C.sub.1-6)alkyl group or a cyclo(C.sub.3-8)alkyl group, X represents an oxygen atom, a sulphur atom or a group of general formula N--R.sub.3 in which R.sub.3 is a hydrogen atom, or a linear or branched (C.sub.1-8)alkyl, cyclo(C.sub.3-6)alkyl, cyclo(C.sub.3-6)alkylmethyl, (C.sub.1-4)alkoxy-(C.sub.1-4)alkyl, phenyl, pyridin-4-yl, pyridin-3-yl, pyridin-4-ylmethyl or pyridin-3-ylmethyl group and Z represents a hydrogen or fluorine atom and acid addition salts thereof with pharmaceutically acceptable acids, can be used for the treatment and prevention of disorders in which 5-HT receptors are involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **146365-53-9P 146365-54-0P 146365-58-4P**
146365-60-8P 146365-61-9P 146365-62-0P
146365-64-2P 146365-65-3P 146365-66-4P
146365-67-5P 146365-69-7P 146365-71-1P
146365-72-2P 146365-74-4P 146365-75-5P
146365-77-7P 146365-79-9P 146365-80-2P
146365-82-4P 146365-83-5P 146365-85-7P
146365-86-8P 146365-88-0P 146365-91-5P
146365-93-7P 146365-95-9P 146365-96-0P
146365-97-1P 146365-98-2P 146365-99-3P
146395-69-9P
(prepn. of, as 5-HT receptor ligand)
RN 146365-53-9 USPATFULL
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



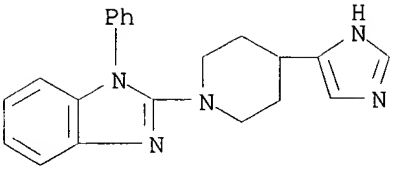
RN 146365-54-0 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-58-4 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

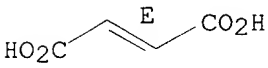
CRN 146365-57-3
CMF C21 H21 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

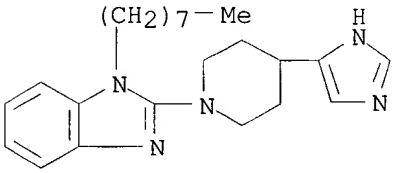
Double bond geometry as shown.



RN 146365-60-8 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

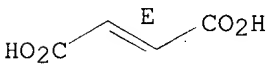
CRN 146365-59-5
CMF C23 H33 N5



CM 2

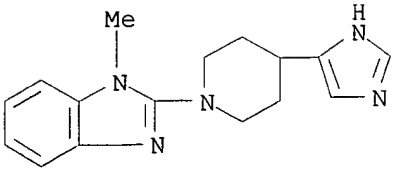
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

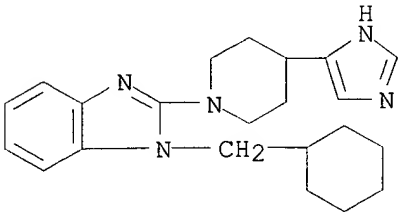


RN 146365-61-9 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)

[redacted]



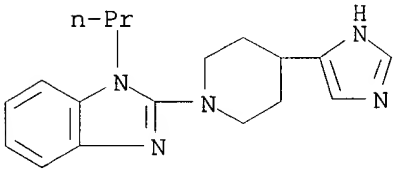
RN 146365-62-0 USPATFULL
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-64-2 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

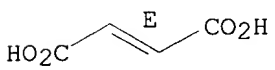
CRN 146365-63-1
CMF C18 H23 N5



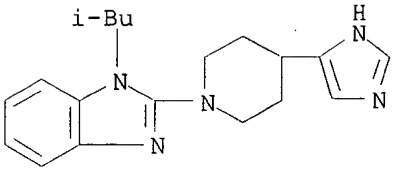
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

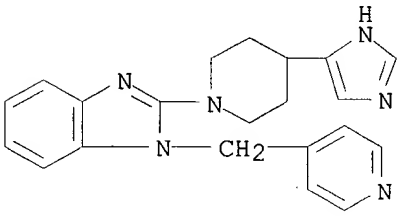
Double bond geometry as shown.



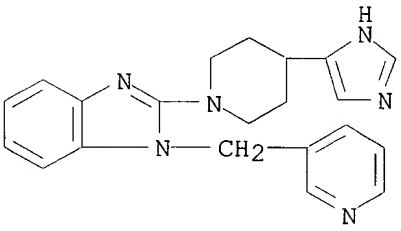
RN 146365-65-3 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 146365-66-4 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



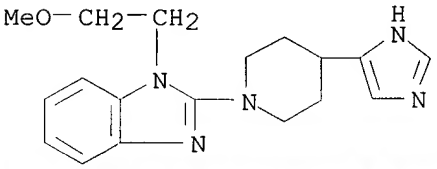
RN 146365-67-5 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-69-7 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

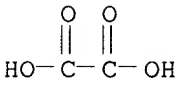
CM 1

CRN 146365-68-6
CMF C18 H23 N5 O



[redacted]

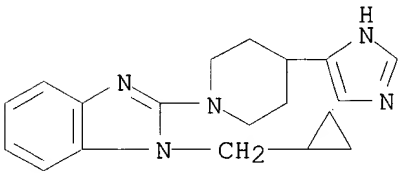
CRN 144-62-7
CMF C2 H2 O4



RN 146365-71-1 USPATFULL
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

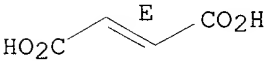
CRN 146365-70-0
CMF C19 H23 N5



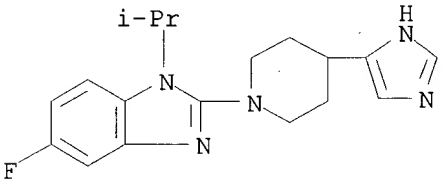
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



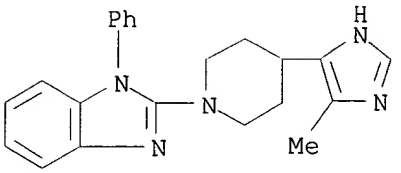
RN 146365-72-2 USPATFULL
CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-74-4 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

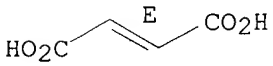
CRN 146365-73-3
CMF C22 H23 N5



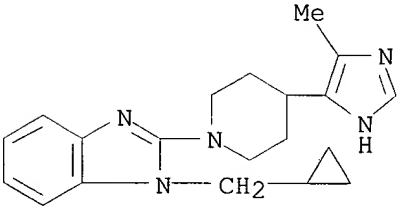
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



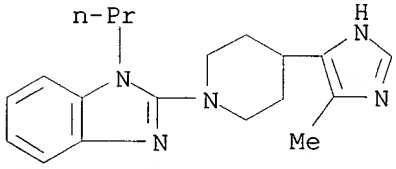
RN 146365-75-5 USPATFULL
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-77-7 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-76-6
CMF C19 H25 N5

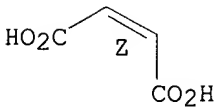


CM 2

[redacted]

CMF C4 H4 O4
CDES 2:Z

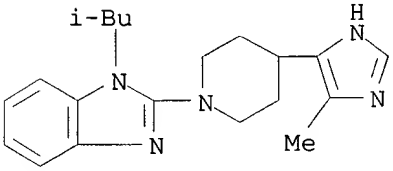
Double bond geometry as shown.



RN 146365-79-9 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

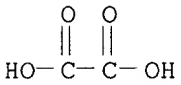
CM 1

CRN 146365-78-8
CMF C20 H27 N5

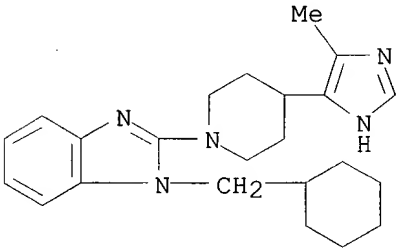


CM 2

CRN 144-62-7
CMF C2 H2 O4



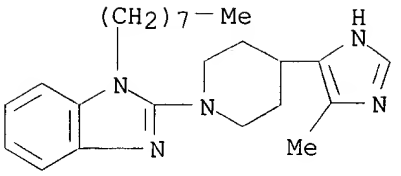
RN 146365-80-2 USPATFULL
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-82-4 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

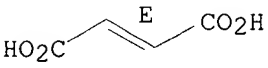
CRN 146365-81-3
CMF C24 H35 N5



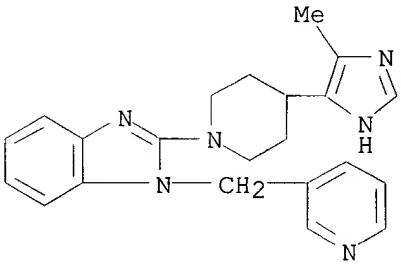
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



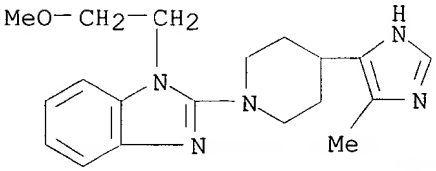
RN 146365-83-5 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-85-7 USPATFULL
CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6
CMF C19 H25 N5 O



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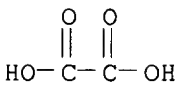
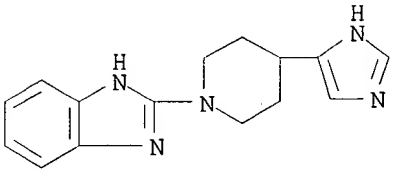
CRN 144-62-7
CMF C2 H2 O4



RN 146365-86-8 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

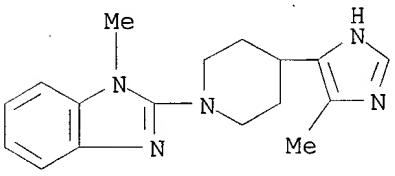


●2 HCl

RN 146365-88-0 USPATFULL
CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

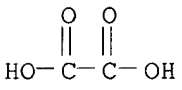
CM 1

CRN 146365-87-9
CMF C17 H21 N5

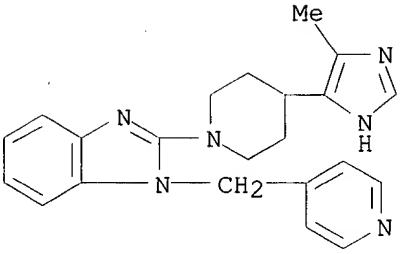


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 146365-91-5 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



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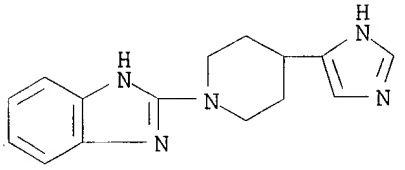
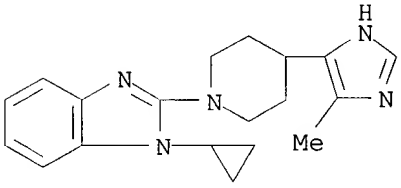
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-95-9 USPATFULL
CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

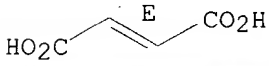
CRN 146365-94-8
CMF C19 H23 N5



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



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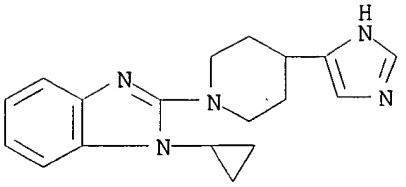
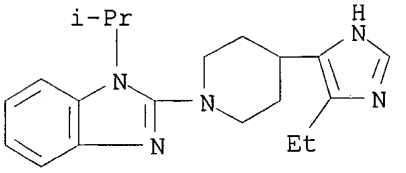
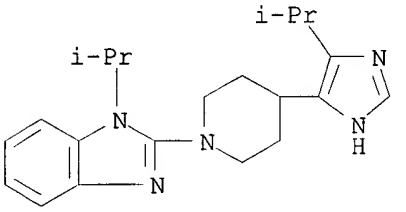
CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



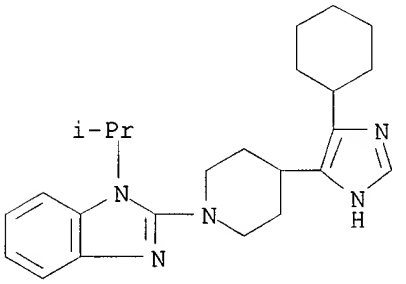
RN 146365-97-1 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



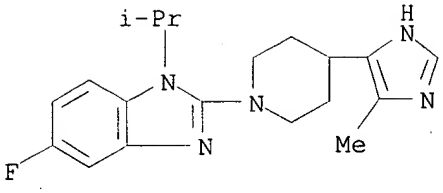
RN 146365-98-2 USPATFULL
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-99-3 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146395-69-9 USPATFULL
CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 35 OF 36 USPATFULL

ACCESSION NUMBER:	92:86965 USPATFULL
TITLE:	Anti-virally active pyridazinamines
INVENTOR(S):	Stokbroekx, Raymond A., Beerse, Belgium Van der Aa, Marcel J. M., Kasterlee, Belgium Willems, Joannes J. M., Oud-Turnhout, Belgium Luyckx, Marcel G. M., Geel, Belgium
PATENT ASSIGNEE(S):	Janssen Pharmaceutica N. V., Beerse, Belgium (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5157035		19921020
APPLICATION INFO.:	US 1991-637091		19910103 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1985-702772, filed on 15 Feb 1985, now patented, Pat. No. US 5001125 which is a continuation-in-part of Ser. No. US 1984-593444, filed on 26 Mar 1984, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Daus, Donald G.
LEGAL REPRESENTATIVE:	Metz, Charles J.
NUMBER OF CLAIMS:	9
EXEMPLARY CLAIM:	1
LINE COUNT:	1843

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

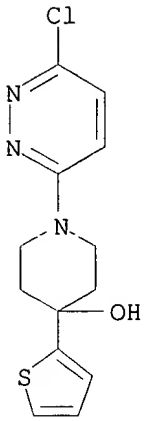
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **100223-79-8P 100223-91-4P 100223-92-5P 100224-24-6P 100224-34-8P**
(prepn. of, as virucide)

RN 100223-79-8 USPATFULL

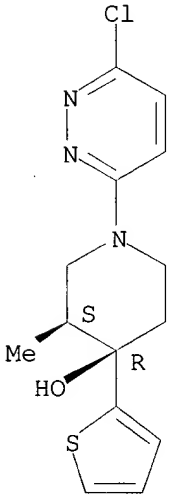
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

[redacted]

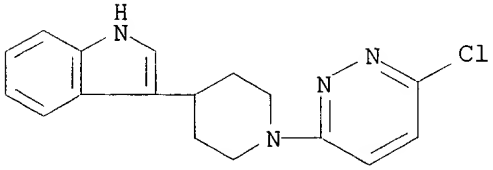


RN 100223-91-4 USPATFULL
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis- (9CI) (CA INDEX NAME)

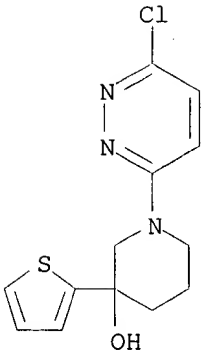
Relative stereochemistry.



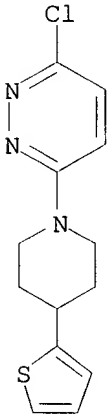
RN 100223-92-5 USPATFULL
CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 100224-24-6 USPATFULL
CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 100224-34-8 USPATFULL
CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 36 OF 36 USPATFULL

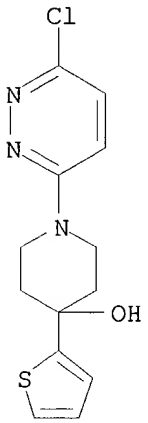
ACCESSION NUMBER:	91:22637 USPATFULL
TITLE:	Anti-virally active pyridazinamines
INVENTOR(S):	Stokbroekx, Raymond A., Beerse, Belgium Van der Aa, Marcel J. M., Kasterlee, Belgium Willems, Joannes J. M., Turnhout, Belgium Marcel, G. M. Luyekx, Geci, Belgium
PATENT ASSIGNEE(S):	Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5001125		19910319
APPLICATION INFO.:	US 1985-702772		19850215 (6)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1984-593444, filed on 26 Mar 1984, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
LEGAL REPRESENTATIVE:	McCoy, Charles J.		
NUMBER OF CLAIMS:	28		
EXEMPLARY CLAIM:	1,22		
LINE COUNT:	1906		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

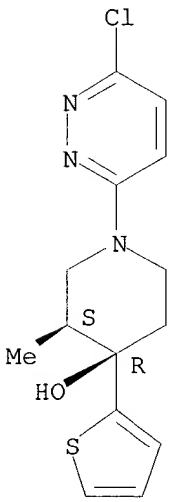
AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **100223-79-8P 100223-91-4P 100223-92-5P 100224-24-6P 100224-34-8P**
(prepn. of, as virucide)
RN 100223-79-8 USPATFULL
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

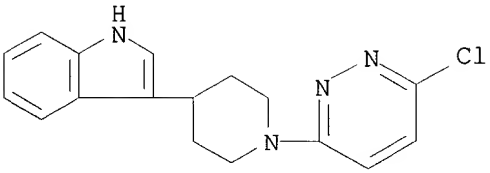


RN 100223-91-4 USPATFULL
CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis- (9CI) (CA INDEX NAME)

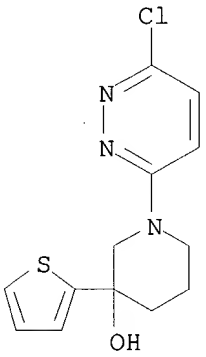
Relative stereochemistry.



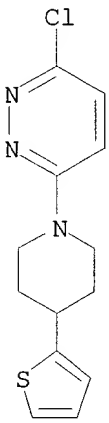
RN 100223-92-5 USPATFULL
CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 100224-24-6 USPATFULL
CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 100224-34-8 USPATFULL
CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



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This file contains CAS Registry Numbers for easy and accurate

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